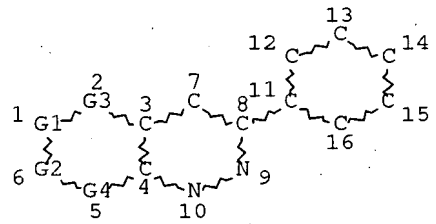
=> d que l60
L6 STR



REP G1=(0-3) CH2
VAR G2=N/C
VAR G3=C/O
REP G4=(0-4) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
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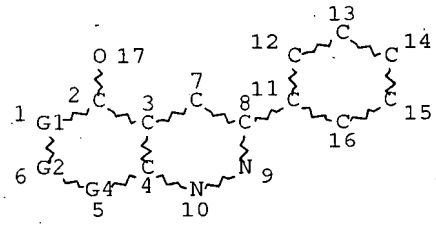
L57 STR



REP G1=(0-3) CH2
VAR G2=N/C
REP G4=(0-4) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE
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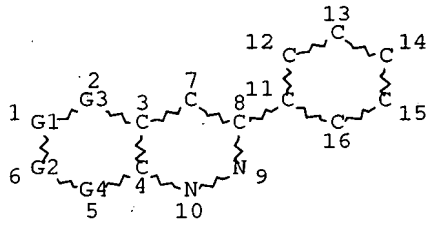
L60 16 SEA FILE=HCAPLUS ABB=ON PLU=ON L59

=> d que l56
L6 STR



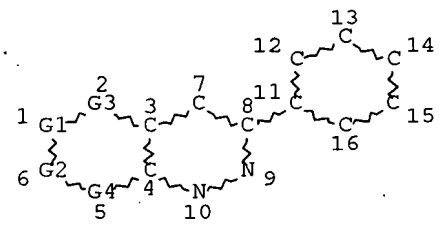
REP G1=(0-3) CH2
VAR G2=N/C
VAR G3=C/O
REP G4=(0-4) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

```
L8          941 SEA FILE=REGISTRY SSS FUL L6
L11         153 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L8
L12         118 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L11(L)PREP/RL
L13          11 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L12 AND THU/RL
L14          13 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L11 AND THU/RL
L15          13 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L13 OR L14)
L16         105 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L12 AND (1840-2002)/PRY,AY
                ,PY
L17             QUE  ABB=ON  PLU=ON  "ANTITUMOR AGENTS"+PFT,NT,OLD/CT
L18           1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L16 AND L17
L19           2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L11 AND L17
L20             QUE  ABB=ON  PLU=ON  CANCER? OR CARCINOMA? OR MELANOMA? O
                R NEOPLAS? OR TUMOR? OR TUMOUR? OR MALIGNAN? OR SARCOMA?
L21           6 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L11 AND L20
L22          16 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L15 OR (L18 OR L19) OR
                L21
L23           8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L11 AND PAC/RL
L24          16 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L22 OR L23
L55           7 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L16 AND PHARM?/SC,SX
L56          18 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L24 OR L55
```

=> d que l32
L6 STR



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REP G1=(0-3) CH2
VAR G2=N/C
VAR G3=C/O
REP G4=(0-4) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

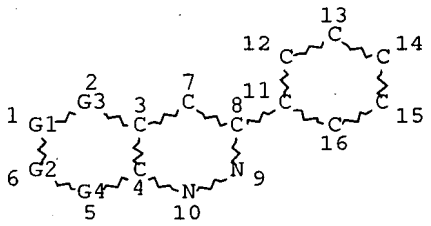
GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE
L8          941 SEA FILE=REGISTRY SSS FUL L6
L11         153 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L8
L25         287 SEA FILE=HCAPLUS ABB=ON  PLU=ON  KUROIWA, S?/AU
L26           6 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ODANAKA, J?/AU
L27          23 SEA FILE=HCAPLUS ABB=ON  PLU=ON  MARUYAMA, SAKIKO?/AU
L28       13838 SEA FILE=HCAPLUS ABB=ON  PLU=ON  SATO, Y?/AU
L29           1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  TOMURA, A/AU
L30       15467 SEA FILE=HCAPLUS ABB=ON  PLU=ON  SATO, H?/AU
L31       18718 SEA FILE=HCAPLUS ABB=ON  PLU=ON  SUZUKI, Y?/AU
L32           2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L11 AND ((L25 OR L26 OR
                L27 OR L28 OR L29 OR L30 OR L31))


=> d que 142
L33             QUE  ABB=ON  PLU=ON  KUROIWA, S?/AU
L34             QUE  ABB=ON  PLU=ON  ODANAKA, J?/AU
L35             QUE  ABB=ON  PLU=ON  SATO, Y?/AU
L36             QUE  ABB=ON  PLU=ON  MARUYAMA, SAKIKO?/AU
L37             QUE  ABB=ON  PLU=ON  TOMURA, A/AU
L38             QUE  ABB=ON  PLU=ON  SATO, H?/AU
L39             QUE  ABB=ON  PLU=ON  SUZUKI, Y?/AU
L42             0 SEA FILE=MEDLINE ABB=ON  PLU=ON  ((L33 OR L34 OR L35 OR
                L36 OR L37 OR L38 OR L39)) AND CINNOLIN?


=> d que 151
L43            28 SEA KUROIWA, SHUNSUKE?/AU
L44             8 SEA ODANAKA, JUNKO?/AU
L45            27 SEA MARUYAMA, SAKIKO?/AU
L46           596 SEA SATO, YOSHITAKA?/AU
L47            19 SEA TOMURA, ARIHIRO?/AU
L48          9305 SEA SATO, HIROSHI?/AU
L49           806 SEA SUZUKI, YOSHIKAZU?/AU
L50         10775 SEA (L43 OR L44 OR L45 OR L46 OR L47 OR L48 OR L49)
L51             0 SEA L50 AND CINNOLIN?


=> => d que 162
L6              STR
```



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VAR G2=N/C
VAR G3=C/O
REP G4=(0-4) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE
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L57              STR
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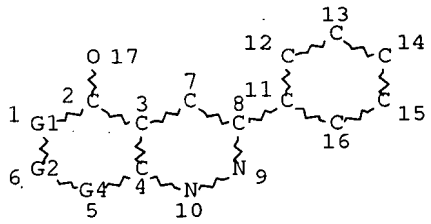
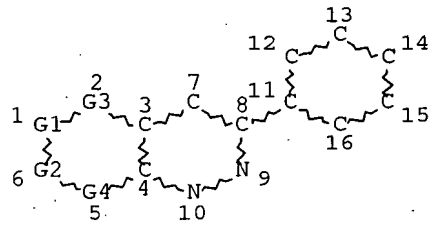


```
REP G1=(0-3) CH2
VAR G2=N/C
REP G4=(0-4) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  17

STEREO ATTRIBUTES: NONE
L59          168 SEA FILE=REGISTRY SUB=L8 SSS FUL L57
L60           16 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L59
L61            4 SEA FILE=MARPAT ABB=ON  PLU=ON  L60
L62            0 SEA FILE=MARPAT ABB=ON  PLU=ON  L61 NOT L60


=>  d que l63
L6               STR
```

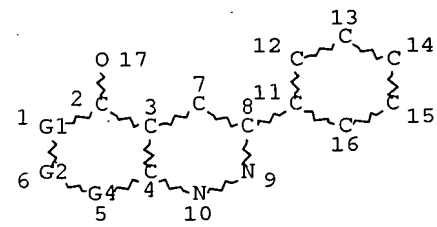


REP G1=(0-3) CH2
VAR G2=N/C
VAR G3=C/O
REP G4=(0-4) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L8	941	SEA FILE=REGISTRY SSS FUL L6
L11	153	SEA FILE=HCAPLUS ABB=ON PLU=ON L8
L12	118	SEA FILE=HCAPLUS ABB=ON PLU=ON L11(L)PREP/RL
L13	11	SEA FILE=HCAPLUS ABB=ON PLU=ON L12 AND THU/RL
L14	13	SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND THU/RL
L15	13	SEA FILE=HCAPLUS ABB=ON PLU=ON (L13 OR L14)
L16	105	SEA FILE=HCAPLUS ABB=ON PLU=ON L12 AND (1840-2002)/PRY,AY,PY
L17		QUE ABB=ON PLU=ON "ANTITUMOR AGENTS"+PFT,NT,OLD/CT
L18	1	SEA FILE=HCAPLUS ABB=ON PLU=ON L16 AND L17
L19	2	SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND L17
L20		QUE ABB=ON PLU=ON CANCER? OR CARCINOMA? OR MELANOMA? OR NEOPLAS? OR TUMOR? OR TUMOUR? OR MALIGNAN? OR SARCOMA?
L21	6	SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND L20
L22	16	SEA FILE=HCAPLUS ABB=ON PLU=ON L15 OR (L18 OR L19) OR L21
L23	8	SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND PAC/RL
L24	16	SEA FILE=HCAPLUS ABB=ON PLU=ON L22 OR L23
L55	7	SEA FILE=HCAPLUS ABB=ON PLU=ON L16 AND PHARM?/SC,SX
L56	18	SEA FILE=HCAPLUS ABB=ON PLU=ON L24 OR L55
L57		STR



REP G1=(0-3) CH2
VAR G2=N/C
REP G4=(0-4) C

```
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  17

STEREO ATTRIBUTES: NONE
L59         168 SEA FILE=REGISTRY SUB=L8 SSS FUL L57
L60          16 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L59
L63          13 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L56 NOT L60


=> fil hcap
FILE 'HCAPLUS' ENTERED AT 11:13:56 ON 08 DEC 2006

=> sel l60 hit rn 1-
E1 THROUGH E144 ASSIGNED

=> d l60 1-16 ibib abs hitstr hitind

L60  ANSWER 1 OF 16  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2005:1329720  HCAPLUS  Full-text
DOCUMENT NUMBER:          144:69841
TITLE:                    Preparation of 3-phenyltetrahydrocinnolin-5-ol
                          derivatives as antitumor agents
INVENTOR(S):              Sato, Yoshitaka; Suzuki, Yoshikazu; Yamamoto,
                          Keiichiro; Kuroiwa, Shunsuke; Maruyama, Sakiko
PATENT ASSIGNEE(S):       Nippon Kayaku Kabushiki Kaisha, Japan
SOURCE:                   PCT Int. Appl., 41 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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     WO 2005121105         A1    20051222    WO 2005-JP10494         20050608
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             SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA,
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         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
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             NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,
             GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                       JP 2004-171426      A  20040609

OTHER SOURCE(S):          MARPAT 144:69841
GI
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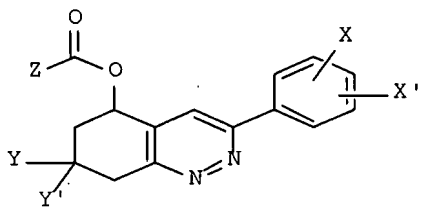

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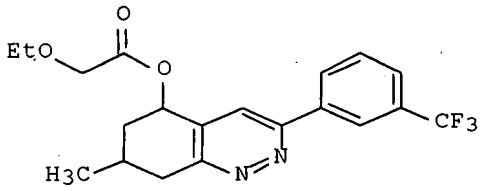


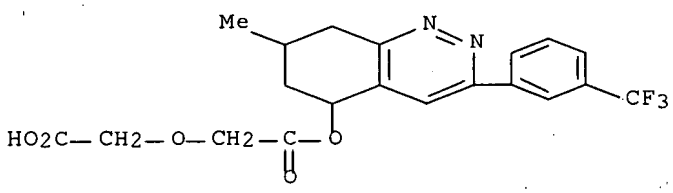
II

AB Title compds. I [Z = M-, L(L')N-, A(B)CH-; M = alkoxy, alkylamino, optionally substituted alkyl with saturated heterocycle; L, L' = hydroxy, alkoxy, carboxy, etc.; A = H, hydroxy, alkyl; B = substituted alkyl, alkoxy, carboxy, etc.; X = alkyl, alkoxycarbonyl, acylamino, etc.; X' = alkyl, alkoxycarbonyl, acylamino, etc.; Y, Y' = H, alkyl] were prepared For example, EDC mediated acylation of 7-methyl-3-(3- trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnolin-5-ol, e.g., prepared from 3'-trifluoromethylacetophenone in 5 steps, with ethoxyacetic acid afforded compound II in 98.8% yield. In antitumor assays in vitro, the IC50 value of compound II was 0.135 μg/mL. Compds. I are claimed useful for the treatment of tumor.

IT **871840-18-5P 871840-22-1P**
(preparation of 3-phenyltetrahydrocinnolin-5-ol derivs. as antitumor agents)

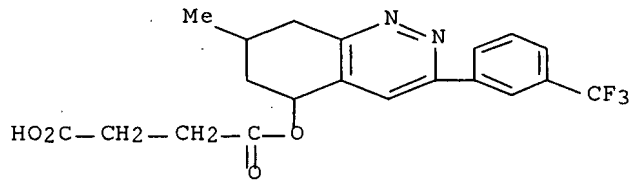
RN 871840-18-5 HCAPLUS

CN Acetic acid, (carboxymethoxy)-, 1-[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester (9CI) (CA INDEX NAME)



RN 871840-22-1 HCAPLUS

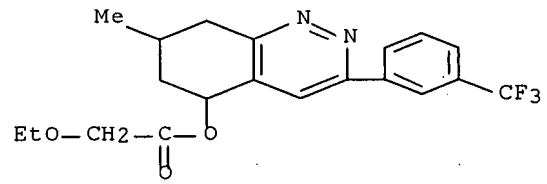
CN Butanedioic acid, mono[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester (9CI) (CA INDEX NAME)



IT **871840-17-4P 871840-19-6P 871840-20-9P**
871840-21-0P 871840-23-2P 871840-24-3P
871840-25-4P 871840-26-5P 871840-27-6P
871840-28-7P 871840-30-1P 871840-32-3P
871840-33-4P 871840-35-6P 871840-37-8P
871840-39-0P 871840-40-3P 871840-42-5P
871840-44-7P
(preparation of 3-phenyltetrahydrocinnolin-5-ol derivs. as antitumor agents)

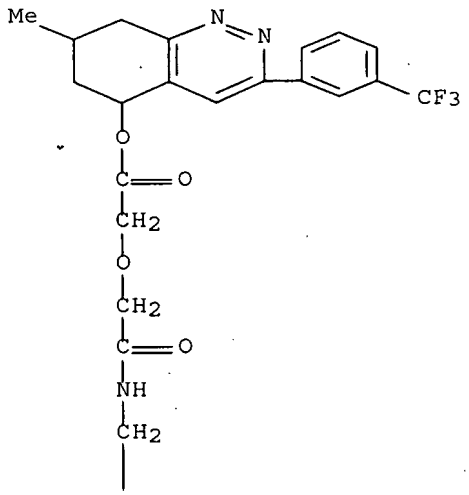
RN 871840-17-4 HCAPLUS

CN Acetic acid, ethoxy-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

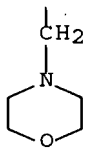


RN 871840-19-6 HCAPLUS

CN Acetic acid, [2-[[2-(4-morpholinyl)ethyl]amino]-2-oxoethoxy]-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

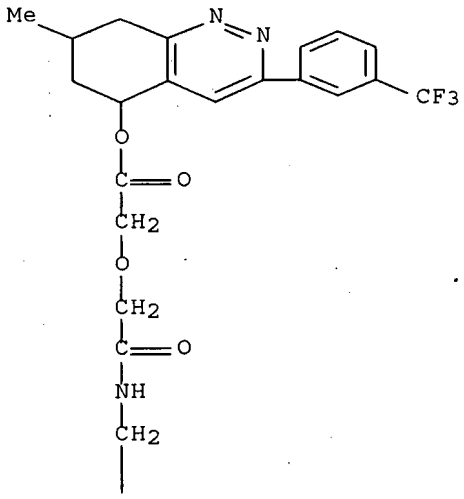


PAGE 2-A

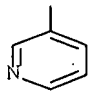


RN 871840-20-9 HCAPLUS
CN Acetic acid, [2-oxo-2-[(3-pyridinylmethyl)amino]ethoxy]-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

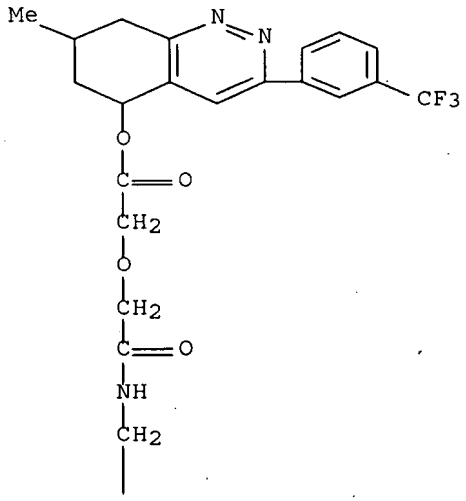


PAGE 2-A

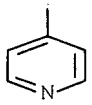


RN 871840-21-0 HCAPLUS
CN Acetic acid, [2-oxo-2-[(4-pyridinylmethyl)amino]ethoxy]-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

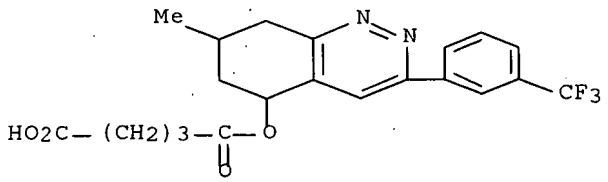
PAGE 1-A



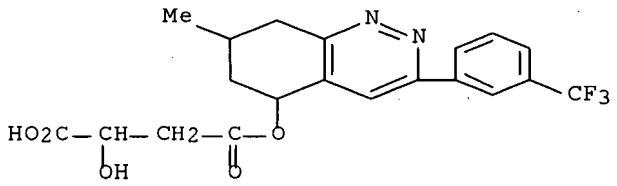
PAGE 2-A



RN 871840-23-2 HCAPLUS
CN Pentanedioic acid, mono[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester (9CI) (CA INDEX NAME)



RN 871840-24-3 HCAPLUS
CN Butanedioic acid, hydroxy-, 4-[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester (9CI) (CA INDEX NAME)



RN 871840-25-4 HCAPLUS
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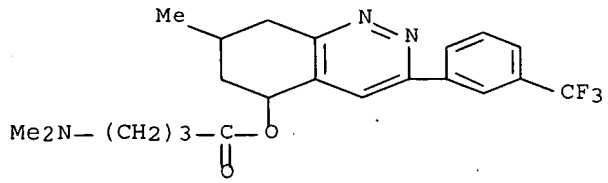
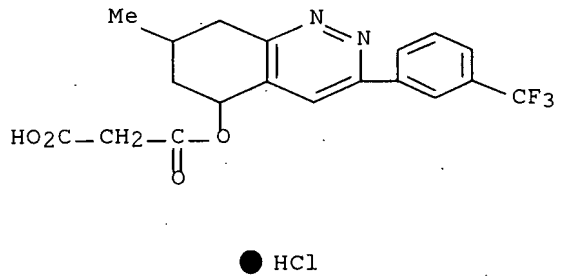
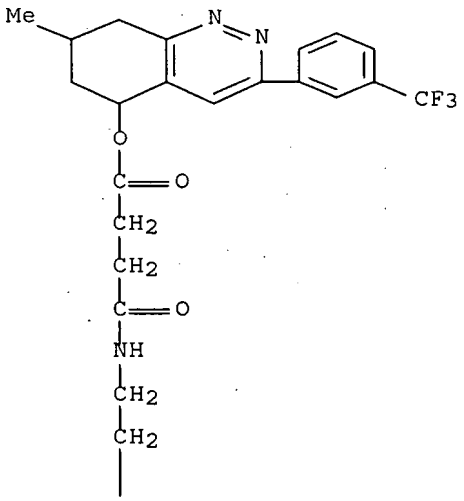


RN 871840-26-5 HCAPLUS
CN Propanedioic acid, mono[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester, monohydrochloride (9CI) (CA INDEX NAME)

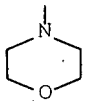


RN 871840-27-6 HCAPLUS
CN Butanoic acid, 4-[[2-(4-morpholinyl)ethyl]amino]-4-oxo-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

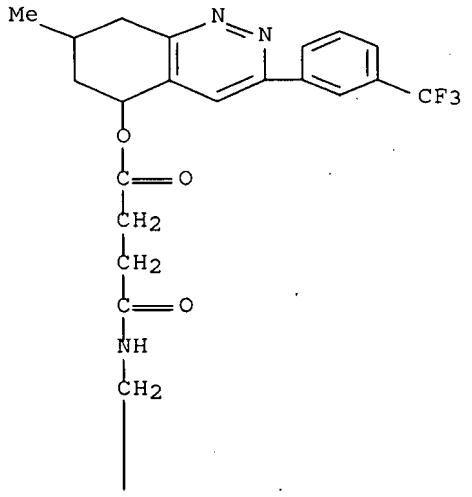


PAGE 2-A



RN 871840-28-7 HCAPLUS
CN Butanoic acid, 4-oxo-4-[(3-pyridinylmethyl)amino]-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

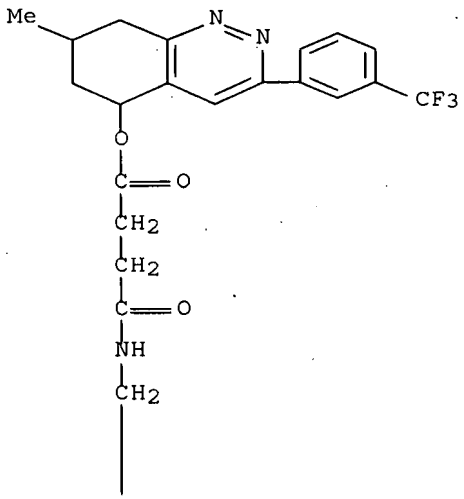
PAGE 1-A



PAGE 2-A

RN 871840-30-1 HCAPLUS
CN Butanoic acid, 4-oxo-4-[(4-pyridinylmethyl)amino]-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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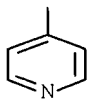
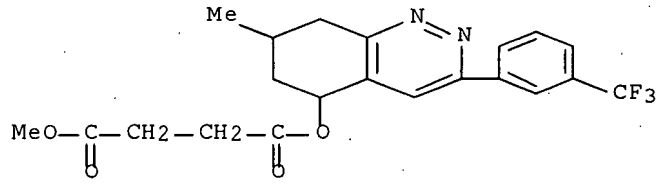
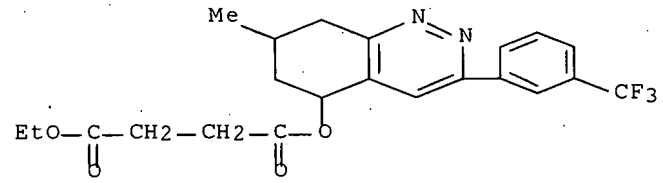


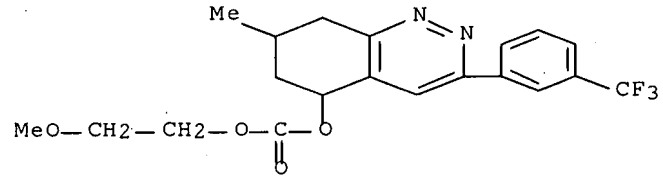
RN 871840-32-3 HCAPLUS
CN Butanedioic acid, methyl 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)



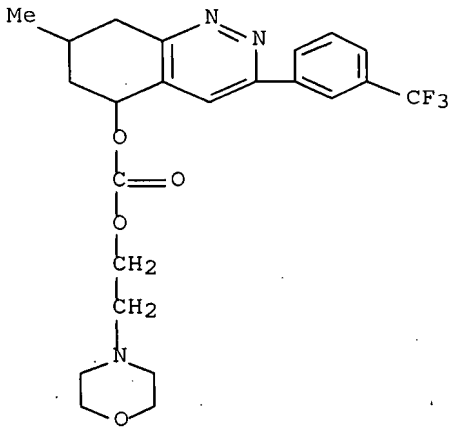
RN 871840-33-4 HCAPLUS
CN Butanedioic acid, ethyl 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)



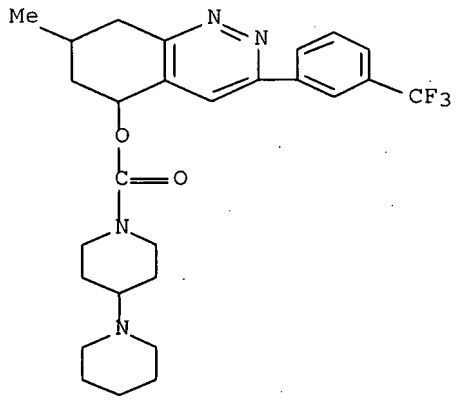
RN 871840-35-6 HCAPLUS
CN Carbonic acid, 2-methoxyethyl 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)



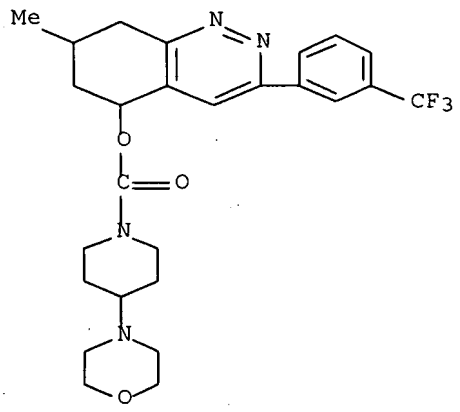
RN 871840-37-8 HCAPLUS
CN Carbonic acid, 2-(4-morpholinyl)ethyl 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)



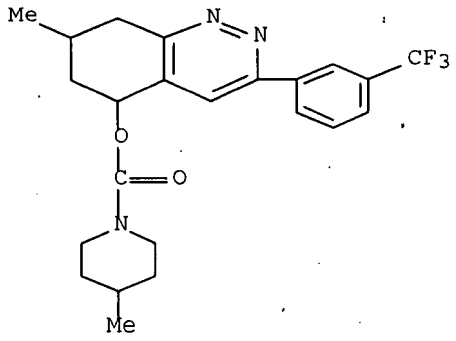
RN 871840-39-0 HCAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)



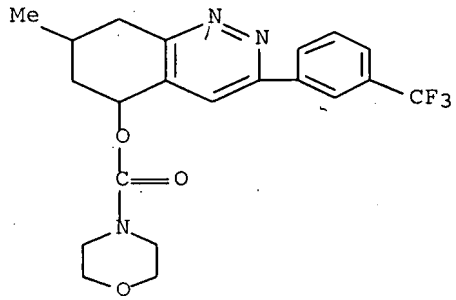
RN 871840-40-3 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-morpholinyl)-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)



RN 871840-42-5 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-methyl-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)



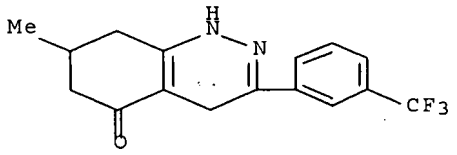
RN 871840-44-7 HCAPLUS
CN 4-Morpholinecarboxylic acid, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester, monohydrochloride (9CI) (CA INDEX NAME)



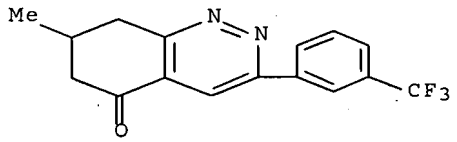
● HCl

IT **708984-56-9P**, 7-Methyl-3-(3-trifluoromethylphenyl)-4,6,7,8-tetrahydro-1H-cinnolin-5-one **708984-57-0P**, 7-Methyl-3-(3-trifluoromethylphenyl)-7,8-dihydro-6H-cinnolin-5-one **708984-65-0P 871840-48-1P 871840-50-5P 871840-52-7P**
(preparation of 3-phenyltetrahydrocinnolin-5-ol derivs. as antitumor agents)

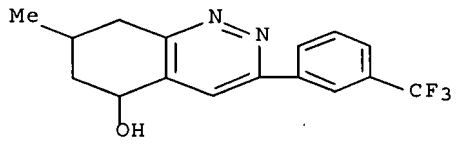
RN 708984-56-9 HCAPLUS
CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



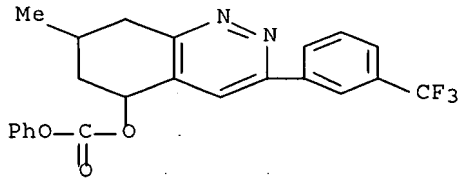
RN 708984-57-0 HCAPLUS
CN 5(6H)-Cinnolinone, 7,8-dihydro-7-methyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



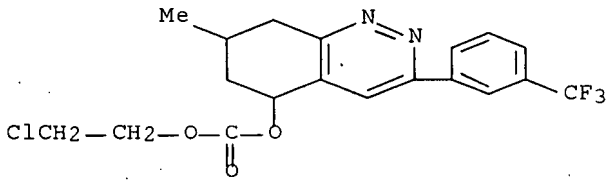
RN 708984-65-0 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



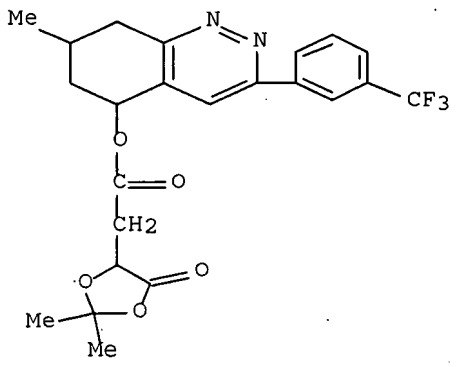
RN 871840-48-1 HCAPLUS
CN Carbonic acid, phenyl 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)



RN 871840-50-5 HCAPLUS
CN Carbonic acid, 2-chloroethyl 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)



RN 871840-52-7 HCAPLUS
CN 1,3-Dioxolane-4-acetic acid, 2,2-dimethyl-5-oxo-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)



IC ICM C07D237-26
ICS A61K031-502; A61K031-5377; A61P035-00; C07D401-12
CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT **871840-18-5P 871840-22-1P**
(preparation of 3-phenyltetrahydrocinnolin-5-ol derivs. as antitumor agents)
IT **871840-17-4P 871840-19-6P 871840-20-9P**
871840-21-0P 871840-23-2P 871840-24-3P
871840-25-4P 871840-26-5P 871840-27-6P
871840-28-7P 871840-30-1P 871840-32-3P

871840-33-4P 871840-35-6P 871840-37-8P
871840-39-0P 871840-40-3P 871840-42-5P
871840-44-7P
(preparation of 3-phenyltetrahydrocinnolin-5-ol derivs. as antitumor agents)

IT 2003-10-3P, 2-Bromo-3'-trifluoromethylacetophenone 114458-03-6P
708984-56-9P, 7-Methyl-3-(3-trifluoromethylphenyl)-4,6,7,8-tetrahydro-1H-cinnolin-5-one **708984-57-0P**,
7-Methyl-3-(3-trifluoromethylphenyl)-7,8-dihydro-6H-cinnolin-5-one
708984-65-0P 708984-73-0P **871840-48-1P**
871840-50-5P 871840-52-7P
(preparation of 3-phenyltetrahydrocinnolin-5-ol derivs. as antitumor agents)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:515490 HCAPLUS Full-text
DOCUMENT NUMBER: 141:71553
TITLE: Preparation of 3-phenylcinnoline homologues as antitumor agents
INVENTOR(S): Kuroiwa, Shunsuke; Odanaka, Junko; Maruyama, Sakiko; Sato, Yoshitaka; Tomura, Arihiro; Sato, Hiroshi; Suzuki, Yoshikazu
PATENT ASSIGNEE(S): Nippon Kayaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052866	A1	20040624	WO 2003-JP15767	20031210
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508010	AA	20040624	CA 2003-2508010	20031210
AU 2003289002	A1	20040630	AU 2003-289002	20031210
EP 1571148	A1	20050907	EP 2003-778763	20031210
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CN 1735600	A	20060215	CN 2003-80108285	20031210
US 2006058305	A1	20060316	US 2005-538126	20050606
PRIORITY APPLN. INFO.:			JP 2002-357556 A	20021210
			JP 2003-166082 A	20030611

JP 2003-183766 A 20030627

WO 2003-JP15767 W 20031210

OTHER SOURCE(S): MARPAT 141:71553

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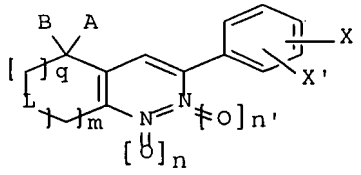


I

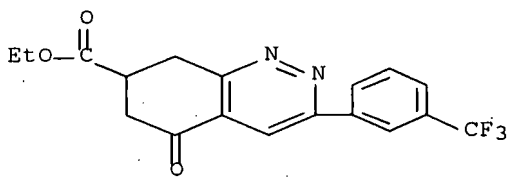
AB Title compds. I [A = O-Y; Y = H, (un)substituted alkyl with Ph, etc.; B = H, alkyl, further details on A, B are given; L = N-W, W-C-W'; W, W' = (un)substituted alkyl, Ph, carboxyl, etc.; X = alkyl, alkoxycarbonyl, acylamino, etc.; X' = alkyl, alkoxycarbonyl, acylamino, etc.; m, q = 0-3; n, n' = 0, 1] and their physiol. acceptable salt were prepared In antitumor activity assays (in vitro) against breast cancer cell CF-7, compds. I showed IC50 values ranging from 0.0388 to 3.5700 μg/mL, e.g., the IC50 value of compound I [A and B = carbonyl; L = PhCH; X = 3-CF3-Ph; X' = H; m = q = n = n' = 0] was 0.0388 μg/mL. Compds. I are claimed useful as antitumor, cytostatic agents.

IT **708983-93-1P 708983-95-3P**, 5-Hydroxy-3-(3-trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnoline-7-carboxylic acid ethyl ester **708983-98-6P 708984-00-3P 708984-07-0P**, 3-(3-Cyanophenyl)-7-methyl-7,8-dihydro-6H-cinnolin-5-one **708984-20-7P 708984-23-0P 708984-25-2P 708984-27-4P 708984-31-0P 708984-33-2P 708984-35-4P 708984-37-6P 708984-39-8P 708984-41-2P 708984-44-5P 708984-46-7P 708984-47-8P 708984-49-0P 708984-53-6P 708984-56-9P**, 7-Methyl-3-(3-trifluoromethylphenyl)-4,6,7,8-tetrahydro-1H-cinnolin-5-one **708984-57-0P**, 7-Methyl-3-(3-trifluoromethylphenyl)-7,8-dihydro-6H-cinnolin-5-one **708984-61-6P**, 7-Methyl-3-(3-trifluoromethylphenyl)cinnolin-5-ol **709640-62-0P 709640-63-1P**

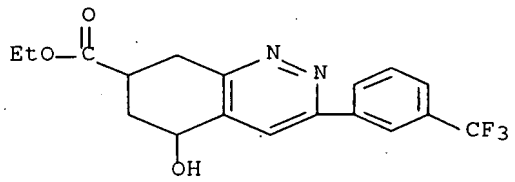
(preparation of 3-phenylcinnoline homolog as antitumor agents)

RN 708983-93-1 HCAPLUS

CN 7-Cinnolinecarboxylic acid, 5,6,7,8-tetrahydro-5-oxo-3-[3-(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

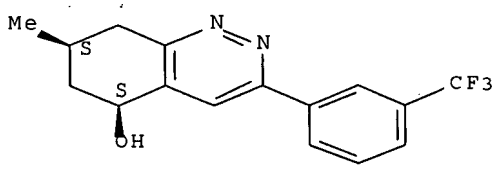


RN 708983-95-3 HCAPLUS
CN 7-Cinnolinecarboxylic acid, 5,6,7,8-tetrahydro-5-hydroxy-3-[3-(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



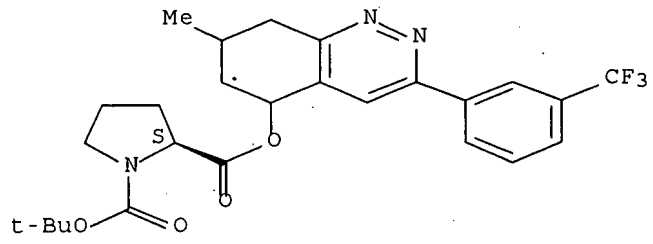
RN 708983-98-6 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-, (5R,7R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

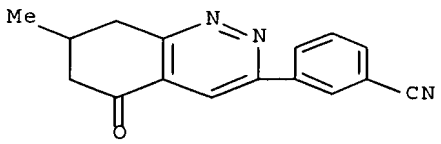


RN 708984-00-3 HCAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 1-(1,1-dimethylethyl) 2-[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester, (2S)- (9CI) (CA INDEX NAME)

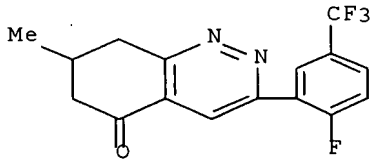
Absolute stereochemistry.



RN 708984-07-0 HCAPLUS
CN Benzonitrile, 3-(5,6,7,8-tetrahydro-7-methyl-5-oxo-3-cinnolinyl)- (9CI) (CA INDEX NAME)

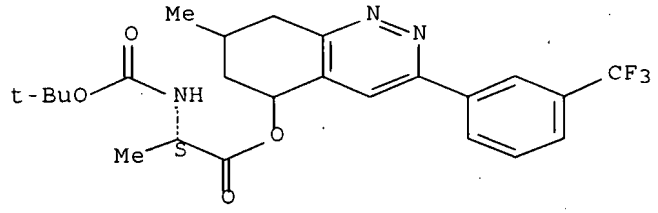


RN 708984-20-7 HCAPLUS
CN 5(6H)-Cinnolinone, 3-[2-fluoro-5-(trifluoromethyl)phenyl]-7,8-dihydro-7-methyl- (9CI) (CA INDEX NAME)



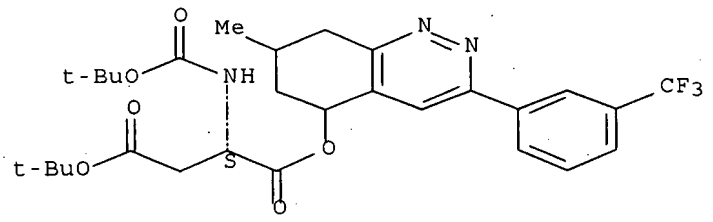
RN 708984-23-0 HCAPLUS
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



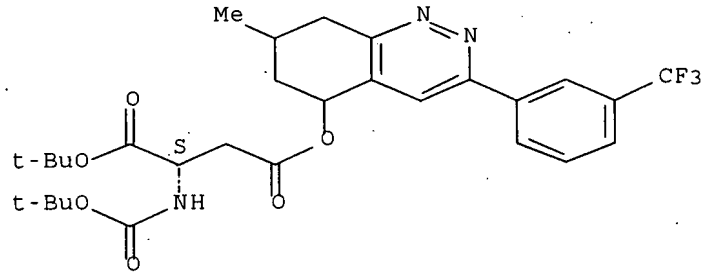
RN 708984-25-2 HCAPLUS
CN L-Aspartic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 4-(1,1-dimethylethyl) 1-[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



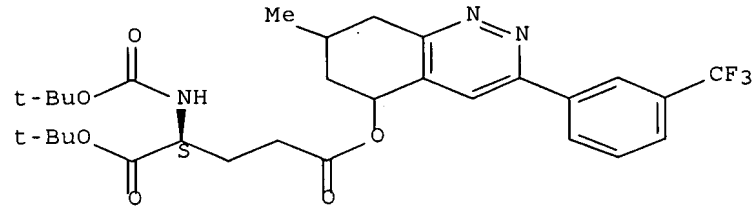
RN 708984-27-4 HCAPLUS
CN L-Aspartic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 1-(1,1-dimethylethyl) 4-[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



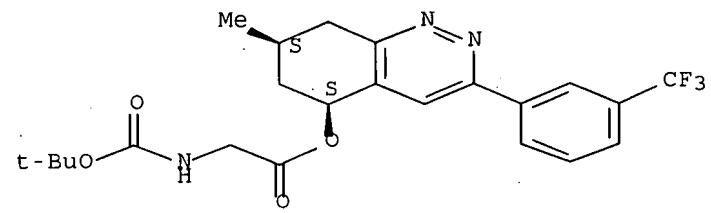
RN 708984-31-0 HCAPLUS
CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 1-(1,1-dimethylethyl) 5-[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



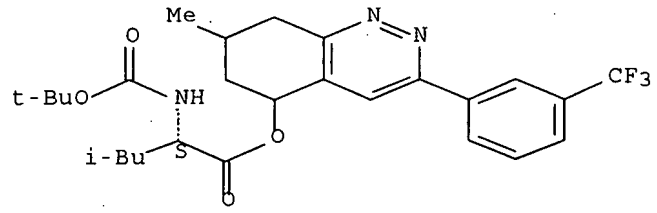
RN 708984-33-2 HCAPLUS
CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (5R,7R)-5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



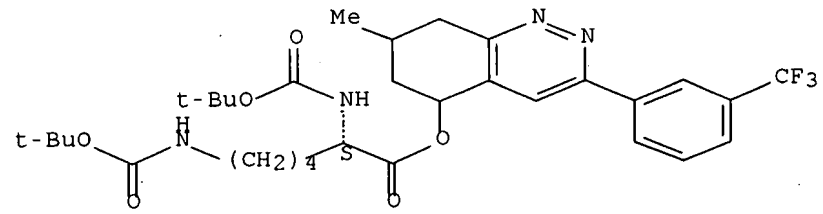
RN 708984-35-4 HCAPLUS
CN L-Leucine, N-[(1,1-dimethylethoxy)carbonyl]-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



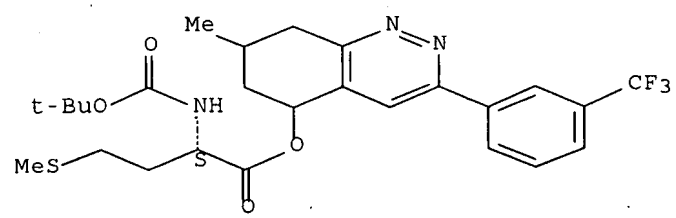
RN 708984-37-6 HCAPLUS
CN L-Lysine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



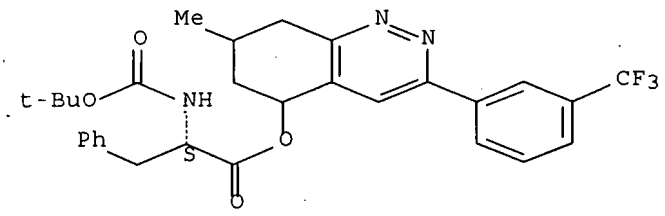
RN 708984-39-8 HCAPLUS
CN L-Methionine, N-[(1,1-dimethylethoxy)carbonyl]-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



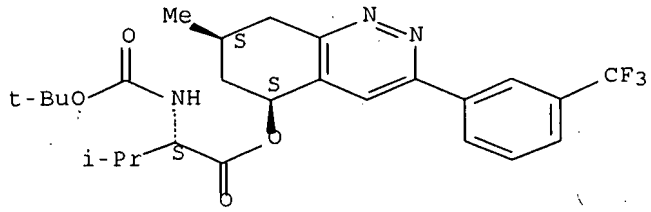
RN 708984-41-2 HCAPLUS
CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



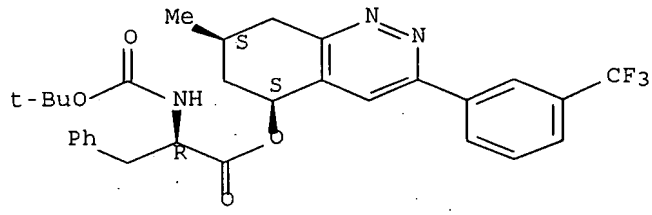
RN 708984-44-5 HCAPLUS
CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, (5S,7S)-5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



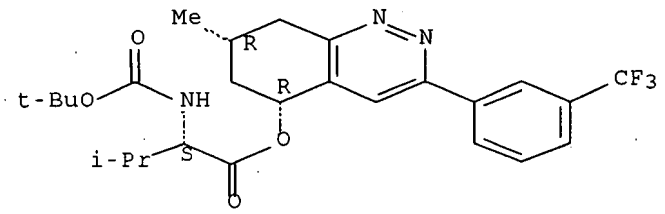
RN 708984-46-7 HCAPLUS
CN D-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-, (5S,7S)-5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



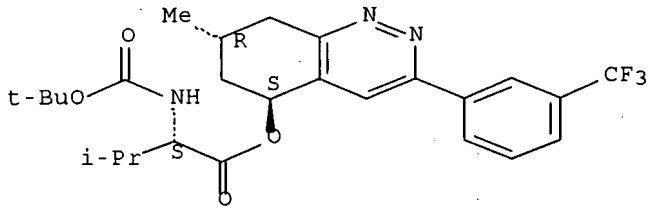
RN 708984-47-8 HCAPLUS
CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, (5R,7R)-5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



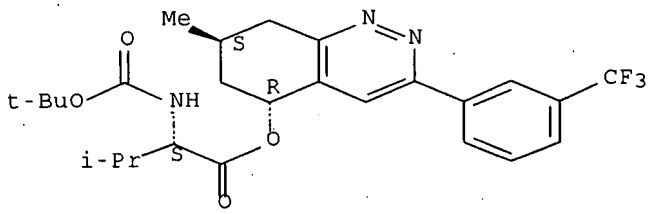
RN 708984-49-0 HCAPLUS
CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, (5S,7R)-5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

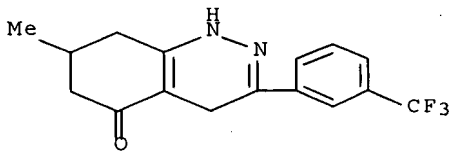


RN 708984-53-6 HCAPLUS
CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, (5R,7S)-5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

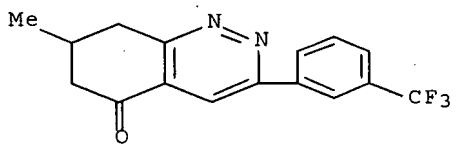
Absolute stereochemistry.



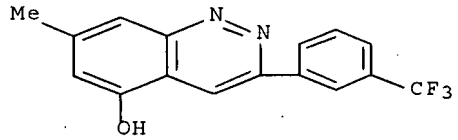
RN 708984-56-9 HCAPLUS
CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 708984-57-0 HCAPLUS
CN 5(6H)-Cinnolinone, 7,8-dihydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-
(9CI) (CA INDEX NAME)

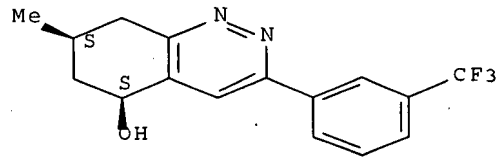


RN 708984-61-6 HCAPLUS
CN 5-Cinnolinol, 7-methyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX
NAME)



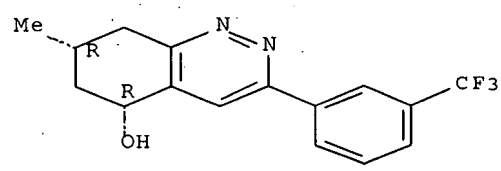
RN 709640-62-0 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7-methyl-3-[3-
(trifluoromethyl)phenyl]-, (5S,7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 709640-63-1 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7-methyl-3-[3-
(trifluoromethyl)phenyl]-, (5R,7R)- (9CI) (CA INDEX NAME)

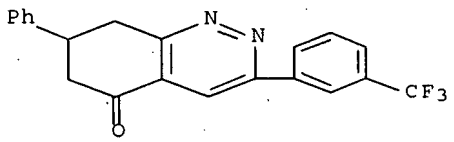
Absolute stereochemistry.



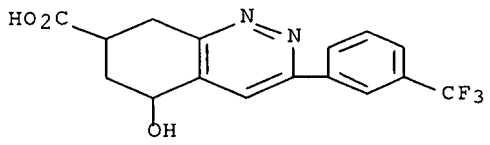
IT **708983-92-0P**, 7-Phenyl-3-(3-trifluoromethylphenyl)-7,8-dihydro-6H-cinnolin-5-one **708983-96-4P**, 5-Hydroxy-3-(3-trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnoline-7-carboxylic acid **708983-97-5P 708983-99-7P 708984-01-4P 708984-02-5P 708984-03-6P 708984-04-7P 708984-05-8P 708984-06-9P**, 7-Hydroxymethyl-3-(3-trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnolin-5-ol **708984-08-1P 708984-09-2P**, 7,7-Dimethyl-3-(3-trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnolin-5-ol **708984-10-5P**, 3-(3-Trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnolin-5-ol **708984-11-6P**, 3-(3-Bromophenyl)-7-methyl-7,8-dihydro-6H-cinnolin-5-one **708984-12-7P**, 7-Methyl-3-(3-nitrophenyl)-7,8-dihydro-6H-cinnolin-5-one **708984-13-8P**, 7-Methyl-3-(3-tolyl)-7,8-dihydro-6H-cinnolin-5-one **708984-14-9P**, 3-(3-Methoxycarbonylphenyl)-7-methyl-7,8-dihydro-6H-cinnolin-5-one **708984-15-0P**, 3-(3-Acetylaminophenyl)-7-methyl-7,8-dihydro-6H-cinnolin-5-one **708984-16-1P**, 3-(3-Fluorophenyl)-7-methyl-7,8-dihydro-6H-cinnolin-5-one **708984-17-2P**, 3-(3-Methoxyphenyl)-7-methyl-7,8-dihydro-6H-cinnolin-5-one **708984-18-3P**, 7-Benzyl-3-(3-trifluoromethylphenyl)-7,8-dihydro-6H-pyrido[3,4-c]pyridazin-5-one **708984-19-4P 708984-21-8P 708984-22-9P**, 5,7-Dimethyl-3-(3-trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnolin-5-ol **708984-24-1P 708984-26-3P 708984-28-5P 708984-29-6P 708984-30-9P 708984-32-1P 708984-34-3P 708984-36-5P 708984-38-7P 708984-40-1P 708984-42-3P 708984-43-4P 708984-45-6P 708984-48-9P 708984-51-4P**, (5S,7R)-7-Methyl-3-(3-trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnolin-5-ol **708984-52-5P 708984-54-7P**, (5R,7S)-7-Methyl-3-(3-trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnolin-5-ol **708984-55-8P 708984-59-2P**, 3-(3-Trifluoromethylphenyl)-7,8-dihydro-6H-cinnolin-5-one **708984-60-5P**, 7,7-Dimethyl-3-(3-trifluoromethylphenyl)-7,8-dihydro-6H-cinnolin-5-one **708984-62-7P**, 5-Methoxy-7-methyl-3-(3-trifluoromethylphenyl)cinnoline **708984-63-8P**, 5-Acetyloxy-7-methyl-3-(3-trifluoromethylphenyl)cinnoline **708984-64-9P**, 5-Benzyloxy-7-methyl-3-(3-trifluoromethylphenyl)cinnoline **708984-65-0P 708984-66-1P 708984-67-2P 708984-68-3P 708984-69-4P 708984-70-7P**
(preparation of 3-phenylcinnoline homolog as antitumor agents)

RN 708983-92-0 HCAPLUS

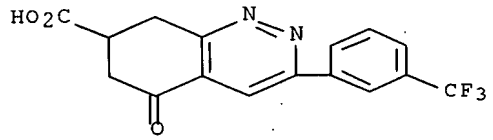
CN 5(6H)-Cinnolinone, 7,8-dihydro-7-phenyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 708983-96-4 HCAPLUS
CN 7-Cinnolinecarboxylic acid, 5,6,7,8-tetrahydro-5-hydroxy-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

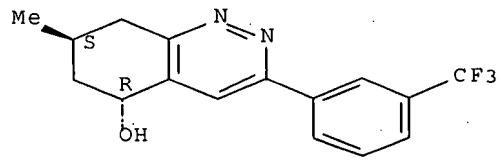


RN 708983-97-5 HCAPLUS
CN 7-Cinnolinecarboxylic acid, 5,6,7,8-tetrahydro-5-oxo-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



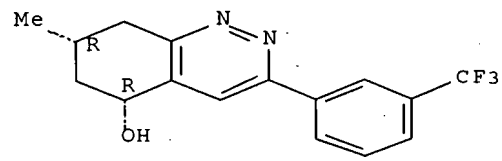
RN 708983-99-7 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-, (5R,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



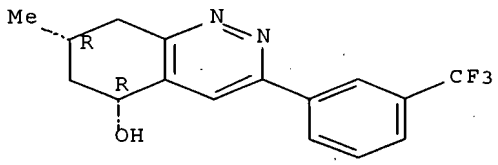
RN 708984-01-4 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-, (5R,7R)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



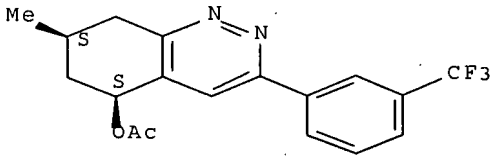
RN 708984-02-5 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-, (5R,7R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



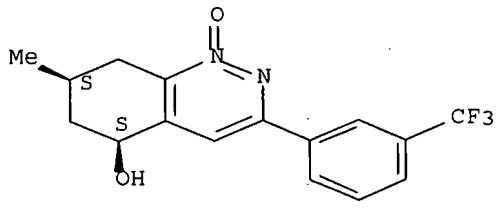
RN 708984-03-6 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-, acetate (ester), (5R,7R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

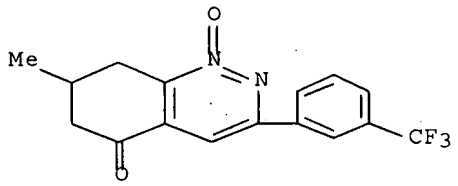


RN 708984-04-7 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-, 1-oxide, (5R,7R)-rel- (9CI) (CA INDEX NAME)

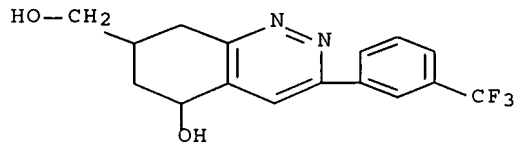
Relative stereochemistry.



RN 708984-05-8 HCAPLUS
CN 5(6H)-Cinnolinone, 7,8-dihydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

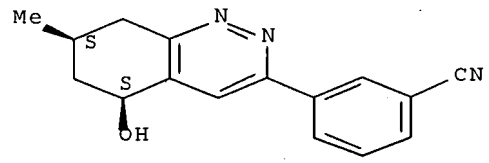


RN 708984-06-9 HCAPLUS
CN 7-Cinnolinemethanol, 5,6,7,8-tetrahydro-5-hydroxy-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

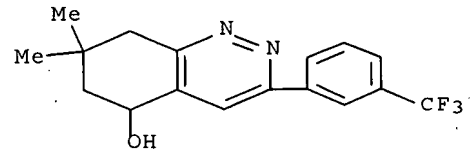


RN 708984-08-1 HCAPLUS
CN Benzonitrile, 3-[(5R,7R)-5,6,7,8-tetrahydro-5-hydroxy-7-methyl-3-cinnolinyl]-, rel- (9CI) (CA INDEX NAME)

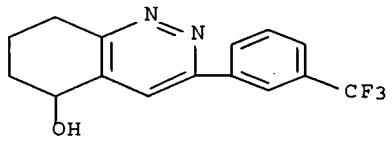
Relative stereochemistry.



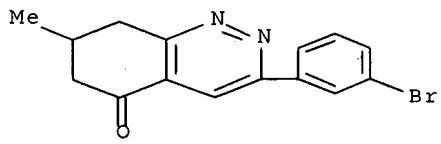
RN 708984-09-2 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7,7-dimethyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



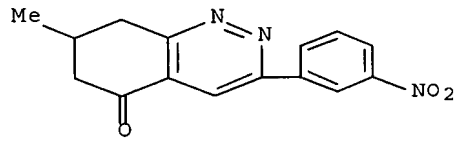
RN 708984-10-5 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



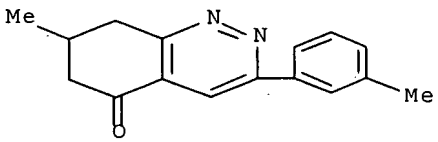
RN 708984-11-6 HCAPLUS
CN 5(6H)-Cinnolinone, 3-(3-bromophenyl)-7,8-dihydro-7-methyl- (9CI) (CA INDEX NAME)



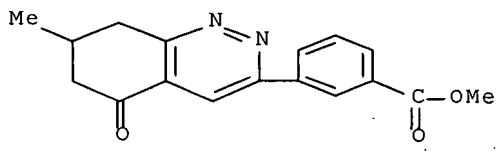
RN 708984-12-7 HCAPLUS
CN 5(6H)-Cinnolinone, 7,8-dihydro-7-methyl-3-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



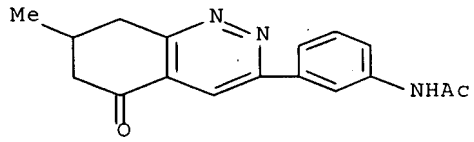
RN 708984-13-8 HCAPLUS
CN 5(6H)-Cinnolinone, 7,8-dihydro-7-methyl-3-(3-methylphenyl)- (9CI) (CA INDEX NAME)



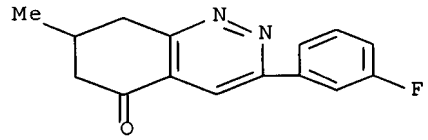
RN 708984-14-9 HCAPLUS
CN Benzoic acid, 3-(5,6,7,8-tetrahydro-7-methyl-5-oxo-3-cinnolinyl)-, methyl ester (9CI) (CA INDEX NAME)



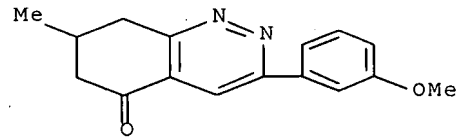
RN 708984-15-0 HCAPLUS
CN Acetamide, N-[3-(5,6,7,8-tetrahydro-7-methyl-5-oxo-3-cinnolinyl)phenyl]- (9CI) (CA INDEX NAME)



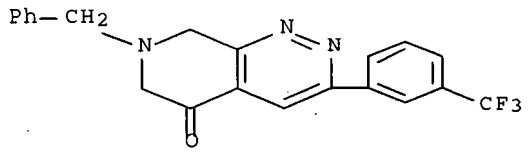
RN 708984-16-1 HCAPLUS
CN 5(6H)-Cinnolinone, 3-(3-fluorophenyl)-7,8-dihydro-7-methyl- (9CI) (CA INDEX NAME)



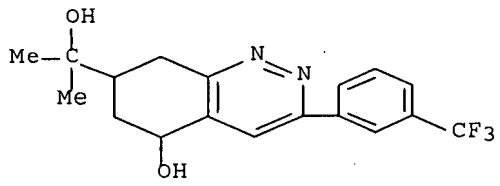
RN 708984-17-2 HCAPLUS
CN 5(6H)-Cinnolinone, 7,8-dihydro-3-(3-methoxyphenyl)-7-methyl- (9CI) (CA INDEX NAME)



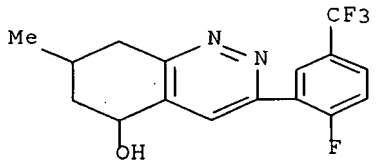
RN 708984-18-3 HCAPLUS
CN Pyrido[3,4-c]pyridazin-5(6H)-one, 7,8-dihydro-7-(phenylmethyl)-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



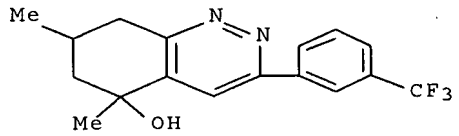
RN 708984-19-4 HCAPLUS
CN 7-Cinnolinemethanol, 5,6,7,8-tetrahydro-5-hydroxy-α,α-dimethyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 708984-21-8 HCAPLUS
CN 5-Cinnolinol, 3-[2-fluoro-5-(trifluoromethyl)phenyl]-5,6,7,8-tetrahydro-7-methyl- (9CI) (CA INDEX NAME)

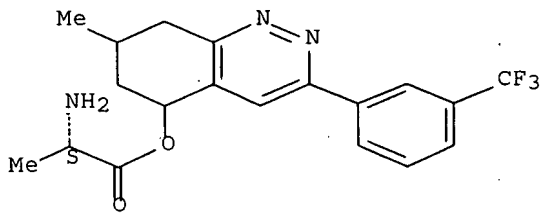


RN 708984-22-9 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-5,7-dimethyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 708984-24-1 HCAPLUS
CN L-Alanine, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester, dihydrochloride (9CI) (CA INDEX NAME)

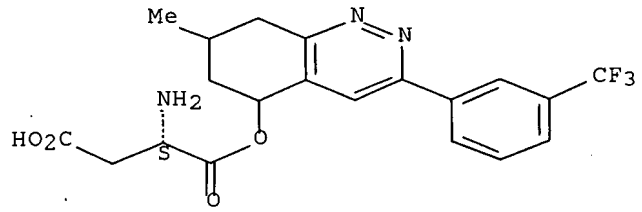
Absolute stereochemistry.



●2 HCl

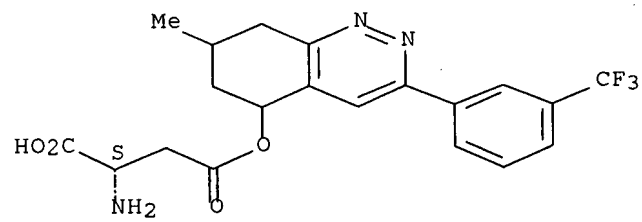
RN 708984-26-3 HCAPLUS
CN L-Aspartic acid, 1-[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 708984-28-5 HCAPLUS
CN L-Aspartic acid, 4-[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester, dihydrochloride (9CI) (CA INDEX NAME)

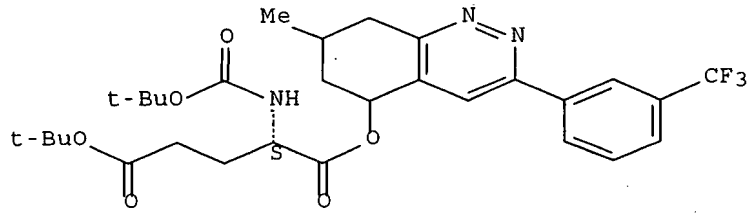
Absolute stereochemistry.



●2 HCl

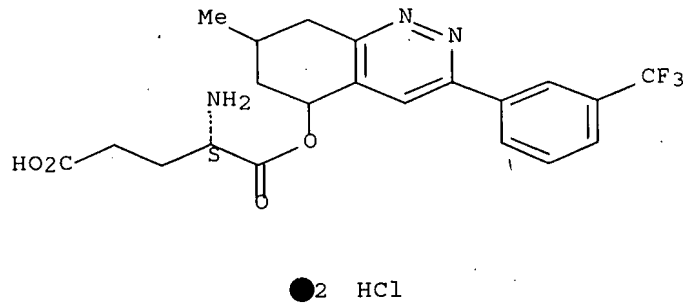
RN 708984-29-6 HCAPLUS
CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-, 5-(1,1-dimethylethyl) 1-[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



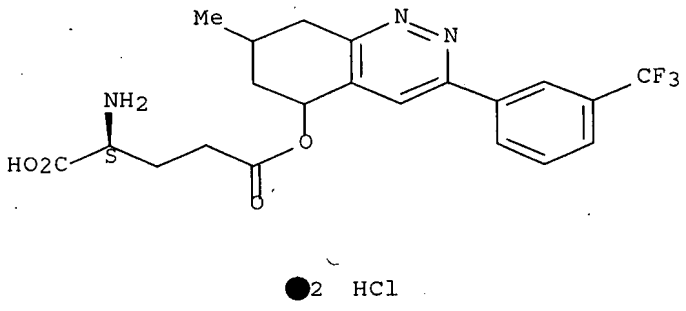
RN 708984-30-9 HCAPLUS
CN L-Glutamic acid, 1-[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 708984-32-1 HCAPLUS
CN L-Glutamic acid, 5-[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester, dihydrochloride (9CI) (CA INDEX NAME)

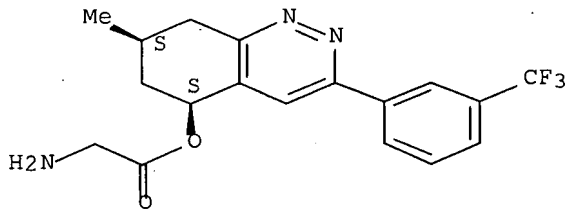
Absolute stereochemistry.



RN 708984-34-3 HCAPLUS
CN Glycine, (5R,7R)-5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester, dihydrochloride, rel-

(9CI) (CA INDEX NAME)

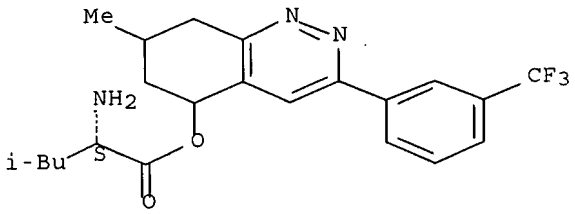
Relative stereochemistry.



●2 HCl

RN 708984-36-5 HCAPLUS
CN L-Leucine, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester, dihydrochloride (9CI) (CA INDEX NAME)

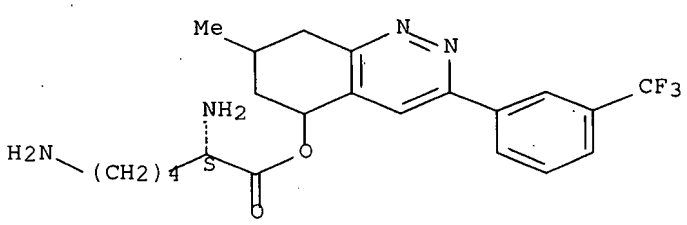
Absolute stereochemistry.



●2 HCl

RN 708984-38-7 HCAPLUS
CN L-Lysine, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester, trihydrochloride (9CI) (CA INDEX NAME)

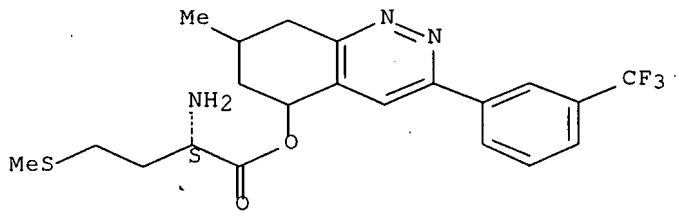
Absolute stereochemistry.



●3 HCl

RN 708984-40-1 HCAPLUS
CN L-Methionine, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester, dihydrochloride (9CI) (CA INDEX NAME)

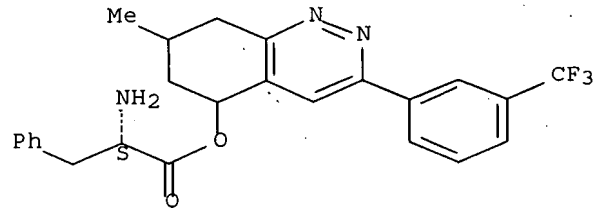
Absolute stereochemistry.



●2 HCl

RN 708984-42-3 HCAPLUS
CN L-Phenylalanine, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester, dihydrochloride (9CI) (CA INDEX NAME)

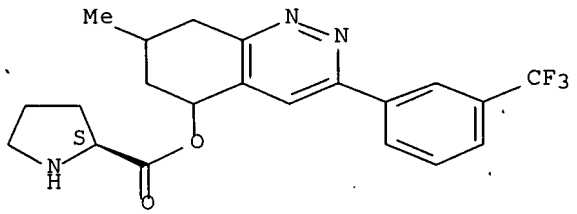
Absolute stereochemistry.



●2 HCl

RN 708984-43-4 HCAPLUS
CN L-Proline, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester, dihydrochloride (9CI) (CA INDEX NAME)

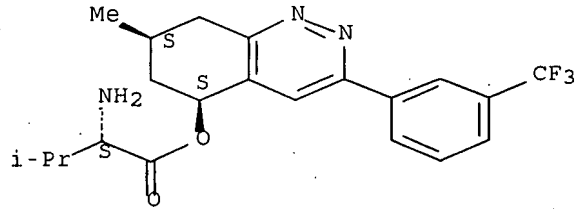
Absolute stereochemistry.



●2 HCl

RN 708984-45-6 HCAPLUS
CN L-Valine, (5S,7S)-5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester, dihydrochloride (9CI) (CA INDEX NAME)

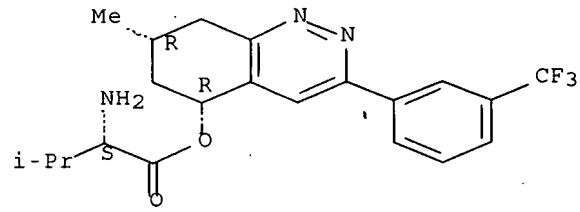
Absolute stereochemistry. Rotation (+).



●2 HCl

RN 708984-48-9 HCAPLUS
CN L-Valine, (5R,7R)-5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

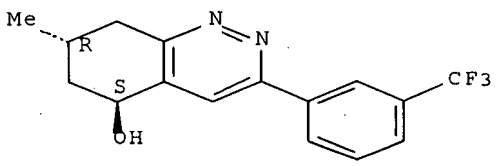


●2 HCl

RN 708984-51-4 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7-methyl-3-[3-

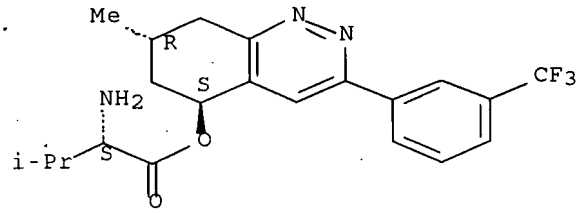
(trifluoromethyl)phenyl]-, (5S,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 708984-52-5 HCAPLUS
CN L-Valine, (5S,7R)-5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester, dihydrochloride (9CI) (CA INDEX NAME)

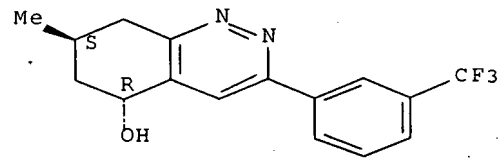
Absolute stereochemistry. Rotation (+).



●2 HCl

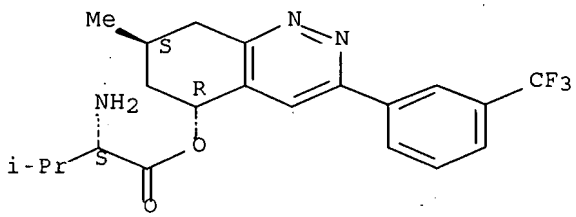
RN 708984-54-7 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-, (5R,7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



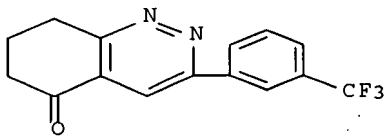
RN 708984-55-8 HCAPLUS
CN L-Valine, (5R,7S)-5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

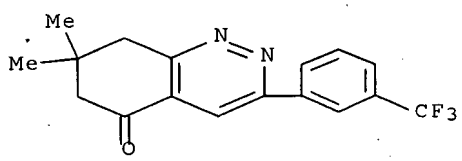


● 2 HCl

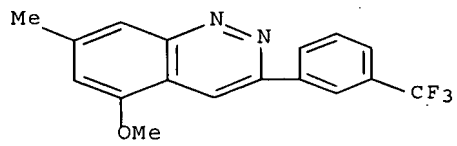
RN 708984-59-2 HCAPLUS
CN 5(6H)-Cinnolinone, 7,8-dihydro-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 708984-60-5 HCAPLUS
CN 5(6H)-Cinnolinone, 7,8-dihydro-7,7-dimethyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

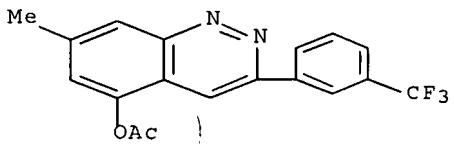


RN 708984-62-7 HCAPLUS
CN Cinnoline, 5-methoxy-7-methyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

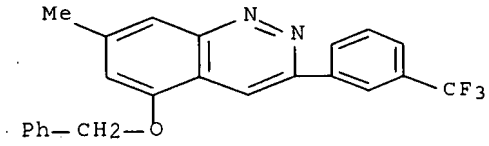


RN 708984-63-8 HCAPLUS
CN 5-Cinnolinol, 7-methyl-3-[3-(trifluoromethyl)phenyl]-, acetate (ester)

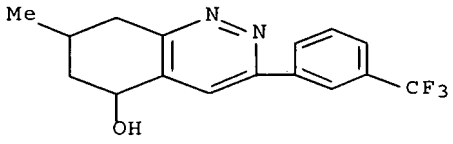
(9CI) (CA INDEX NAME)



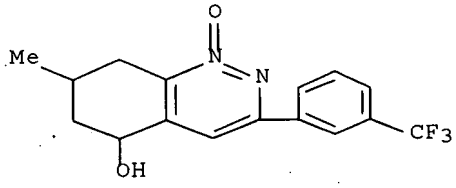
RN 708984-64-9 HCAPLUS
CN Cinnoline, 7-methyl-5-(phenylmethoxy)-3-[3-(trifluoromethyl)phenyl]-
(9CI) (CA INDEX NAME)



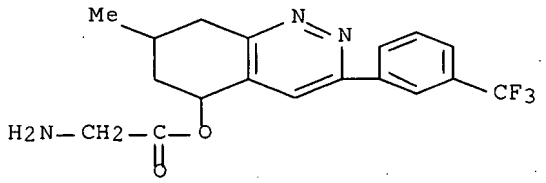
RN 708984-65-0 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7-methyl-3-[3-
(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 708984-66-1 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7-methyl-3-[3-
(trifluoromethyl)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

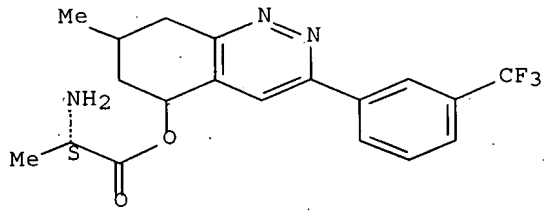


RN 708984-67-2 HCAPLUS
CN Glycine, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-
cinnolinyl ester (9CI) (CA INDEX NAME)



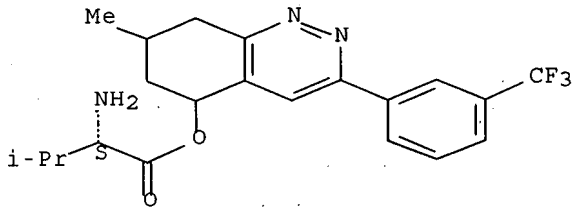
RN 708984-68-3 HCAPLUS
CN L-Alanine, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



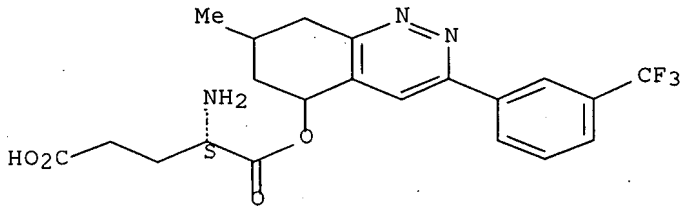
RN 708984-69-4 HCAPLUS
CN L-Valine, 5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

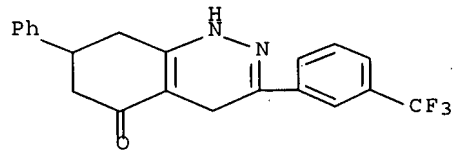


RN 708984-70-7 HCAPLUS
CN L-Glutamic acid, 1-[5,6,7,8-tetrahydro-7-methyl-3-[3-(trifluoromethyl)phenyl]-5-cinnolinyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **708984-72-9P**, 7-Phenyl-3-(3-trifluoromethylphenyl)-4,6,7,8-tetrahydro-1H-cinnolin-5-one
(preparation of 3-phenylcinnoline homolog as antitumor agents)
RN 708984-72-9 HCAPLUS
CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-7-phenyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



IC ICM C07D237-28
ICS C07D471-04; A61K031-502; A61K031-5025; A61P035-00
CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT **708983-93-1P 708983-95-3P**, 5-Hydroxy-3-(3-trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnoline-7-carboxylic acid ethyl ester **708983-98-6P 708984-00-3P**
708984-07-0P, 3-(3-Cyanophenyl)-7-methyl-7,8-dihydro-6H-cinnolin-5-one **708984-20-7P 708984-23-0P**
708984-25-2P 708984-27-4P 708984-31-0P
708984-33-2P 708984-35-4P 708984-37-6P
708984-39-8P 708984-41-2P 708984-44-5P
708984-46-7P 708984-47-8P 708984-49-0P
708984-53-6P 708984-56-9P, 7-Methyl-3-(3-trifluoromethylphenyl)-4,6,7,8-tetrahydro-1H-cinnolin-5-one
708984-57-0P, 7-Methyl-3-(3-trifluoromethylphenyl)-7,8-dihydro-6H-cinnolin-5-one **708984-61-6P**, 7-Methyl-3-(3-trifluoromethylphenyl)cinnolin-5-ol **709640-62-0P**
709640-63-1P
(preparation of 3-phenylcinnoline homolog as antitumor agents)
IT **708983-92-0P**, 7-Phenyl-3-(3-trifluoromethylphenyl)-7,8-dihydro-6H-cinnolin-5-one **708983-96-4P**, 5-Hydroxy-3-(3-trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnoline-7-carboxylic acid
708983-97-5P 708983-99-7P 708984-01-4P
708984-02-5P 708984-03-6P 708984-04-7P
708984-05-8P 708984-06-9P, 7-Hydroxymethyl-3-(3-trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnolin-5-ol
708984-08-1P 708984-09-2P, 7,7-Dimethyl-3-(3-trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnolin-5-ol
708984-10-5P, 3-(3-Trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnolin-5-ol **708984-11-6P**, 3-(3-Bromophenyl)-7-

methyl-7,8-dihydro-6H-cinnolin-5-one **708984-12-7P**, 7-Methyl-3-(3-nitrophenyl)-7,8-dihydro-6H-cinnolin-5-one **708984-13-8P**, 7-Methyl-3-(3-tolyl)-7,8-dihydro-6H-cinnolin-5-one **708984-14-9P**, 3-(3-Methoxycarbonylphenyl)-7-methyl-7,8-dihydro-6H-cinnolin-5-one **708984-15-0P**, 3-(3-Acetylaminophenyl)-7-methyl-7,8-dihydro-6H-cinnolin-5-one **708984-16-1P**, 3-(3-Fluorophenyl)-7-methyl-7,8-dihydro-6H-cinnolin-5-one **708984-17-2P**, 3-(3-Methoxyphenyl)-7-methyl-7,8-dihydro-6H-cinnolin-5-one **708984-18-3P**, 7-Benzyl-3-(3-trifluoromethylphenyl)-7,8-dihydro-6H-pyrido[3,4-c]pyridazin-5-one **708984-19-4P 708984-21-8P** **708984-22-9P**, 5,7-Dimethyl-3-(3-trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnolin-5-ol **708984-24-1P 708984-26-3P** **708984-28-5P 708984-29-6P 708984-30-9P** **708984-32-1P 708984-34-3P 708984-36-5P** **708984-38-7P 708984-40-1P 708984-42-3P** **708984-43-4P 708984-45-6P 708984-48-9P** **708984-51-4P**, (5S,7R)-7-Methyl-3-(3-trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnolin-5-ol **708984-52-5P** **708984-54-7P**, (5R,7S)-7-Methyl-3-(3-trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnolin-5-ol **708984-55-8P** 708984-58-1P **708984-59-2P**, 3-(3-Trifluoromethylphenyl)-7,8-dihydro-6H-cinnolin-5-one **708984-60-5P**, 7,7-Dimethyl-3-(3-trifluoromethylphenyl)-7,8-dihydro-6H-cinnolin-5-one **708984-62-7P**, 5-Methoxy-7-methyl-3-(3-trifluoromethylphenyl)cinnoline **708984-63-8P**, 5-Acetyloxy-7-methyl-3-(3-trifluoromethylphenyl)cinnoline **708984-64-9P**, 5-Benzyloxy-7-methyl-3-(3-trifluoromethylphenyl)cinnoline **708984-65-0P** **708984-66-1P 708984-67-2P 708984-68-3P** **708984-69-4P 708984-70-7P**

(preparation of 3-phenylcinnoline homolog as antitumor agents)

IT 2003-10-3P, 2-Bromo-3'-trifluoromethylacetophenone 4142-98-7P 15057-43-9P, 1-Benzyl-5-hydroxy-1,6-dihydro-2H-pyridin-3-one 66310-85-8P, N-Methyl-N-(2-oxopropyl)glycine ethyl ester 82074-39-3P, 5-Hydroxy-1-methyl-1,6-dihydro-2H-pyridin-3-one 88805-65-6P 708984-71-8P **708984-72-9P**, 7-Phenyl-3-(3-trifluoromethylphenyl)-4,6,7,8-tetrahydro-1H-cinnolin-5-one 708984-73-0P

(preparation of 3-phenylcinnoline homolog as antitumor agents)

L60 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:821629 HCAPLUS Full-text

DOCUMENT NUMBER: 140:93765

TITLE: New insight into the azaenamine behaviour of N-arylhydrazones: First aldol and improved Mannich reactions with unactivated aldehydes

AUTHOR(S): El Kaim, Laurent; Gautier, Laurent; Grimaud, Laurence; Michaut, Valerie

CORPORATE SOURCE: Laboratoire Chimie et Procedes, Ecole Nationale Superieure de Techniques Avancees, Paris, 75015, Fr.

SOURCE: Synlett (2003), (12), 1844-1846
CODEN: SYNLES; ISSN: 0936-5214

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:93765

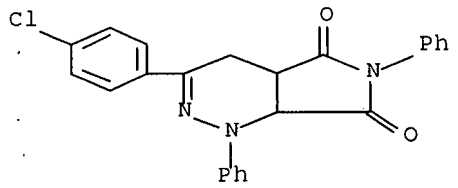
AB N-Arylhydrazones can be added to various aldehydes in amine solvents to form new Mannich and aldol products. A wide range of hydrazones and aldehydes

formally reported as unreactive can now be coupled to give adducts easily converted into azoalkenes. These transformations parallel the aldolization/crotonization processes allowing access to novel heterocycles and the design of new multi-component reactions.

IT **642486-70-2P**
(application of aldol/Mannich reactions of aryl-substituted hydrazones with unactivated aldehydes to preparation of bicyclic imide via four-component aldolization/crotonization/Michael addition processes)

RN 642486-70-2 HCAPLUS

CN 1H-Pyrrolo[3,4-c]pyridazine-5,7(4H,6H)-dione, 3-(4-chlorophenyl)-4a,7a-dihydro-1,6-diphenyl- (9CI) (CA INDEX NAME)



CC 25-22 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 28

IT **642486-70-2P**
(application of aldol/Mannich reactions of aryl-substituted hydrazones with unactivated aldehydes to preparation of bicyclic imide via four-component aldolization/crotonization/Michael addition processes)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:307948 HCAPLUS Full-text

DOCUMENT NUMBER: 139:149590

TITLE: New heterocyclic precursors for thermal generation of reactive, electron-rich 1,2-diaza-1,3-butadienes [Erratum to document cited in CA136:53719]

AUTHOR(S): Boeckman, Robert K., Jr.; Ge, Ping; Reed, Jessica E.

CORPORATE SOURCE: Department of Chemistry, University of Rochester, Rochester, NY, 14627-0216, USA

SOURCE: Organic Letters (2002), 4(9), 1635
CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

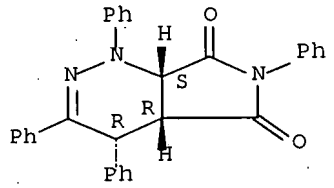
AB The structure of unlabeled and labeled compound 6 is incorrect in the Table of Contents graphic, the Abstract graphic, the graphics above Tables 1 and 2, and Scheme 3; the corrected structure is given. The corrected structure is also given for the unlabeled structure above the second arrow in the Table of Contents graphic, the abstract graphic, and Scheme 3. On page 3647, Abstract, line 2, N-phenyldiazamaleimide should read N-phenylmaleimide. On page 3648, column 2, Table 1, the legend for the sixth substrate which reads R2 = OPhNO2o should read R2 = OPhNO2p. On page 3649, column 1, paragraph 4, sentence 2, N-

phenylmaleiimide should read N-phenylmaleimide. On page 3649, Table 2, column 1, the legend under structure 6 and the heading of the third column should read 6a,f,g. On page 3650, column 2, compound nos. in the Supporting Information Available statement should read 21, 2f, 3b, 4a, 4f, 6a, 6d, 6e, 6f, 8, 10, and 11. The Supporting Information has been revised to correct the compound numbering. This material is available free of charge via the Internet at http://pubs.acs.organic

IT **381730-42-3P 381730-43-4P 381730-44-5P**
381730-45-6P 381730-46-7P 381730-65-0P
(preparation and thermolysis of stable heterocyclic precursors of 1,2-diaza-1,3-butadienes (Erratum))

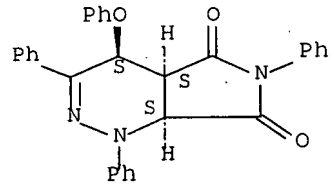
RN 381730-42-3 HCAPLUS
CN 1H-Pyrrolo[3,4-c]pyridazine-5,7(4H,6H)-dione, 4a,7a-dihydro-1,3,4,6-tetraphenyl-, (4R,4aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



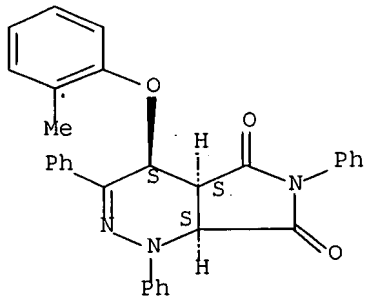
RN 381730-43-4 HCAPLUS
CN 1H-Pyrrolo[3,4-c]pyridazine-5,7(4H,6H)-dione, 4a,7a-dihydro-4-phenoxy-1,3,6-triphenyl-, (4R,4aR,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



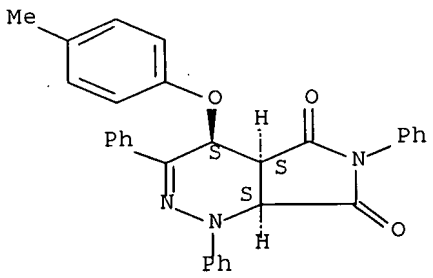
RN 381730-44-5 HCAPLUS
CN 1H-Pyrrolo[3,4-c]pyridazine-5,7(4H,6H)-dione, 4a,7a-dihydro-4-(2-methylphenoxy)-1,3,6-triphenyl-, (4R,4aR,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



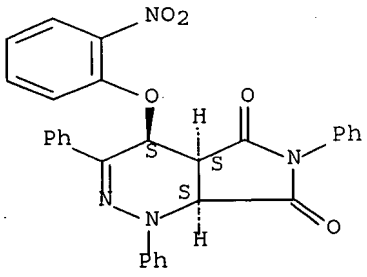
RN 381730-45-6 HCAPLUS
CN 1H-Pyrrolo[3,4-c]pyridazine-5,7(4H,6H)-dione, 4a,7a-dihydro-4-(4-methylphenoxy)-1,3,6-triphenyl-, (4R,4aR,7aR)-rel- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



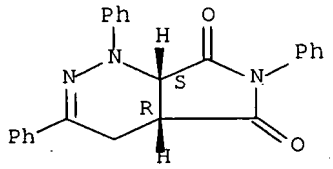
RN 381730-46-7 HCAPLUS
CN 1H-Pyrrolo[3,4-c]pyridazine-5,7(4H,6H)-dione, 4a,7a-dihydro-4-(2-nitrophenoxy)-1,3,6-triphenyl-, (4R,4aR,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 381730-65-0 HCAPLUS
CN 1H-Pyrrolo[3,4-c]pyridazine-5,7(4H,6H)-dione, 4a,7a-dihydro-1,3,6-triphenyl-, (4aR,7aS)-rel- (9CI) (CA INDEX NAME)

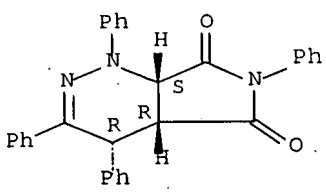
Relative stereochemistry.



CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 381730-37-6P 381730-39-8P 381730-40-1P 381730-41-2P
381730-42-3P 381730-43-4P 381730-44-5P
381730-45-6P 381730-46-7P 381730-52-5P
381730-53-6P 381730-54-7P 381730-55-8P 381730-63-8P
381730-65-0P 381730-66-1P
(preparation and thermolysis of stable heterocyclic precursors of 1,2-diaza-1,3-butadienes (Erratum))

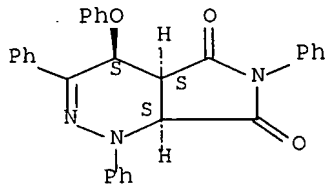
L60 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:758215 HCAPLUS Full-text
DOCUMENT NUMBER: 136:53719
TITLE: New Heterocyclic Precursors for Thermal Generation of Reactive, Electron-Rich 1,2-Diaza-1,3-butadienes
AUTHOR(S): Boeckman, Robert K., Jr.; Ge, Ping; Reed, Jessica E.
CORPORATE SOURCE: Department of Chemistry, University of Rochester, Rochester, NY, 14627-0216, USA
SOURCE: Organic Letters (2001), 3(23), 3647-3650
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:53719
AB The preparation and thermolysis of new stable heterocyclic precursors of 1,2-diaza-1,3-butadienes is described. The resulting reactive diazadienes are trapped in situ with N-phenylmaleimide. The effect of precursor structure on the temperature at which the diazadienes are generated is discussed.
IT **381730-42-3P 381730-43-4P 381730-44-5P**
381730-45-6P 381730-46-7P 381730-65-0P
(preparation and thermolysis of stable heterocyclic precursors of 1,2-diaza-1,3-butadienes)
RN 381730-42-3 HCAPLUS
CN 1H-Pyrrolo[3,4-c]pyridazine-5,7(4H,6H)-dione, 4a,7a-dihydro-1,3,4,6-tetraphenyl-, (4R,4aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



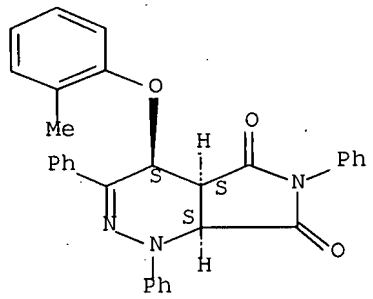
RN 381730-43-4 HCAPLUS
CN 1H-Pyrrolo[3,4-c]pyridazine-5,7(4H,6H)-dione, 4a,7a-dihydro-4-phenoxy-1,3,6-triphenyl-, (4R,4aR,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



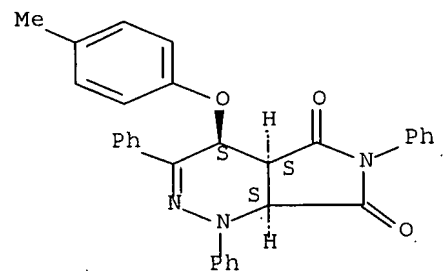
RN 381730-44-5 HCAPLUS
CN 1H-Pyrrolo[3,4-c]pyridazine-5,7(4H,6H)-dione, 4a,7a-dihydro-4-(2-methylphenoxy)-1,3,6-triphenyl-, (4R,4aR,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



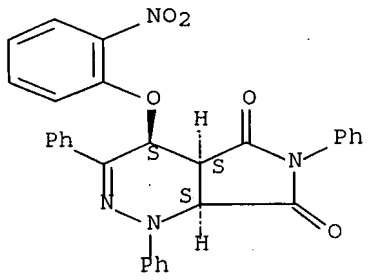
RN 381730-45-6 HCAPLUS
CN 1H-Pyrrolo[3,4-c]pyridazine-5,7(4H,6H)-dione, 4a,7a-dihydro-4-(4-methylphenoxy)-1,3,6-triphenyl-, (4R,4aR,7aR)-rel- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



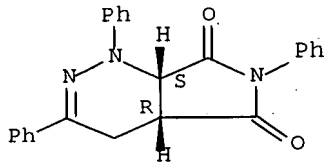
RN 381730-46-7 HCAPLUS
CN 1H-Pyrrolo[3,4-c]pyridazine-5,7(4H,6H)-dione, 4a,7a-dihydro-4-(2-nitrophenoxy)-1,3,6-triphenyl-, (4R,4aR,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 381730-65-0 HCAPLUS
CN 1H-Pyrrolo[3,4-c]pyridazine-5,7(4H,6H)-dione, 4a,7a-dihydro-1,3,6-triphenyl-, (4aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 381730-37-6P 381730-39-8P 381730-40-1P 381730-41-2P
381730-42-3P 381730-43-4P 381730-44-5P
381730-45-6P 381730-46-7P 381730-52-5P
381730-53-6P 381730-54-7P 381730-55-8P 381730-63-8P
381730-65-0P 381730-66-1P
(preparation and thermolysis of stable heterocyclic precursors of 1,2-diaza-1,3-butadienes)
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 6 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:557320 HCAPLUS Full-text
DOCUMENT NUMBER: 135:288678
TITLE: The synthesis of 3-acetyl-2-(4,4-dimethyl-2,6-dioxocyclohexyl)-1-phenylpentanedione-1,4 and its reactions with N-nucleophiles
AUTHOR(S): Andin, Alexander N.; Kaminskii, Vladimir A.; Dubovitskii, Sergey V.
CORPORATE SOURCE: Far Eastern State University, Vladivostok, 690950, Russia

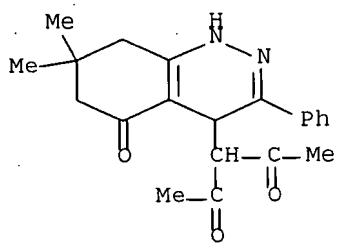
SOURCE: Heterocyclic Communications (2001), 7(2), 155-158
CODEN: HCOMEX; ISSN: 0793-0283
PUBLISHER: Freund Publishing House Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:288678

AB The condensation of 3-hydroxy-5,5-dimethyl-2-cyclohexen-1-one with 1,1-diacetyl-2-benzoylethylene gave 2-[1-benzoyl-2-(1- hydroxyethylidene)-3-oxobutyl]-1,3-cyclohexanedione (I). The reaction of I with primary amines give pyrroles; reaction with ammonium acetate gave a pyrrolo[3,4-c]quinoline derivative The reaction of 3-amino-5,5-dimethyl-2-cyclohexen-1-one with 1,1-diacetyl-2- benzoylethylene was also reported.

IT **364729-38-4P**
(preparation of)

RN 364729-38-4 HCAPLUS

CN 2,4-Pentanedione, 3-(1,4,5,6,7,8-hexahydro-7,7-dimethyl-5-oxo-3-phenyl-4-cinnolinyl)- (9CI) (CA INDEX NAME)

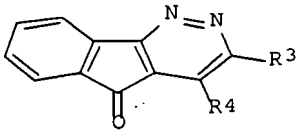


CC 27-17 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 24

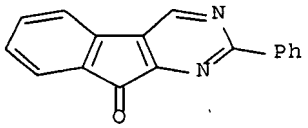
IT 364729-36-2P 364729-37-3P **364729-38-4P** 364729-39-5P
364729-40-8P
(preparation of)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:572928 HCAPLUS Full-text
DOCUMENT NUMBER: 129:275887
TITLE: Inhibition of monoamine oxidase-B by condensed pyridazines and pyrimidines: Effects of lipophilicity and structure-activity relationships
AUTHOR(S): Altomare, Cosimo; Cellamare, Saverio; Summo, Luciana; Catto, Marco; Carotti, Angelo; Thull, Ulrike; Carrupt, Pierre-Alain; Testa, Bernard; Stoeckli-Evans, Helen
CORPORATE SOURCE: Dipartimento Farmaco-chimico, Universita di Bari, Bari, I-70125, Italy
SOURCE: Journal of Medicinal Chemistry (1998), 41(20), 3812-3820
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



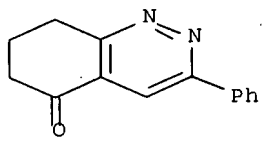
II

AB A number of condensed pyridazines, e.g., I (R3 = H, Ph, 4'-FC6H4, etc.), and pyrimidines, e.g., II, were synthesized and tested for their monoamine oxidase-A (MAO-A) and MAO-B inhibitory activity. Their lipophilicity was examined by measuring partition coeffs. and RP-HPLC capacity factors, revealing some peculiar electronic and conformational effects. Further insights were obtained by x-ray crystallog. and a thermodn. study of RP-HPLC retention. Structure-activity relations highlighted the main factors determining both selectivity and inhibitory potency. Thus, while most of the condensed pyridazines were reversible inhibitors of MAO-B with little or no MAO-A effects, the pyrimidine derivs. proved to be reversible and selective MAO-A inhibitors. Substituents on the diazine nucleus modulated enzyme inhibition. A QSAR anal. of X-substituted 3-X-phenyl-5H-indeno[1,2-c]pyridazin-5-ones showed lipophilicity to increase MAO-B and not MAO-A inhibitory activity.

IT **213837-33-3P**
(preparation, monoamine oxidase-A and -B inhibitory activity, and structure activity relationship of condensed pyridazines)

RN 213837-33-3 HCAPLUS

CN 5(6H)-Cinnolinone, 7,8-dihydro-3-phenyl- (9CI) (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 7

IT 34595-83-0P 150365-52-9P **213837-33-3P** 213837-34-4P
213837-36-6P 213837-38-8P
(preparation, monoamine oxidase-A and -B inhibitory activity, and structure activity relationship of condensed pyridazines)

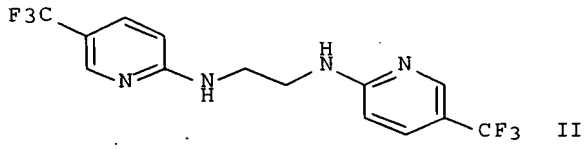
REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:268348 HCAPLUS Full-text
DOCUMENT NUMBER: 128:321662
TITLE: Compositions and methods for treating bone deficit conditions
INVENTOR(S): Orme, Mark W.; Baindur, Nand; Robbins, Kirk G.; et al.

PATENT ASSIGNEE(S): Zymogenetics, Inc., USA; Osteoscreen, Inc.
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817267	A1	19980430	WO 1997-US18864	19971023
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, US, US, US, US, US, US, US, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5990169	A	19991123	US 1997-806771	19970226
US 6153631	A	20001128	US 1997-806768	19970226
US 6251901	B1	20010626	US 1997-806769	19970226
US 5919808	A	19990706	US 1997-808743	19970228
US 5922753	A	19990713	US 1997-808742	19970228
US 5948776	A	19990907	US 1997-808739	19970228
US 5994358	A	19991130	US 1997-808744	19970228
US 6342514	B1	20020129	US 1997-808741	19970228
US 5965573	A	19991012	US 1997-812141	19970306
AU 9749889	A1	19980515	AU 1997-49889	19971023
EP 973513	A1	20000126	EP 1997-912787	19971023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001510450	T2	20010731	JP 1998-519529	19971023
US 6649631	B1	20031118	US 1999-297188	19991119
PRIORITY APPLN. INFO.:			US 1996-735870	A2 19961023
			US 1996-735873	A2 19961023
			US 1996-735874	A2 19961023
			US 1996-735876	A2 19961023
			US 1996-735881	A2 19961023
			US 1996-736220	A2 19961023
			US 1996-736221	A2 19961023
			US 1996-736222	A2 19961023
			US 1996-736228	A2 19961023
			US 1996-736318	A2 19961023
			US 1996-736319	A2 19961023
			WO 1997-US18864	W 19971023

OTHER SOURCE(S): MARPAT 128:321662
GI



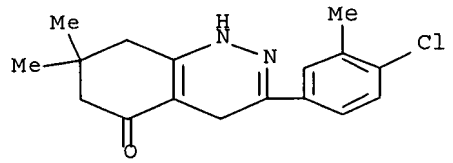
II

AB Compds. containing 2 covalently linked aromatic systems, i.e. Ar1LAr2 [I; Ar1, Ar2 = (un)substituted Ph, naphthyl, or 5- or 6-membered aromatic heterocyclyl; L = linker (atoms or covalent bond per se) so as to space the aromatic systems at a distance of 1.5-15 Å] are effective in treating conditions associated with bone deficits. The compds. can be administered to vertebrate subjects alone or in combination with addnl. agents that promote bone growth or that inhibit bone resorption. They can be screened for activity prior to administration by assessing their ability to effect the transcription of a reporter gene coupled to a promoter associated with a bone morphogenetic protein and/or their ability to stimulate calvarial growth in model animal systems. A variety of compds. were prepared and/or tested by high-throughput screening. For instance, title compound II was prepared by condensation of 2-chloro-5-(trifluoromethyl)pyridine with ethylenediamine in the presence of EtN(Pr-iso)2 at reflux. At 5-50 μg/kg/day in ovariectomized rats, II stimulated bone growth with volume increases of 21-71% observed In a calvarial bone growth assay, another compound I induced a 4-fold increase in width of new calvarial bone vs. controls.

IT **190436-31-8 190436-38-5**
(preparation of (hetero)aromatic compds. for treating bone deficit conditions)

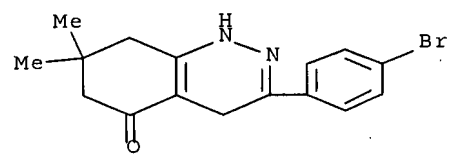
RN 190436-31-8 HCAPLUS

CN 5(1H)-Cinnolinone, 3-(4-chloro-3-methylphenyl)-4,6,7,8-tetrahydro-7,7-dimethyl- (9CI) (CA INDEX NAME)



RN 190436-38-5 HCAPLUS

CN 5(1H)-Cinnolinone, 3-(4-bromophenyl)-4,6,7,8-tetrahydro-7,7-dimethyl- (9CI) (CA INDEX NAME)



IC ICM A61K031-165
ICS A61K031-215; A61K031-33; A61K031-405; A61K031-415; A61K031-42; A61K031-425; A61K031-44; A61K031-47; A61K031-505; A61K031-53; A61K031-535; A61K031-54

CC 28-20 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 25, 27

IT 479-13-0 619-67-0 961-60-4 1138-15-4 1694-45-7 2390-54-7
4765-56-4 6019-43-8 7477-43-2 7477-46-5 10205-62-6
10360-31-3 15826-37-6 19736-41-5 22765-52-2 22765-57-7
28620-82-8 33357-46-9 33757-75-4 34580-14-8 37052-98-5
38101-69-8 38101-92-7 48189-64-6 49582-19-6 52869-16-6
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77143-59-0 77669-19-3 80998-91-0 84088-42-6 93873-08-6
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190437-91-3 190437-92-4 190437-93-5 190437-94-6 190437-95-7
190437-96-8 190437-98-0 190437-99-1 190438-00-7
(preparation of (hetero)aromatic compds. for treating bone deficit conditions)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:397336 HCAPLUS Full-text
DOCUMENT NUMBER: 127:17703
TITLE: Preparation of (hetero)aromatic compounds for treating bone deficit conditions.
INVENTOR(S): Petrie, Charles; Orme, Mark W.; Baindur, Nand; Robbins, Kirk G.; Harris, Scott M.; Kontoyianni, Maria; Hurley, Laurence H.; Kerwin, Sean M.; Mundy, Gregory R.
PATENT ASSIGNEE(S): Zymogenetics, Inc., USA; Osteoscreen, Inc.; University of Texas At Austin
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9715308	A1	19970501	WO 1996-US17019	19961023
W: AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, FI, GE, HU, IL, IS, JP, KG, KP, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, UZ, VN, AZ, BY, KZ, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2235481	AA	19970501	CA 1996-2235481	19961023
AU 9674710	A1	19970515	AU 1996-74710	19961023
AU 706262	B2	19990610		
EP 866710	A1	19980930	EP 1996-936906	19961023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1201393	A	19981209	CN 1996-197827	19961023
HU 9802319	A2	19990201	HU 1998-2319	19961023
BR 9611210	A	19991228	BR 1996-11210	19961023
JP 2000513324	T2	20001010	JP 1997-516761	19961023
US 6008208	A	19991228	US 1997-878868	19970619
NO 9801810	A	19980622	NO 1998-1810	19980422
US 6413998	B1	20020702	US 1999-453828	19991202
PRIORITY APPLN. INFO.:			US 1995-5830P	P 19951023
			US 1996-735875	B1 19961023
			WO 1996-US17019	W 19961023
			US 1997-878868	A3 19970619

OTHER SOURCE(S): MARPAT 127:17703

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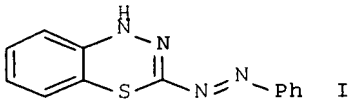


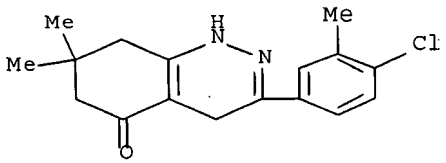
I

AB A method for treating deficient bone growth and/or undesirable bone resorption comprises administration of compds. comprising 2 (substituted) aromatic systems spaced apart by a linker of 1.5-15 Å, is claimed. Thus, dithizone was refluxed in EtOH/HOAc for 18 h to give 25% title compound (I). In a calvarial bone growth assay, I induced a 4-fold increase in width of new calvarial bone vs. controls.

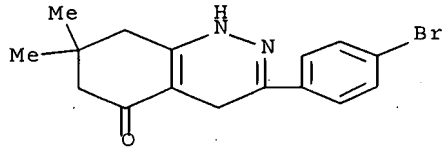
IT **190436-31-8 190436-38-5**
(preparation of (hetero)aromatic compds. for treating bone deficit conditions)

RN 190436-31-8 HCAPLUS

CN 5(1H)-Cinnolinone, 3-(4-chloro-3-methylphenyl)-4,6,7,8-tetrahydro-7,7-dimethyl- (9CI) (CA INDEX NAME)



RN 190436-38-5 HCAPLUS
CN 5(1H)-Cinnolinone, 3-(4-bromophenyl)-4,6,7,8-tetrahydro-7,7-dimethyl- (9CI) (CA INDEX NAME)



IC ICM A61K031-54
CC 28-20 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 25, 27
IT 100-10-7 479-13-0 619-67-0 961-60-4 1138-15-4 1694-45-7
2390-54-7 4765-56-4 6019-43-8 7477-43-2 7477-46-5 10205-62-6
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77143-59-0 77669-19-3 80998-91-0 84088-42-6 93873-08-6
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143816-41-5 145603-02-7 182572-98-1 190436-20-5 190436-27-2
190436-31-8 190436-32-9 190436-35-2 **190436-38-5**
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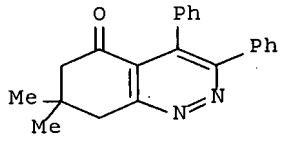
190437-98-0 190437-99-1 190438-00-7
(preparation of (hetero)aromatic compds. for treating bone deficit conditions)

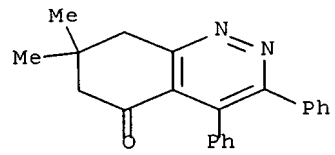
L60 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:668766 HCAPLUS Full-text
DOCUMENT NUMBER: 125:328539
TITLE: Heteroannulation of cyclic enaminone and dimedone
AUTHOR(S): Assy, M. G.; Motti, F. M. Abd-El
CORPORATE SOURCE: Chem. Dep., Fac. Sci., Zagazig Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1996), 39(6), 581-586
CODEN: EGJCA3; ISSN: 0367-0422
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Heteroannulation of a cyclic enaminone and dimedone were investigated. E.g., reaction of dimedone and benzil monohydrazone in Et3N/EtOH gave 80% phthalazinone I. Also prepared were quinolino[2,3-d]pyrimidinones and a quinazolinone derivative

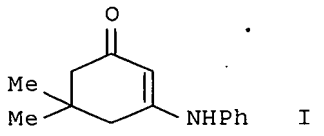
IT **178243-83-9P**
(heteroannulation of cyclic enaminone and dimedone)
RN 178243-83-9 HCAPLUS
CN 5(6H)-Cinnolinone, 7,8-dihydro-7,7-dimethyl-3,4-diphenyl- (9CI) (CA INDEX NAME)



CC 28-1 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 2826-26-8P 156496-74-1P 156496-75-2P 178243-75-9P 178243-80-6P
178243-83-9P
(heteroannulation of cyclic enaminone and dimedone)

L60 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:309837 HCAPLUS Full-text
DOCUMENT NUMBER: 125:58438
TITLE: Heteroannulation of cyclic enaminone and dimedone
AUTHOR(S): Assy, M. G.; Abd-Ell Motti, F. M.
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Dokki-Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1996), 35B(6), 608-610

CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: Publications & Information Directorate, CSIR
DOCUMENT TYPE: Journal
LANGUAGE: English
GI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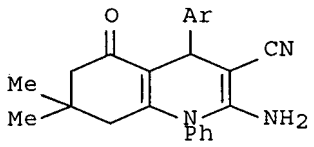
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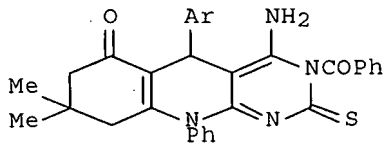
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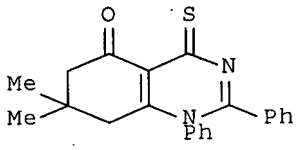
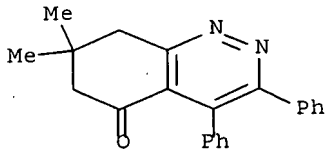

IV

AB Enaminone I undergoes cyclization with benzylidenes ArCH:C(CN)2 (Ar = Ph, 4-ClC6H4, 4-MeOC6H4) to give quinolines II which are converted into quinolinopyrimidines III by treatment with benzoyl isothiocyanate. Cyclocondensation of I with benzoyl isothiocyanate furnishes quinazolone IV.

IT **178243-83-9P**
(heteroannulation of cyclic enaminone and dimedone)

RN 178243-83-9 HCAPLUS

CN 5(6H)-Cinnolinone, 7,8-dihydro-7,7-dimethyl-3,4-diphenyl- (9CI) (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 532-55-8P, Benzoyl isothiocyanate 178243-80-6P **178243-83-9P**
(heteroannulation of cyclic enaminone and dimedone)

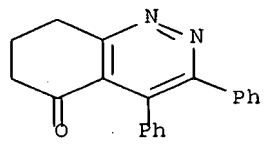
L60 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:769330 HCAPLUS Full-text
DOCUMENT NUMBER: 123:339962
TITLE: The synthesis of pyridazine and fused pyridazine
AUTHOR(S): Assy, M. G.; Abd El-Ghani, E.
CORPORATE SOURCE: Chem. Dep., Fac. Sci. Zagazig Univ., Zagazig, Egypt
SOURCE: Polish Journal of Chemistry (1995), 69(5), 685-7
CODEN: PJCHDQ; ISSN: 0137-5083
PUBLISHER: Polish Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis of pyridazine and fused pyridazine derivs. by the reaction of benzil monohydrazone and activated keto methylene reagents is reported.

IT **170701-14-1P**
(synthesis of pyridazines and fused pyridazines)

RN 170701-14-1 HCAPLUS

CN 5(6H)-Cinnolinone, 7,8-dihydro-3,4-diphenyl- (9CI) (CA INDEX NAME)



CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))

IT **170701-14-1P**
(synthesis of pyridazines and fused pyridazines)

L60 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:156384 HCAPLUS Full-text

DOCUMENT NUMBER: 106:156384

TITLE: Synthesis and reactions of 4,6,7,8-tetrahydro-5(1H)-cinnolinones

AUTHOR(S): Nagarajan, K.; Shah, R. K.; Shenoy, S. J.

CORPORATE SOURCE: Res. Cent., Hindustan CIBA-GEIGY Ltd., Bombay, 400 063, India

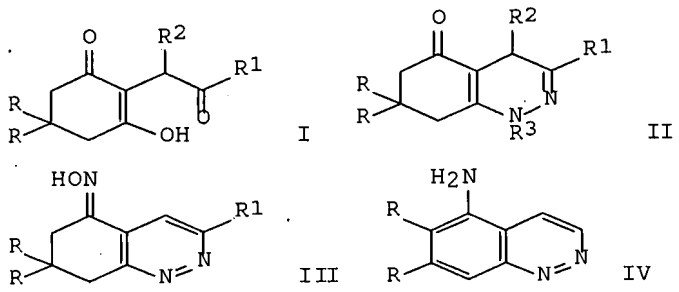
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1986), 25B(7), 697-708
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:156384

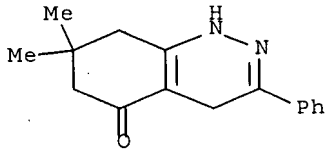
GI



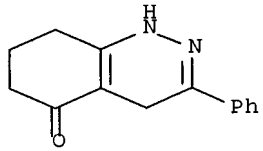
AB (Oxoalkyl)dimedones I (R = Me, R1 = Ph, Me, R2 = H; R = R2 = H, Me, R1 = Ph) underwent cyclization with hydrazines to give cinnolinones II [R3 = H, Me2NCH2CH2, Et2NCH2CH2, Me2N(CH2)3]. The partially aromatized oximes III (R = Me, R1 = Ph, Me; R = H, R1 = Ph) were prepared from II. III on treatment with polyphosphoric acid underwent Semmler-Wolff aromatization to give aminocinnolines IV.

IT **51940-66-0 51940-73-9 58136-95-1**
58137-00-1 58137-14-7 58137-20-5
(oximation of)

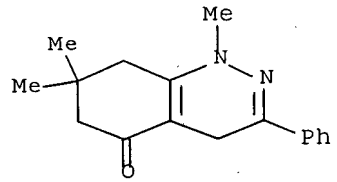
RN 51940-66-0 HCAPLUS
CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-7,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)



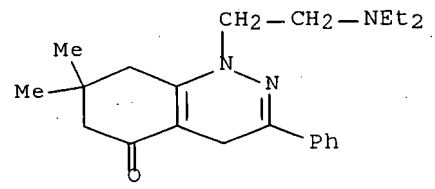
RN 51940-73-9 HCAPLUS
CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-3-phenyl- (9CI) (CA INDEX NAME)



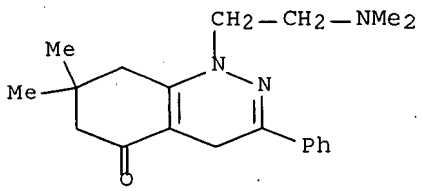
RN 58136-95-1 HCAPLUS
CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-1,7,7-trimethyl-3-phenyl- (9CI) (CA INDEX NAME)



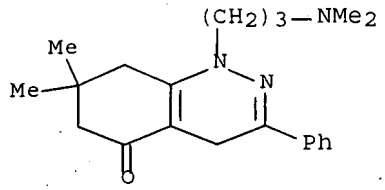
RN 58137-00-1 HCAPLUS
CN 5(1H)-Cinnolinone, 1-[2-(diethylamino)ethyl]-4,6,7,8-tetrahydro-7,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)



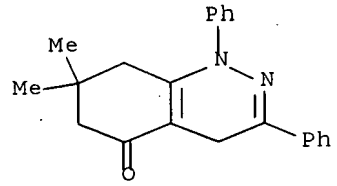
RN 58137-14-7 HCAPLUS
CN 5(1H)-Cinnolinone, 1-[2-(dimethylamino)ethyl]-4,6,7,8-tetrahydro-7,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)



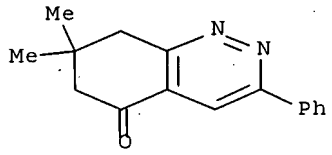
RN 58137-20-5 HCAPLUS
CN 5(1H)-Cinnolinone, 1-[3-(dimethylamino)propyl]-4,6,7,8-tetrahydro-7,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)



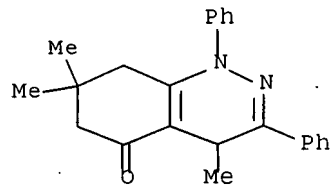
IT **58136-96-2P**
(preparation and oximation of)
RN 58136-96-2 HCAPLUS
CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-7,7-dimethyl-1,3-diphenyl- (9CI) (CA INDEX NAME)



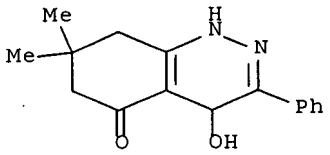
IT **51940-67-1P**
(preparation and reduction of)
RN 51940-67-1 HCAPLUS
CN 5(6H)-Cinnolinone, 7,8-dihydro-7,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)



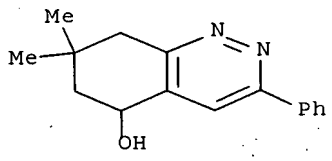
IT **107651-60-5P 107651-78-5P 107651-79-6P**
107651-93-4P 107651-96-7P
(preparation of)
RN 107651-60-5 HCAPLUS
CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-4,7,7-trimethyl-1,3-diphenyl- (9CI) (CA INDEX NAME)



RN 107651-78-5 HCAPLUS
CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-4-hydroxy-7,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)

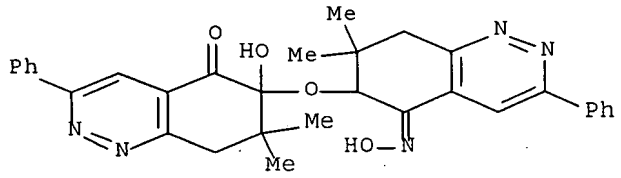


RN 107651-79-6 HCAPLUS
CN 5-Cinnolinol, 5,6,7,8-tetrahydro-7,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)

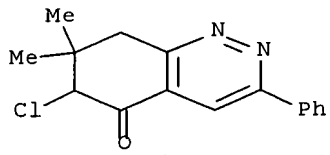


RN 107651-93-4 HCAPLUS
CN 5(6H)-Cinnolinone, 7,8-dihydro-6-hydroxy-7,7-dimethyl-3-phenyl-6-[[5,6,7,8-tetrahydro-5-(hydroxyimino)-7,7-dimethyl-3-phenyl-6-

cinnolinyl]oxy]- (9CI) (CA INDEX NAME)



RN 107651-96-7 HCAPLUS
CN 5(6H)-Cinnolinone, 6-chloro-7,8-dihydro-7,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)



CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
IT **51940-66-0** 51940-72-8 **51940-73-9**
58136-95-1 58137-00-1 58137-14-7
58137-20-5
(oximation of)
IT **58136-96-2P** 107651-70-7P 107651-72-9P
(preparation and oximation of)
IT **51940-67-1P**
(preparation and reduction of)
IT 10604-22-5P, 3-Phenylcinnoline 33553-23-0P 51940-74-0P
51940-75-1P 57822-05-6P 102948-42-5P **107651-60-5P**
107651-61-6P 107651-62-7P 107651-63-8P 107651-64-9P
107651-65-0P 107651-67-2P 107651-73-0P 107651-74-1P
107651-75-2P 107651-76-3P 107651-77-4P **107651-78-5P**
107651-79-6P 107651-80-9P 107651-82-1P 107651-83-2P
107651-85-4P 107651-87-6P 107651-88-7P 107651-89-8P
107651-90-1P 107651-91-2P 107651-92-3P **107651-93-4P**
107651-94-5P 107651-95-6P **107651-96-7P** 107651-97-8P
107700-84-5P
(preparation of)

L60 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:207886 HCAPLUS Full-text
DOCUMENT NUMBER: 98:207886
TITLE: 3-Phenyl-5-oxo-7,7-dimethyl-1(H),4(H)-5,6,7,8-tetrahydrobenzo[c]pyridazine, C16H18N2O
AUTHOR(S): Padmanabhan, P. V.; Ramadas, S. R.; Varghese, Babu; Srinivasan, S.
CORPORATE SOURCE: Dep. Chem., Indian Inst. Technol., Madras, 600 036, India
SOURCE: Crystal Structure Communications (1982), 11(4, Pt. A), 1277-82

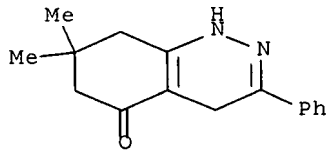
CODEN: CSCMCS; ISSN: 0302-1742
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The title compound is orthorhombic, space group Pbca, with a 9.158(2), b 11.424(7) and c 25.783(4) Å; Z = 8 for dc = 1.253 and do= 1.250. The structure was solved by direct methods and refined by full-matrix least squares to a final R = 0.059. Atomic coordinates are given. The C5-O (1.265 Å) and C9-C10 (1.379 Å) values are larger than the usual values (1.23 Å for C = O and 1.337 Å for C = C) reported in the International Tables (1968). This can be attributed to the conjugation of the lone pair of N1 with the carbonyl function via the double bond.

IT **51940-66-0**
(structure of)

RN 51940-66-0 HCAPLUS

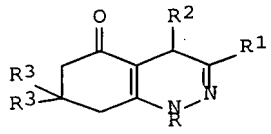
CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-7,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)



CC 75-8 (Crystallography and Liquid Crystals)
Section cross-reference(s): 28

IT **51940-66-0**
(structure of)

L60 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:130128 HCAPLUS Full-text
DOCUMENT NUMBER: 84:130128
TITLE: Central nervous system active 5-oxo-1,4,5,6,7,8-hexahydrocinnolines
AUTHOR(S): Nagarajan, Kuppuswamy; David, Joy; Shah, Rashmi K.
CORPORATE SOURCE: Ciba-Geigy Res. Cent., Bombay, India
SOURCE: Journal of Medicinal Chemistry (1976), 19(4), 508-11
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 84:130128
GI



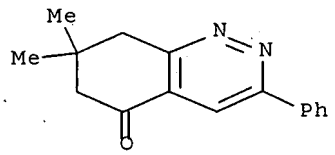
I

AB Among a series of 5-oxo-1,4,5,6,7,8-hexahydrocinnolines (I) examined for central nervous system activity, 1-(2-diethylaminoethyl)-3-(p- fluorophenyl)-7,7-dimethyl-5-oxo-1,4,5,6,7,8-hexahydrocinnoline [**58137-07-8**] and 1-(2-dimethylaminoethyl)-3-phenyl-5-oxo-7,7- dimethyl-1,4,5,6,7,8-hexahydrocinnoline monoperchlorate [**58137-15-8**] had sedative and anticonvulsant properties and were also active in tests used to characterize antidepressants. However, their narrow safety margin precludes clin. study. Derivs. of 2-(ω-phenacyl)-3-hydrazino-5,5-dimethyl-2-cyclohexenone were active in tests used to characterize antidepressants and were weakly sedative but not anticonvulsant. Structure-activity relationships are discussed.

IT **51940-67-1**
(pharmacol. of)

RN 51940-67-1 HCAPLUS

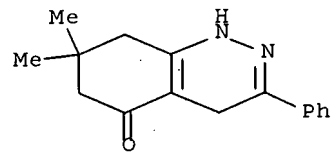
CN 5(6H)-Cinnolinone, 7,8-dihydro-7,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)



IT **51940-66-0P 58136-95-1P 58136-96-2P**
58136-98-4P 58136-99-5P 58137-01-2P
58137-03-4P 58137-05-6P 58137-06-7P
58137-07-8P 58137-09-0P 58137-11-4P
58137-15-8P 58137-17-0P 58137-19-2P
58137-21-6P 58137-22-7P 58137-24-9P
(preparation and pharmacol. of)

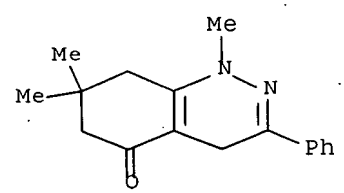
RN 51940-66-0 HCAPLUS

CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-7,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)

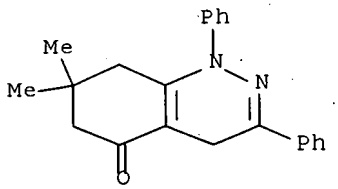


RN 58136-95-1 HCAPLUS

CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-1,7,7-trimethyl-3-phenyl- (9CI) (CA INDEX NAME)



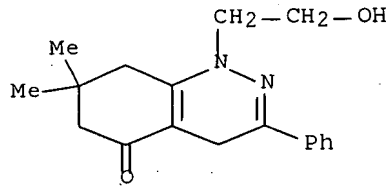
RN 58136-96-2 HCAPLUS
CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-7,7-dimethyl-1,3-diphenyl- (9CI) (CA INDEX NAME)



RN 58136-98-4 HCAPLUS
CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-1-(2-hydroxyethyl)-7,7-dimethyl-3-phenyl-, mono(4-methylbenzenesulfonate) (salt) (9CI) (CA INDEX NAME)

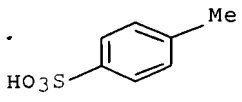
CM 1

CRN 58136-97-3
CMF C18 H22 N2 O2

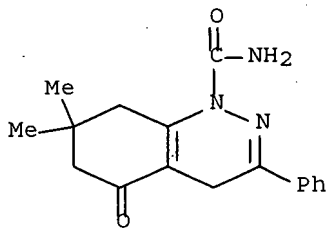


CM 2

CRN 104-15-4
CMF C7 H8 O3 S



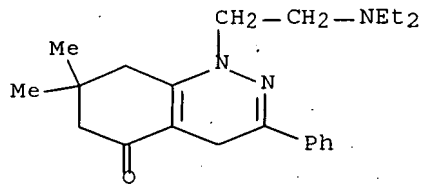
RN 58136-99-5 HCAPLUS
CN 1(4H)-Cinnolinecarboxamide, 5,6,7,8-tetrahydro-7,7-dimethyl-5-oxo-3-phenyl- (9CI) (CA INDEX NAME)



RN 58137-01-2 HCAPLUS
CN 5(1H)-Cinnolinone, 1-[2-(diethylamino)ethyl]-4,6,7,8-tetrahydro-7,7-dimethyl-3-phenyl-, monoperchlorate (9CI) (CA INDEX NAME)

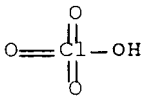
CM 1

CRN 58137-00-1
CMF C22 H31 N3 O



CM 2

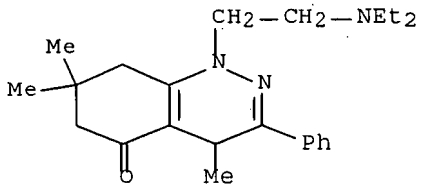
CRN 7601-90-3
CMF Cl H O4



RN 58137-03-4 HCAPLUS
CN 5(1H)-Cinnolinone, 1-[2-(diethylamino)ethyl]-4,6,7,8-tetrahydro-4,7,7-trimethyl-3-phenyl-, perchlorate (2:3) (9CI) (CA INDEX NAME)

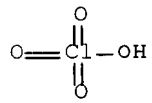
CM 1

CRN 58137-02-3
CMF C23 H33 N3 O



CM 2

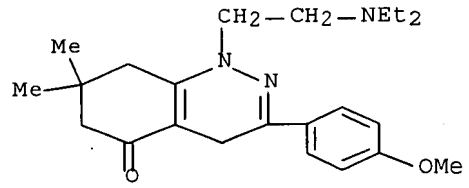
CRN 7601-90-3
CMF Cl H O4



RN 58137-05-6 HCAPLUS
CN 5(1H)-Cinnolinone, 1-[2-(diethylamino)ethyl]-4,6,7,8-tetrahydro-3-(4-methoxyphenyl)-7,7-dimethyl-, monoperchlorate (9CI) (CA INDEX NAME)

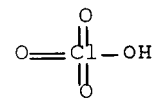
CM 1

CRN 58137-04-5
CMF C23 H33 N3 O2

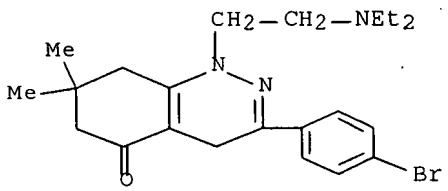


CM 2

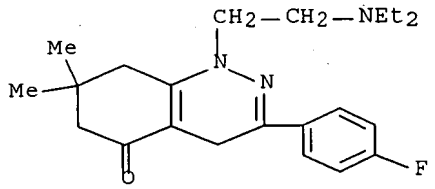
CRN 7601-90-3
CMF Cl H O4



RN 58137-06-7 HCAPLUS
CN 5(1H)-Cinnolinone, 3-(4-bromophenyl)-1-[2-(diethylamino)ethyl]-4,6,7,8-tetrahydro-7,7-dimethyl- (9CI) (CA INDEX NAME)



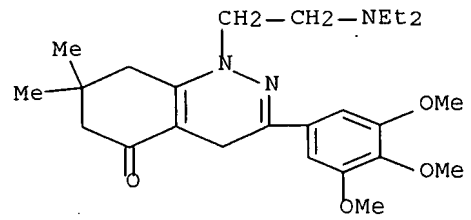
RN 58137-07-8 HCAPLUS
CN 5(1H)-Cinnolinone, 1-[2-(diethylamino)ethyl]-3-(4-fluorophenyl)-4,6,7,8-tetrahydro-7,7-dimethyl- (9CI) (CA INDEX NAME)



RN 58137-09-0 HCAPLUS
CN 5(1H)-Cinnolinone, 1-[2-(diethylamino)ethyl]-4,6,7,8-tetrahydro-7,7-dimethyl-3-(3,4,5-trimethoxyphenyl)-, monoperchlorate (9CI) (CA INDEX NAME)

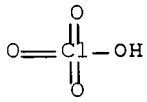
CM 1

CRN 58137-08-9
CMF C25 H37 N3 O4



CM 2

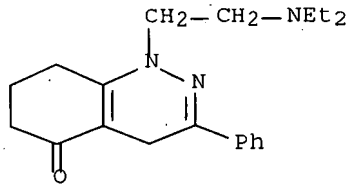
CRN 7601-90-3
CMF Cl H O4



RN 58137-11-4 HCAPLUS
CN 5(1H)-Cinnolinone, 1-[2-(diethylamino)ethyl]-4,6,7,8-tetrahydro-3-phenyl-, monoperchlorate (9CI) (CA INDEX NAME)

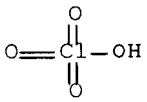
CM 1

CRN 58137-10-3
CMF C20 H27 N3 O



CM 2

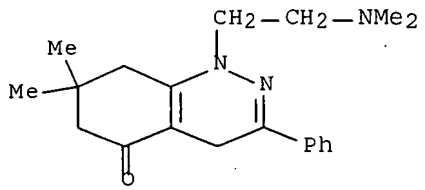
CRN 7601-90-3
CMF Cl H O4



RN 58137-15-8 HCAPLUS
CN 5(1H)-Cinnolinone, 1-[2-(dimethylamino)ethyl]-4,6,7,8-tetrahydro-7,7-dimethyl-3-phenyl-, monoperchlorate (9CI) (CA INDEX NAME)

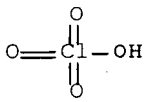
CM 1

CRN 58137-14-7
CMF C20 H27 N3 O



CM 2

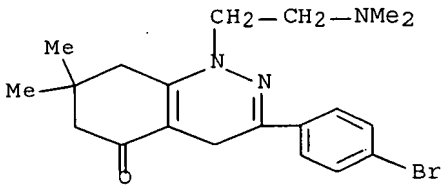
CRN 7601-90-3
CMF Cl H O4



RN 58137-17-0 HCAPLUS
CN 5(1H)-Cinnolinone, 3-(4-bromophenyl)-1-[2-(dimethylamino)ethyl]-4,6,7,8-tetrahydro-7,7-dimethyl-, monoperchlorate (9CI) (CA INDEX NAME)

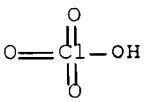
CM 1

CRN 58137-16-9
CMF C20 H26 Br N3 O



CM 2

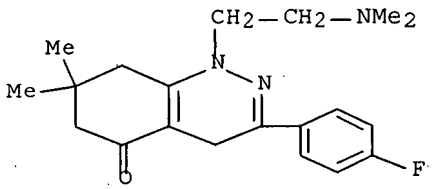
CRN 7601-90-3
CMF Cl H O4



RN 58137-19-2 HCAPLUS
CN 5(1H)-Cinnolinone, 1-[2-(dimethylamino)ethyl]-3-(4-fluorophenyl)-4,6,7,8-tetrahydro-7,7-dimethyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

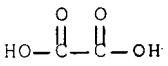
CM 1

CRN 58137-18-1
CMF C20 H26 F N3 O



CM 2

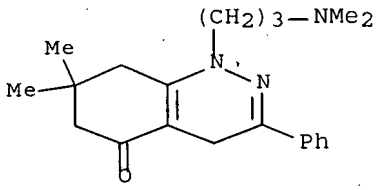
CRN 144-62-7
CMF C2 H2 O4



RN 58137-21-6 HCAPLUS
CN 5(1H)-Cinnolinone, 1-[3-(dimethylamino)propyl]-4,6,7,8-tetrahydro-7,7-dimethyl-3-phenyl-, monoperchlorate (9CI) (CA INDEX NAME)

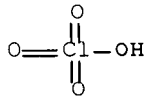
CM 1

CRN 58137-20-5
CMF C21 H29 N3 O

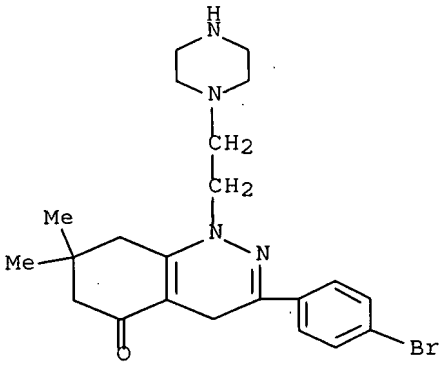


CM 2

CRN 7601-90-3
CMF Cl H O4



RN 58137-22-7 HCAPLUS
CN 5(1H)-Cinnolinone, 3-(4-bromophenyl)-4,6,7,8-tetrahydro-7,7-dimethyl-1-[2-(1-piperazinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

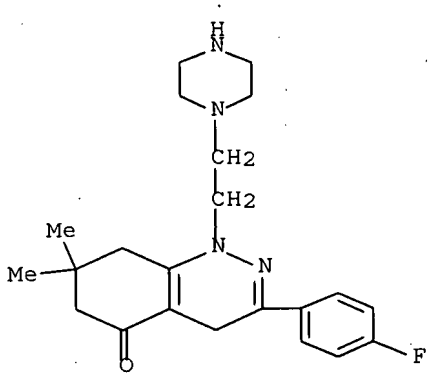


●2 HCl

RN 58137-24-9 HCAPLUS
CN 5(1H)-Cinnolinone, 3-(4-fluorophenyl)-4,6,7,8-tetrahydro-7,7-dimethyl-1-[2-(1-piperazinyl)ethyl]-, bis(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

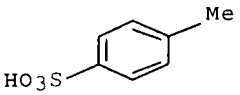
CM 1

CRN 58137-23-8
CMF C22 H29 F N4 O



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



CC 1-3 (Pharmacodynamics)
Section cross-reference(s): 28
IT **51940-67-1**
(pharmacol. of)
IT **51940-66-0P** 51940-72-8P **58136-95-1P**
58136-96-2P 58136-98-4P 58136-99-5P
58137-01-2P 58137-03-4P 58137-05-6P
58137-06-7P 58137-07-8P 58137-09-0P
58137-11-4P 58137-13-6P **58137-15-8P**
58137-17-0P 58137-19-2P 58137-21-6P
58137-22-7P 58137-24-9P 58137-26-1P 58137-27-2P
58137-28-3P 58137-29-4P 58137-30-7P 58137-31-8P
(preparation and pharmacol. of)

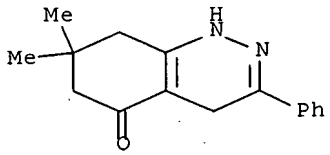
L60 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1974:120854 HCAPLUS Full-text
DOCUMENT NUMBER: 80:120854
TITLE: Novel formation of 5-aminocinnolines from 5-oxo-5,6,7,8-tetrahydrocinnolines. Abnormal course of Schmidt and Beckmann rearrangement
AUTHOR(S): Nagarajan, Kuppuswamy; Shah, Ralhmi K.
CORPORATE SOURCE: Res. Cent., CIBA, Bombay, India
SOURCE: Journal of the Chemical Society, Chemical Communications (1973), (24), 926-7
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.

AB The 5-oxo-5,6,7,8-tetrahydrocinnoline I (R = Ph, R1 = Me, X = O) with NaN3-H2SO4 for 2 hr at room temperature gave 75% II. II (R = Ph, R1 = Me, H; R = R1 = Me) were also prepared in 50-95% yield by reaction of the corresponding I (X = NOH) with polyphosphoric acid.

IT **51940-66-0P 51940-67-1P 51940-73-9P**
(preparation of)

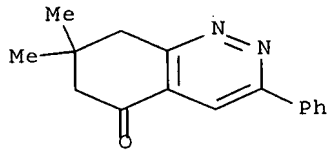
RN 51940-66-0 HCAPLUS

CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-7,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)



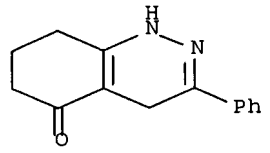
RN 51940-67-1 HCAPLUS

CN 5(6H)-Cinnolinone, 7,8-dihydro-7,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)



RN 51940-73-9 HCAPLUS

CN 5(1H)-Cinnolinone, 4,6,7,8-tetrahydro-3-phenyl- (9CI) (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 22

IT **51940-66-0P 51940-67-1P** 51940-68-2P 51940-70-6P
51940-71-7P 51940-72-8P **51940-73-9P** 51940-74-0P
51940-75-1P 51940-76-2P
(preparation of)

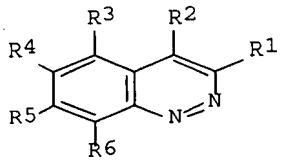
=> sel l56 hit rn 1-
E145 THROUGH E421 ASSIGNED

=> => d l63 1-13 ibib abs fhitstr hitind

L63 ANSWER 1 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:916151 HCAPLUS Full-text
DOCUMENT NUMBER: 145:293077
TITLE: Preparation of cinnoline-based prodrugs for treating diseases or disorders of Liver X receptors
INVENTOR(S): Hu, Baihua; Wrobel, Jay E.; Collini, Michael David; Unwalla, Rayomand J.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 158pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006094034	A1	20060908	WO 2006-US7224	20060301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006252757	A1	20061109	US 2006-365750	20060301
PRIORITY APPLN. INFO.:			US 2005-657296P	P 20050301

OTHER SOURCE(S): MARPAT 145:293077
GI



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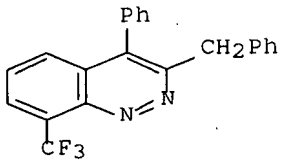


II

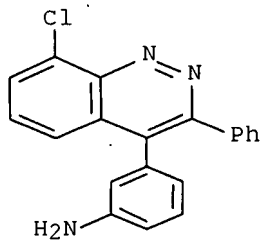
AB Cinnoline-based prodrugs I, wherein R1 is H, (un)substituted alkyl, (un)substituted aryl, etc.; R2 is (un)substituted aryl or heteroaryl, (un)substituted cycloalkyl, etc.; R3-R6 are independently H, halo, (un)substituted amino, azido, hydroxy, etc. are prepared for use as Liver X receptor modulators. Thus, II was prepared and tested in ABCA1 gene regulation in THP-1 cells and for binding in human LXRβ cells (no data, however EC50 is in the range of 0.01 to 15 μM and IC50 is between 0.001 and 20 μM resp.). Further, I can find utility in the treatment and inhibition of atherosclerosis and atherosclerotic lesions, lowering LDL cholesterol levels,

increasing HDL cholesterol levels, increasing reverse cholesterol transport, inhibiting cholesterol absorption, treatment or inhibition of Alzheimer's disease, type I diabetes, type II diabetes, multiple sclerosis, rheumatoid arthritis, acute coronary syndrome, restenosis, inflammatory bowel disease, Crohn's disease, endometriosis, celiac, and thyroiditis.

IT **908565-71-9P**
(preparation of cinnoline-based prodrugs for treating diseases or disorders of Liver X receptors)

RN 908565-71-9 HCAPLUS

CN Benzenamine, 3-(8-chloro-3-phenyl-4-cinnolinyl)- (9CI) (CA INDEX NAME)



CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT **908565-71-9P** **908565-74-2P** **908565-77-5P**
908565-79-7P 908565-82-2P **908565-91-3P**
908565-93-5P **908566-07-4P**
(preparation of cinnoline-based prodrugs for treating diseases or disorders of Liver X receptors)

IT **856179-41-4P** 908565-58-2P **908565-60-6P**
908565-62-8P **908565-64-0P** **908565-66-2P**
908565-75-3P **908565-76-4P** **908565-78-6P**
908565-83-3P 908565-84-4P 908565-85-5P 908565-86-6P
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908565-95-7P **908565-96-8P** **908565-97-9P**
908565-98-0P **908566-00-7P** 908566-01-8P 908566-02-9P
908566-03-0P **908566-04-1P** **908566-05-2P**
908566-06-3P **908566-08-5P** **908566-09-6P**
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908566-14-3P **908566-15-4P** **908566-17-6P**
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908566-47-2P 908566-48-3P 908566-49-4P 908566-50-7P
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908566-63-2P 908566-64-3P 908566-66-5P 908566-69-8P
908566-70-1P 908566-71-2P 908566-72-3P 908566-73-4P
908566-74-5P
(preparation of cinnoline-based prodrugs for treating diseases or disorders of Liver X receptors)

IT 18389-87-2P **177962-07-1P** 854778-19-1P 908565-59-3P
908565-65-1P 908565-67-3P **908565-68-4P**
908565-69-5P **908565-70-8P** **908565-72-0P**
908565-73-1P 908565-80-0P 908565-81-1P 908565-99-1P
908566-16-5P 908566-32-5P 908566-33-6P 908566-34-7P
908566-35-8P
(preparation of cinnoline-based prodrugs for treating diseases or disorders of Liver X receptors)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

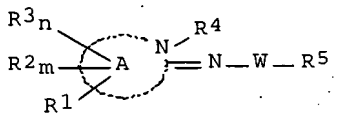
L63 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:469819 HCAPLUS Full-text
DOCUMENT NUMBER: 144:488643
TITLE: Preparation o f pyridine, thiazole and other heteroaryl imine compounds as cannabinoid receptor agonist
INVENTOR(S): Saito, Shiuji; Ohta, Hiroshi; Ishizaka, Tomoko; Yoshinaga, Mitsukane; Tatsuzuki, Makoto; Yokobori, Yuji; Tomishima, Yasumitsu; Morita, Aki; Toda, Yoshihisa; Tokugawa, Kimiko; Kaku, Ayaka; Murakami, Tomomi; Yoshimura, Hiromitsu; Sekine, Shingo; Yoshimizu, Takao
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 359 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006051704	A1	20060518	WO 2005-JP19977	20051031

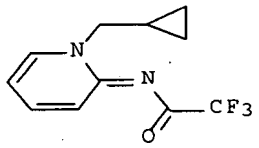
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:	JP 2004-330079	A	20041115
	JP 2004-330080	A	20041115
	JP 2005-162163	A	20050602
	JP 2005-209774	A	20050720

OTHER SOURCE(S): MARPAT 144:488643
GI



I



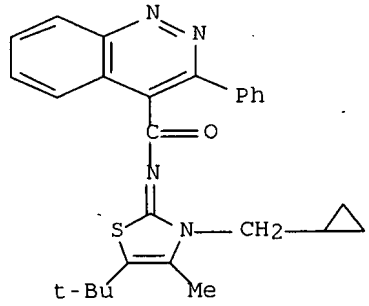
II

AB An imine compound represented by the formula I [wherein A = heterocyclic group; R1-R3 = independently H, halo, (un)substituted alkyl, etc.; R4 = (un)substituted (halo)alkyl, alkenyl, aryl, etc. ; R5 = H, alkoxy, haloalkyl, (un)substituted (hetero)cyclyl, etc.; W = -CO-, -CO-CO-, -CO-NH-, -CS-NH-, or -SO2-; m = 0 or 1; n = 0 or 1; and pharmaceutically acceptable salts thereof] were prepared as cannabinoid (CB) receptor agonists. For example, II was provided in a multi-step synthesis starting from 2-aminopyridine. I were tested for inhibition of human CB1 and CB2 receptor binding, and binding activity with GTP γ S mediated by human CB1, and analgesic activity. Thus, the title imine compds. have agonistic activity against a cannabinoid receptor and are useful as a therapeutic or preventive agent for pains and autoimmune diseases.

IT **887300-40-5P**
(preparation of pyridine, thiazole and other heteroaryl imine compds. as cannabinoid receptor agonist)

RN 887300-40-5 HCAPLUS

CN 4-Cinnolinecarboxamide, N-[3-(cyclopropylmethyl)-5-(1,1-dimethylethyl)-4-methyl-2(3H)-thiazolylidene]-3-phenyl- (9CI) (CA INDEX NAME)



CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

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(preparation of pyridine, thiazole and other heteroaryl imine compds. as cannabinoid receptor agonist)

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(preparation of pyridine, thiazole and other heteroaryl imine compds. as cannabinoid receptor agonist)

REFERENCE COUNT: 183 THERE ARE 183 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:318931 HCAPLUS Full-text
DOCUMENT NUMBER: 144:369918
TITLE: Preparation of pyridine derivatives as MCH

receptor antagonists

INVENTOR(S): Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Sato, Kumi; Hayashi, Masato; Yamamoto, Shuji

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan; Arena Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006035967	A1	20060406	WO 2005-JP18237	20050927

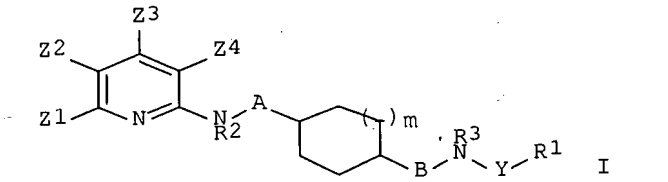
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-614358P P 20040930

OTHER SOURCE(S): MARPAT 144:369918

GI



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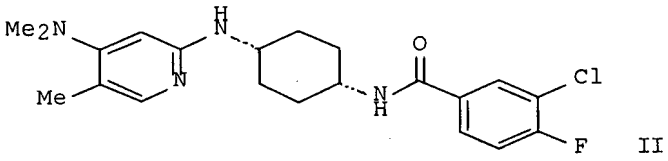


II

AB Title compds. represented by the formula I [wherein R1 = (un)substituted alkyl, alkenyl, carboxyl, etc.; R2, R3 = independently H or alkyl; A, B = independently a single bond, CH2 or (CH2)2; Z1-Z4 = independently H, halo, CN, carbamoyl, etc.; Y = SO2, CO, CO2, etc.; m = 0 or 1; and pharmaceutically acceptable salts, hydrates or solvates thereof] were prepared as MCH receptor antagonists. For example, II was provided in a multi-step synthesis starting

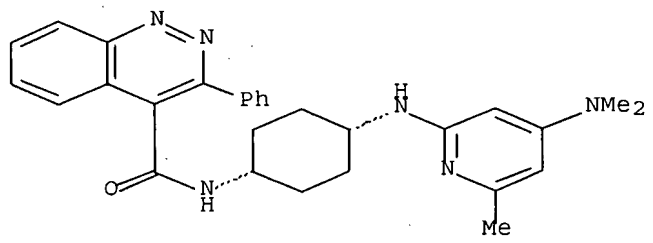
from 2-chloro-5- methylpyridine. II showed antagonist activity of MCH receptor with IC50 value of 1.0 nM. Thus, I and their pharmaceutical compns. are useful as MCH receptor antagonists in the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders and dyskinesia including Parkinson's disease, epilepsy, and addiction (no data).

IT **881892-75-7P**, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-3-phenylcinnoline-4-carboxamide
(preparation of pyridine derivs. as MCH receptor antagonists)

RN 881892-75-7 HCAPLUS

CN 4-Cinnolinecarboxamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyridinyl]amino]cyclohexyl]-3-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63

IT 881890-92-2P, 3-Chloro-4-fluoro-N-[cis-4-[(pyridin-2-yl)amino]cyclohexyl]benzamide hydrochloride 881890-93-3P, 3-Chloro-4-fluoro-N-[cis-4-[(5-methylpyridin-2-yl)amino]cyclohexyl]benzamide hydrochloride 881890-94-4P, 3-Chloro-4-fluoro-N-[cis-4-[(6-methylpyridin-2-yl)amino]cyclohexyl]benzamide hydrochloride 881890-95-5P, 3-Chloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyridin-2-yl]amino]cyclohexyl]-4-fluorobenzamide hydrochloride 881890-99-9P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyridin-2-yl]amino]cyclohexyl]-3,4,5-trifluorobenzamide hydrochloride 881891-00-5P, 3-Chloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyridin-2-yl]amino]cyclohexyl]-5-fluorobenzamide hydrochloride 881891-01-6P, 3,5-Dichloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyridin-2-yl]amino]cyclohexyl]benzamide hydrochloride 881891-02-7P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyridin-2-yl]amino]cyclohexyl]-3,4-difluorobenzamide hydrochloride 881891-03-8P, 3,4-Dichloro-N-[cis-4-[[4-(Dimethylamino)-5-methylpyridin-2-yl]amino]cyclohexyl]benzamide hydrochloride 881891-04-9P, 3-Chloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyridin-2-yl]amino]cyclohexyl]benzamide hydrochloride 881891-05-0P, 5-Bromo-N-[cis-4-[[4-(dimethylamino)-5-methylpyridin-2-yl]amino]cyclohexyl]nicotinamide dihydrochloride 881891-06-1P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyridin-2-yl]amino]cyclohexyl]-3-(trifluoromethoxy)benzamide hydrochloride 881891-07-2P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyridin-2-yl]amino]cyclohexyl]-4-fluoro-3-(trifluoromethyl)benzamide hydrochloride 881891-08-3P,

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yl]amino]cyclohexyl]amino]-2-oxoethyl]-N-[4-(trifluoromethoxy)phenyl]benzamide 881892-41-7P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-4-(4-nitrophenyl)butanamide 881892-42-8P 881892-44-0P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-(4-phenoxyphenyl)acetamide 881892-46-2P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-11-phenylundecanamide 881892-48-4P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-[(pyridin-4-yl)thio]acetamide 881892-50-8P 881892-52-0P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-(4-fluorobenzoyl)benzamide 881892-54-2P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-(2-phenylethyl)benzamide 881892-55-3P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-(ethylthio)-2,2-diphenylacetamide 881892-56-4P, 2-[(2-Cyanophenyl)thio]-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]benzamide 881892-57-5P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-4'-(trifluoromethyl)biphenyl-2-carboxamide 881892-58-6P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-7-nitro-9H-fluorene-4-carboxamide 881892-59-7P 881892-60-0P 881892-61-1P 881892-62-2P 881892-63-3P 881892-64-4P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-[4-(trifluoromethoxy)phenyl]acetamide 881892-65-5P 881892-67-7P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-5-(2-thienyl)pentanamide 881892-68-8P 881892-69-9P, 2-[5-(Benzyloxy)-1H-indol-3-yl]-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]acetamide 881892-70-2P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-N'-(3-methylphenyl)phthalamide 881892-71-3P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-3-methyl-4-oxo-2-phenyl-4H-chromene-8-carboxamide 881892-72-4P 881892-73-5P, 2-[3,5-Bis(trifluoromethyl)benzoyl]-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]benzamide 881892-74-6P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-[(3-methylbenzo[b]thien-2-yl)carbonyl]benzamide **881892-75-7P**, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-3-phenylcinnoline-4-carboxamide 881892-76-8P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-9-oxo-9H-fluorene-2-carboxamide 881892-77-9P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]biphenyl-2-carboxamide 881892-78-0P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-4-phenoxybenzamide 881892-79-1P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-9H-xanthene-9-carboxamide 881892-80-4P 881892-81-5P, 4-(Benzyloxy)-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]benzamide 881892-82-6P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-(4-methylbenzoyl)benzamide 881892-83-7P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-(phenoxymethyl)benzamide 881892-84-8P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-N'-(1-naphthyl)phthalamide 881892-85-9P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]anthracene-2-carboxamide 881892-86-0P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-4'-heptylbiphenyl-4-carboxamide 881892-87-1P, 2-[4-(4-Chlorophenyl)-2-phenylthiazol-5-yl]-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]acetamide 881892-88-2P, 2-(Benzylthio)-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]acetamide 881892-89-3P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-

yl]amino]cyclohexyl]-4-phenylbutanamide 881892-91-7P, 2-(Benzo[b]thien-3-yl)-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]acetamide 881892-92-8P, 2-(2,3-Dihydro-1H-inden-2-yl)-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]acetamide 881892-93-9P, 4-(3,4-Dimethoxyphenyl)-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]butanamide 881892-94-0P, 4-(2,3-Dihydro-1,4-benzodioxin-6-yl)-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]butanamide 881892-95-1P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-1-[(4-methylphenyl)sulfonyl]-1H-pyrrole-3-carboxamide 881892-96-2P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-4-(methylsulfonyl)benzamide 881892-97-3P, 5-Acetyl-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]thiophene-2-carboxamide 881892-98-4P, 3-Chloro-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-4-(isopropylsulfonyl)-5-(methylthio)thiophene-2-carboxamide 881892-99-5P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-5-(methylsulfonyl)thiophene-2-carboxamide 881893-00-1P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-4-(1,3-oxazol-5-yl)benzamide 881893-01-2P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-1-(phenylsulfonyl)-1H-indole-3-carboxamide 881893-02-3P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-4-nitropyridine-2-carboxamide 881893-03-4P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-oxo-2-phenylacetamide 881893-04-5P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-mesityl-2-(oxo)acetamide 881893-05-6P 881893-06-7P 881893-07-8P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-(9H-fluoren-9-ylidene)acetamide 881893-08-9P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2,1,3-benzoxadiazole-5-carboxamide 881893-09-0P, 2-(4-Chlorophenoxy)-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]acetamide 881893-10-3P, Methyl 4-[[[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]amino]carbonyl]benzoate 881893-11-4P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-phenoxyacetamide 881893-12-5P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-1,3-benzodioxole-5-carboxamide 881893-13-6P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-1-phenyl-5-(trifluoromethyl)-1H-pyrazole-4-carboxamide 881893-14-7P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-(2-nitrophenoxy)acetamide 881893-15-8P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]quinoxaline-2-carboxamide 881893-16-9P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-4-methylbenzamide 881893-17-0P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-(pentafluorophenoxy)acetamide 881893-18-1P, 2-(3,4-Dimethoxyphenyl)-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]acetamide 881893-19-2P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-4-(heptyloxy)benzamide 881893-20-5P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-4-pentylbenzamide 881893-21-6P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-4-nonylbenzamide 881893-22-7P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-3,3-dimethylbutanamide 881893-23-8P 881893-24-9P 881893-25-0P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-4-[(trifluoromethyl)thio]benzamide 881893-26-1P 881893-27-2P 881893-28-3P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-

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, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-9,10-dioxo-9,10-dihydroanthracene-2-carboxamide 881893-57-8P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]biphenyl-4-carboxamide 881893-58-9P, 2-[4-(Benzyloxy)phenyl]-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]acetamide 881893-59-0P, 2-(Phenylmethyloxy)-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]acetamide 881893-60-3P, 4-[(4-Chlorophenyl)sulfonyl]-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-3-methylthiophene-2-carboxamide 881893-61-4P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]cyclopentanecarboxamide 881893-62-5P, 4-Bromo-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]benzamide 881893-63-6P, 4-(Dimethylamino)-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]benzamide

881893-64-7P, 2-(4-Chlorophenyl)-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]acetamide 881893-65-8P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-3-phenylpropanamide 881893-66-9P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-phenoxynicotinamide 881893-67-0P, 5-Bromo-N-[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]nicotinamide 881893-68-1P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]isonicotinamide 881893-69-2P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-1-benzothiophene-2-carboxamide 881893-70-5P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]-2-furancarboxamide 881893-71-6P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]thiophene-2-carboxamide 881893-72-7P, N-[cis-4-[[2-(4-Chlorophenoxy)ethyl]amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881893-73-8P, Methyl 4-[[[cis-4-[[4-(dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]amino]methyl]benzoate 881893-74-9P, N-[cis-4-[[(1,3-Benzodioxol-5-yl)methyl]amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881893-75-0P, N',N'-Dimethyl-6-methyl-N-[cis-4-[[[1-phenyl-5-(trifluoromethyl)-1H-pyrazol-4-yl]methyl]amino]cyclohexyl]pyridine-2,4-diamine 881893-76-1P, N',N'-Dimethyl-6-methyl-N-[cis-4-[[2-(2-nitrophenoxy)ethyl]amino]cyclohexyl]pyridine-2,4-diamine 881893-77-2P, N',N'-Dimethyl-6-methyl-N-[cis-4-[(4-methylbenzyl)amino]cyclohexyl]pyridine-2,4-diamine 881893-78-3P, N',N'-Dimethyl-6-methyl-N-[cis-4-[[2-(pentafluorophenoxy)ethyl]amino]cyclohexyl]pyridine-2,4-diamine 881893-79-4P, N-[cis-4-[[2-(3,4-Dimethoxyphenyl)ethyl]amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881893-80-7P, N-[cis-4-[[4-(Heptyloxy)benzyl]amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881893-81-8P, N',N'-Dimethyl-6-methyl-N-[cis-4-[(4-pentylbenzyl)amino]cyclohexyl]pyridine-2,4-diamine 881893-82-9P, N',N'-Dimethyl-6-methyl-N-[cis-4-[(4-nonylbenzyl)amino]cyclohexyl]pyridine-2,4-diamine 881893-83-0P, N-[cis-4-[(3,3-Dimethylbutyl)amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881893-84-1P 881893-85-2P 881893-86-3P, N',N'-Dimethyl-6-methyl-N-[cis-4-[[4-[(trifluoromethyl)thio]benzyl]amino]cyclohexyl]pyridine-2,4-diamine 881893-87-4P 881893-88-5P, 4-[[[cis-4-[[4-(Dimethylamino)-6-methylpyridin-2-yl]amino]cyclohexyl]amino]methyl]-N,N-dipropylbenzenesulfonamide 881893-89-6P, N-[cis-4-[[2-(4-Chlorophenoxy)-2-methylpropyl]amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881893-90-9P, N',N'-Dimethyl-6-methyl-N-[cis-4-[[2-(1-naphthyl)ethyl]amino]cyclohexyl]pyridine-2,4-diamine 881893-91-0P 881893-92-1P, N-[cis-4-[(3,4-Difluorobenzyl)amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881893-93-2P, N-[cis-4-[(3,4-Dimethoxybenzyl)amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881893-94-3P, N-[cis-4-[(4-Ethoxybenzyl)amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881893-95-4P, N-[cis-4-[(2-Iodobenzyl)amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881893-96-5P, N',N'-Dimethyl-6-methyl-N-[cis-4-[[(2-naphthyl)methyl]amino]cyclohexyl]pyridine-2,4-diamine 881893-97-6P, N',N'-Dimethyl-6-methyl-N-[cis-4-[[3-(trifluoromethyl)benzyl]amino]cyclohexyl]pyridine-2,4-diamine 881893-98-7P, N',N'-Dimethyl-6-methyl-N-[cis-4-[[2-(phenylthio)ethyl]amino]cyclohexyl]pyridine-2,4-diamine 881893-99-8P, N',N'-Dimethyl-6-methyl-N-[cis-4-[[4-(trifluoromethoxy)benzyl]amino]cyclohexyl]pyridine-2,4-diamine 881894-00-4P, N-[cis-4-[[4-Fluoro-2-(trifluoromethyl)benzyl]amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881894-01-5P,

N-[cis-4-[(3-Chloro-4-fluorobenzyl)amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881894-02-6P, N-[cis-4-[[2-(2-Bromophenyl)ethyl]amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881894-03-7P, N-[cis-4-[(Cyclohexylmethyl)amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881894-04-8P, N-[cis-4-[(2-Cyclopentylethyl)amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine 881894-05-9P, N-[cis-4-[(4-Chlorobenzyl)amino]cyclohexyl]-N',N'-dimethyl-6-methylpyridine-2,4-diamine

(preparation of pyridine derivs. as MCH receptor antagonists)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2005:14357 HCAPLUS Full-text

DOCUMENT NUMBER: 142:114079

TITLE: Preparation of heterocyclic compounds containing 2-substituted cycloalkanecarboxylic acid derivative moiety as cysteine protease inhibitors

INVENTOR(S): Hiratate, Akira; Tatsuzuki, Makoto; Busujima, Tsuyoshi

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 120 pp.
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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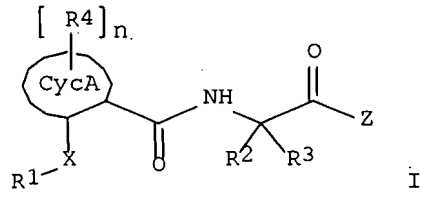


I

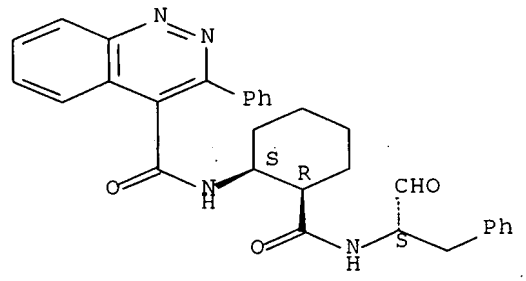
AB Title compds. I [R1 = aryl, etc.; R2, R3 = H, (un)substituted alkyl, etc.; R4 = H, halo, etc.; n = 2-12; X = carbonyl, etc.; Z = H, CO2R6, etc.; R6 = H, (un)substituted alkyl; cycA = cycloalkyl, cycloalkenyl] were prepared For example, EDCI-mediated acylation of (2S)-2-amino-3-phenylpropan-1-ol with (1R, 2S)-2-[(4- chlorobenzoyl)amino]cyclohexanecarboxylic acid followed by oxidation with IBX afforded (1R,2S)-N-[(1S)-1-benzyl-2-oxoethyl]-2-[(4-chlorobenzoyl)amino]cyclohexanecarboxamide (II). In cathepsin B inhibition assays, the IC50 value of compound II was 0.68 nM. Compds. I are claimed useful as cysteine protease inhibitors for the treatment of cerebral infarction, Alzheimer's disease, etc.

IT **820990-60-1P**
(preparation of heterocyclic compds. containing 2-substituted cycloalkanecarboxylic acid derivative moiety as cysteine protease inhibitors for treatment of cerebral infarction, Alzheimer's disease, etc.)

RN 820990-60-1 HCAPLUS

CN 4-Cinnolinecarboxamide, N-[(1S,2R)-2-[[[(1S)-1-formyl-2-phenylethyl]amino]carbonyl]cyclohexyl]-3-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07C233-63
ICS C07C237-22; C07C237-24; A61K031-16; A61P043-00

CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 24, 25, 27

IT 138674-34-7P, Cysteine protease inhibitor 820988-94-1P
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(preparation of heterocyclic compds. containing 2-substituted cycloalkanecarboxylic acid derivative moiety as cysteine protease inhibitors for treatment of cerebral infarction, Alzheimer's disease, etc.)

IT 820991-55-7P 820991-56-8P 820991-58-0P 820991-59-1P
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821792-02-3P 821792-03-4P

(preparation of heterocyclic compds. containing 2-substituted cycloalkanecarboxylic acid derivative moiety as cysteine protease inhibitors for treatment of cerebral infarction, Alzheimer's disease, etc.)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 5 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:963181 HCAPLUS Full-text
DOCUMENT NUMBER: 141:379941
TITLE: Preparation of quinazoline-2,4-diamines as melanin concentrating hormone (MCH) receptor antagonists
INVENTOR(S): Sekiguchi, Yoshikatsu; Kanuma, Yukihiro; Omodera, Katsunori; Tran, Thuy-ahn; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 988 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004315511	A2	20041111	JP 2004-95046	20040329
PRIORITY APPLN. INFO.:			JP 2003-93418 A	20030331

OTHER SOURCE(S): MARPAT 141:379941
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. Q-L-Y-R1 [Q = Q1, H2NC(:NH); wherein R2 = NHNH2, NHNHBoc, (un)substituted NH2, morpholino, 4-acetyl-piperazinyl, 4-phenylpiperazinyl; R1 = each (un)substituted C1-16 alkyl, C2-8 alkenyl, C2-4 alkynyl, C3-6 cycloalkyl, C3-6 cycloalkenyl, carbocyclyl, carbocyclic alkyl, or heterocyclyl; L = each Q2-Q6 or its cis- or trans-isomer, Q7-Q16; R4 = H, C1-3 alkyl; R5 = H, each (un)substituted carbocyclic aryl or C1-3 alkyl; Y = SO2, CO, a single bond, CH2] or salts thereof are prepared These compds. are MCH receptor antagonists and used for regulating orphan G protein-coupled receptor SLC-1 and for the prevention and/or treatment of obesity, obesity-related diseases, anxiety, or depression. Thus, hydrogenolysis of benzyl cis-[[4-(4-dimethylaminoquinazolin-2- ylamino)cyclohexyl]methyl]carbamate over 5% Pd-C in MeOH at 50° under H atmospheric for 3 days gave a solution of cis-[[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexyl]methyl]amine in MeOH which underwent reductive alkylation with 4-bromo-2- trifluoromethoxybenzaldehyde and NaBH(OAc)3 in AcOH/CH2Cl2 to give, after purification using HPLC and treatment with 4 N HCl/EtOAc, compound (I).2HCl. In a high throughput function screen for identifying lead compds., I.2HCl inhibited the human MCH-induced cellular Ca2+ flux with IC50 of 6 μg/mL.

IC ICM C07D239-95
ICS A61K031-517; A61K031-5377; A61P003-04; A61P025-22; A61P025-24; C07D401-12; C07D401-14; C07D403-12; C07D405-12; C07D409-12; C07D409-14; C07D413-12; C07D413-14; C07D417-12; C07D417-14

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 2

L63 ANSWER 6 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:282325 HCAPLUS Full-text
DOCUMENT NUMBER: 138:321285
TITLE: Preparation of quinazoline-2,4-diamines as MCH receptor antagonists
INVENTOR(S): Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003028641	A2	20030410	WO 2002-US31059	20020930
WO 2003028641	A3	20030828		

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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,
NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
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CA 2460594	AA	20030410	CA 2002-2460594		20020930
EP 1432693	A2	20040630	EP 2002-800388		20020930
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CN 1582281	A	20050216	CN 2002-823940		20020930
JP 2005523237	T2	20050804	JP 2003-531977		20020930
PRIORITY APPLN. INFO.:			US 2001-326463P	P	20011001
			US 2001-326758P	P	20011002
			WO 2002-US31059	W	20020930

OTHER SOURCE(S): MARPAT 138:321285
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. QLYR1[Q = I, C(:NH)NH2; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R4 = H, alkyl; R5 = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO2, CO, (CH2)m; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression, were prepared Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)3 and AcOH in CH2Cl2, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC50 of 6 nM against MCH receptor.
IC ICM A61K
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 2

L63 ANSWER 7 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:613942 HCAPLUS Full-text
DOCUMENT NUMBER: 131:243593
TITLE: Preparation of peptides as inhibitors of caspases
INVENTOR(S): Wannamaker, Marion W.; Bemis, Guy W.; Charifson, Paul S.; Lauffer, David J.; Mullican, Michael D.; Murcko, Mark A.; Wilson, Keith P.; Janetka, James W.; Davies, Robert J.; Grillot, Anne-Laure; Shi, Zhan; Forster, Cornelia J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 297 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9947545	A2	19990923	WO 1999-US5919 <--	19990319
WO 9947545	A3	19991125		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
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AU 769033	B2	20040115		
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EP 1064298	A2	20010103	EP 1999-912662 <--	19990319
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HU 200103575	A2	20020228	HU 2001-3575 <--	19990319
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RU 2274642	C2	20060420	RU 2000-126298 <--	19990319
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NO 2000004546	A	20001109	NO 2000-4546 <--	20000912
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BG 104863	A	20010430	BG 2000-104863 <--	20001016
US 2003232986	A1	20031218	US 2002-314103 <--	20021206
AU 2003255217	A1	20031113	AU 2003-255217 <--	20031022
JP 2006206600	A2	20060810	JP 2006-75461 <--	20060317
PRIORITY APPLN. INFO.:			US 1998-78770P <--	A1 19980319
			AU 1999-30986 <--	A3 19990319
			JP 2000-536738 <--	A3 19990319
			WO 1999-US5919 <--	W 19990319

OTHER SOURCE(S): MARPAT 131:243593

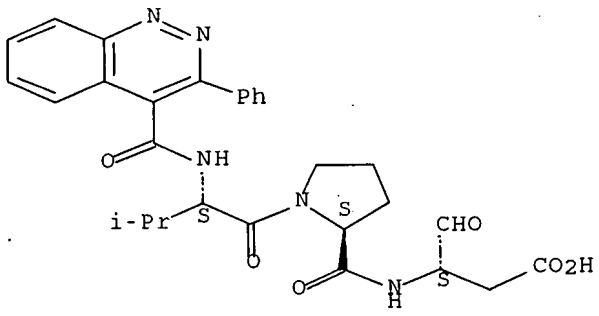
AB Peptides R1NR2XCONR4CR52CONHY [Y = CH(CHO)CH2(CH2)mCOR7, (m = 0 or 1 and R7 = OH or ester, NHOH) or cyclic lactol derivative when R7 is OH; X = CR32 or NR3 (R3 = H, an amino acid side chain, alkyl, cycloalkyl, aryl, etc.); R1 = H, R8, COR8, COCOR8, SO2R8, SOR8, CO2R8, CONHR8, SO2NHR8, SONHR8, COCONHR8, COCH:CHR8, etc. (R8 = alkyl, cycloalkyl, aryl, etc.); R2 = H or R2 and R3 may form a ring; R4 = H and R5 = H, amino acid side chain, R8, etc. or R4 and R5 may form a ring] were prepared as inhibitors of caspases. Thus, p-AcNHC6H4CO-L-Val-L-Pro- NHCH(CHO)CH2CO2H-(S) was prepared by the solid-phase method and showed ki < 10 nm for inhibition of interleukin-1β converting enzyme (ICE, caspase-1).

IT **244131-33-7P**
(preparation of peptides as inhibitors of caspases)

RN 244131-33-7 HCAPLUS

CN L-Prolinamide, N-[(3-phenyl-4-cinnolinyl)carbonyl]-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07K005-023
ICS A61K038-04; A61K031-47; A61K038-03; C07D401-12

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): **1**, 7

IT **Sarcoma**
(Kaposi's; preparation of peptides as inhibitors of caspases)

IT **Melanoma**
(metastatic; preparation of peptides as inhibitors of caspases)

IT 244130-74-3P 244130-75-4P 244130-76-5P 244130-77-6P
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(preparation of peptides as inhibitors of caspases)

L63 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:719673 HCAPLUS Full-text

DOCUMENT NUMBER: 128:13276

TITLE: 1-(Arylsulfonyl)-, 1-(arylcarbonyl)-, and 1-(arylphosphonyl)-3-phenyl-1,4,5,6-tetrahydropyridazines

INVENTOR(S): Combs, Donald W.

PATENT ASSIGNEE(S): Ortho Pharmaceutical Corp., USA

SOURCE: U.S., 28 pp., Cont.-in-part of U.S. Ser. No. 80,986, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5684151	A	19971104	US 1995-362476 <--	19950306
WO 9401412	A1	19940120	WO 1993-US6394 <--	19930701

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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, BG, CI, CM, GA, GN

PRIORITY APPLN. INFO.:	US 1992-906984 <--	B1	19920701
	US 1993-80986 <--	B2	19930621
	WO 1993-US6394 <--	W	19930701

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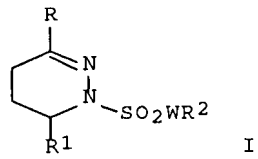


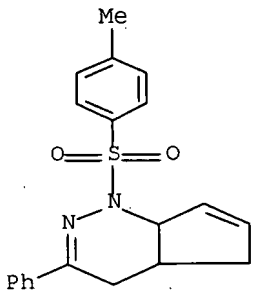
I

AB Title compds. such as I [R = 2-naphthyl, (un)substituted Ph, 2-thienyl; R1 = H, Me; W = a bond, CH:CH; R2 = (un)substituted Ph, 2-naphthyl] were prepared Progestin receptor binding, progestational and antiprogestational activity, osteoblast cell proliferation, and CNS receptor binding of the products were determined

IT **71094-17-2P**
(1-(arylsulfonyl)-, 1-(arylcarbonyl)-, and 1-(arylphosphonyl)-3-phenyl-1,4,5,6-tetrahydropyridazines as progestin agonists)

RN 71094-17-2 HCAPLUS

CN 1H-Cyclopenta[c]pyridazine, 4,4a,5,7a-tetrahydro-1-[(4-methylphenyl)sulfonyl]-3-phenyl- (9CI) (CA INDEX NAME)



IC ICM C07D237-04

ICS C07D409-04; C07D237-26
INCL 544224000
CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): **1**

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(1-(arylsulfonyl)-, 1-(arylcarbonyl)-, and 1-(arylphosphonyl)-3-phenyl-1,4,5,6-tetrahydropyridazines as progestin agonists)

L63 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:257968 HCAPLUS Full-text
DOCUMENT NUMBER: 122:31542
TITLE: Preparation of 1-arylsulfonyl, arylcabonyl and 1-arylphosphonyl-3-phenyl-1,4,5,6-tetrahydropyridazine progestin agonists
INVENTOR(S): Combs, Donald W.
PATENT ASSIGNEE(S): Ortho Pharma Corp., USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9401412	A1	19940120	WO 1993-US6394 <--	19930701
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, BG, CI, CM, GA, GN				
AU 9346670	A1	19940131	AU 1993-46670 <--	19930701
AU 668206	B2	19960426		
EP 650480	A1	19950503	EP 1993-917006 <--	19930701
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			US 1993-80986 A2 <--	19930621
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OTHER SOURCE(S): MARPAT 122:31542
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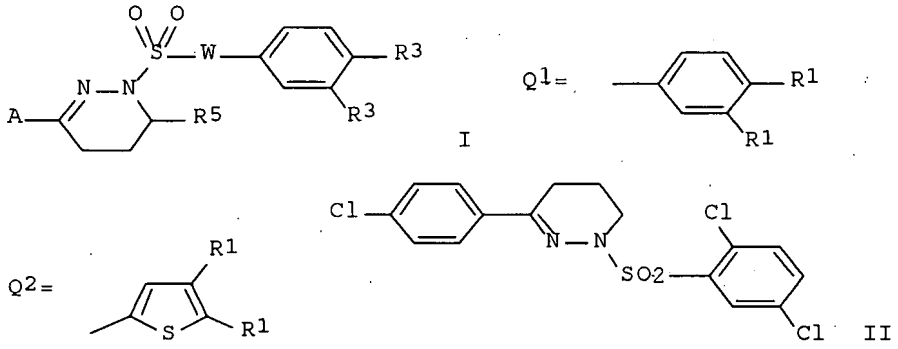
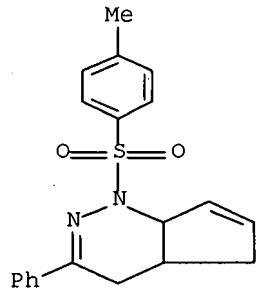


AB The title compds. [I; A = Q1, Q2; R1 = halogen, CF3, NO2; R3 = H, C1-6 (un)branched alkyl, halogen, CF3; R5 = H, Me; W = direct bond, CH:CH; R1R1 = CH:CHCH:CH], useful as contraceptives and in the treatment of osteoporosis, and which bind to the GABAA receptor, are prepared Thus, tetrahydropyridazine II (m.p. 148-149°) was prepared and demonstrated a IC50 (i.e., binding affinity for the rabbit uterus progestin receptor) of 5.3 nM.

IT **71094-17-2P**
(preparation of 1-arylsulfonyl, arylcabonyl and 1-arylphosphonyl-3-phenyl-1,4,5,6-tetrahydropyridazine progestin agonists)

RN 71094-17-2 HCAPLUS

CN 1H-Cyclopenta[c]pyridazine, 4,4a,5,7a-tetrahydro-1-[(4-methylphenyl)sulfonyl]-3-phenyl- (9CI) (CA INDEX NAME)



IC C07D237-04; C07D409-04; C07F096-509; A61K031-50

CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): **1**, **63**

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(preparation of 1-arylsulfonyl, arylcabonyl and 1-arylphosphonyl-3-phenyl-1,4,5,6-tetrahydropyridazine progestin agonists)

L63 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:649853 HCAPLUS Full-text
DOCUMENT NUMBER: 119:249853
TITLE: Preparation of 4-cinnolinyl- and

4-naphthyridinyl-1,4-dihydropyridine-3-carboxylates as inotropics

INVENTOR(S): Straub, Alexander; Stoltefuss, Juergen; Goldmann, Siegfried; Gross, Rainer; Bechem, Martin; Hebisch, Siegbert; Huetter, Joachim; Rounding, Howard

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4202526	A1	19930805	DE 1992-4202526 <--	19920130
EP 555657	A1	19930818	EP 1993-100649 <--	19930118
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US 5364855	A	19941115	US 1993-6592 <--	19930121
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US 5410055	A	19950425	US 1994-230579 <--	19940421

PRIORITY APPLN. INFO.: DE 1992-4202526 A 19920130 <--
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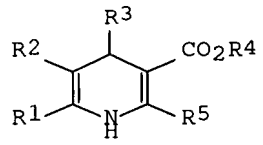
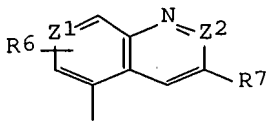
OTHER SOURCE(S): MARPAT 119:249853

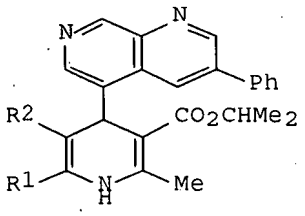
GI



I



Q



II

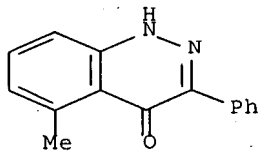
AB Title compds. (I; R1, R5 = alkyl; R2 = alkoxycarbonyl, NO2, cyano; R1R2 = CH2O2C; R3 = cinnolinyl or naphthyridinyl group Q; R4 = H, alkyl, alkenyl, etc.; R6 = H, halo, alkyl, alkoxy; R7 = aryl, pyridyl, thienyl, etc.) were prepared Thus, 3-phenyl-1,7- naphthyridinecarboxaldehyde (preparation given) was cyclocondensed with MeC(NH2):CHCN and MeCOCH2CO2CHMe2 to give title compound II (R1 = Me, R2 = cyano). II (R1R2 = CH2O2C) gave 35% increase in contractility of perfused guinea pig heart at 4-10 g/L.

IT **151026-56-1P**

(preparation and reaction of, in preparation of inotropic agent)

RN 151026-56-1 HCAPLUS

CN 4(1H)-Cinnolinone, 5-methyl-3-phenyl- (9CI) (CA INDEX NAME)



IC ICM C07D471-04
ICS C07D401-04; C07D491-048; C07D213-75; C07D237-28; A61K031-44

ICI C07D471-04, C07D221-00; C07D401-04, C07D213-75, C07D237-28; C07D491-048, C07D221-00, C07D307-00

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): **1**

IT 147440-85-5P 147440-86-6P 147440-87-7P, 5-Methyl-3-phenyl-1,7-naphthyridine 147440-88-8P, 3-Phenyl-1,7-naphthyridine-5-carboxaldehyde 151026-55-0P **151026-56-1P**
151026-57-2P, 4-Chloro-5-methyl-3-phenylcinnoline
151026-58-3P 151026-59-4P

(preparation and reaction of, in preparation of inotropic agent)

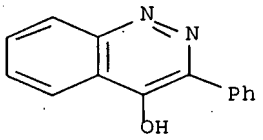
IT **151026-33-4P** 151026-34-5P **151026-35-6P**
151026-36-7P 151026-37-8P 151026-38-9P
151026-39-0P 151026-40-3P 151026-41-4P 151026-42-5P
151026-43-6P 151026-44-7P 151026-45-8P 151026-46-9P
151026-47-0P 151026-48-1P 151026-49-2P 151026-50-5P
151026-51-6P 151026-52-7P 151026-53-8P **151121-32-3P**
151121-33-4P

(preparation of, as inotropic)

L63 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:465520 HCAPLUS Full-text
DOCUMENT NUMBER: 65:65520
ORIGINAL REFERENCE NO.: 65:12203b-c
TITLE: Synthesis of potential antineoplastic agents. XV. Some 1,4-bisamides of 1,2,3,4-tetrahydroquinoxaline
AUTHOR(S): Schuyler, Peter; Popp, Frank D.; Noble, Adria Catala; Alwani, Dru W.; Masters, Barry R.
CORPORATE SOURCE: Clarkson Coll. of Technol., Potsdam, NY
SOURCE: Journal of Medicinal Chemistry (1966), 9(5), 704-7
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB cf. CA 65, 5459c. A number of Cl-containing and unsatd. 1,4-bisamides have been prepared from 1,2,3,4-tetrahydroquinoxaline and from substituted 1,2,3,4-tetrahydroquinoxalines. Although many of these amides are active against KB cell culture, they are inactive against animal **tumors**. A number of related amides were also prepared from 1,2,3,4-tetrahydroquinoline and 1,2,3,4-tetrahydroisoquinoline.

IT **724-15-2**, 4-Cinnolinol, 3-phenyl-
(preparation of)
RN 724-15-2 HCAPLUS
CN 4-Cinnolinol, 3-phenyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



CC 38 (Heterocyclic Compounds (More Than One Hetero Atom))
IT **Neoplasms**
(inhibitors of, 1,4-diacyl-1,2,3,4-tetrahydroquinoxaline derivs. as)
IT **724-15-2**, 4-Cinnolinol, 3-phenyl- **5569-08-4**, Cinnoline, 4-(benzylamino)-3-phenyl- **5569-09-5**, Cinnoline, 4-(phenethylamino)-3-phenyl- **6450-85-7**, Cinnoline, 4-(4-methyl-1-piperazinyl)-3-phenyl- **6482-16-2**, Cinnoline, 3-phenyl-4-(1-piperazinyl)- **6534-46-9**, Cinnoline, 4-hydrazino-3-phenyl-, hydrochloride 6687-72-5, Quinoxaline, 1,4-diacryloyl-1,2,3,4-tetrahydro-2,3-dimethyl- 6687-73-6, Quinoxaline, 1,4-bis(3-chloropropionyl)-1,2,3,4-tetrahydro-6,7-dimethyl- 6687-74-7, Quinoxaline, 1,4-diacryloyl-1,2,3,4-tetrahydro-6,7-dimethyl- 6687-75-8, Dibenzo[f,h]quinoxaline, 1,4-bis(chloroacetyl)-1,2,3,4-tetrahydro- 6687-76-9, Dibenzo[f,h]quinoxaline, 1,4-bis(3-chloropropionyl)-1,2,3,4-tetrahydro- 6687-92-9, Quinoxaline, 1,4-bis(3-chloropropionyl)-1,2,3,4-tetrahydro- 6699-43-0, Quinoxaline, 1,4-bis(4-chlorobutyryl)-1,2,3,4-tetrahydro- 6699-44-1, Quinoxaline, 1,4-diacryloyl-1,2,3,4-tetrahydro- 6699-45-2, Quinoxaline, 1,4-dicinnamoyl-1,2,3,4-tetrahydro- 6699-46-3, Quinoxaline, 1,2,3,4-tetrahydro-1,4-dimethacryloyl- 6699-47-4, Quinoxaline, 1,4-bis(chloroacetyl)-1,2,3,4-tetrahydro-2-methyl- 6699-48-5, Quinoxaline, 1,4-bis(3-chloropropionyl)-1,2,3,4-tetrahydro-2-methyl- 6699-49-6,

Quinoxaline, 1,4-diacryloyl-1,2,3,4-tetrahydro-2-methyl- 6699-50-9, Quinoxaline, 1,4-bis(chloroacetyl)-1,2,3,4-tetrahydro-2,3-dimethyl- 6717-60-8, Quinoxaline, 1,4-bis(chloroacetyl)-1,2,3,4-tetrahydro-6,7-dimethyl- 6779-93-7, Quinoxaline, 1,4-bis(chloroacetyl)-1,2,3,4-tetrahydro- 6779-95-9, Quinoxaline, 1,4-bis(3-chloropropionyl)-1,2,3,4-tetrahydro-2,3-dimethyl- 6798-71-6, Quinoxaline, 1,4-bis(dichloroacetyl)-1,2,3,4-tetrahydro- **7623-99-6**, Cinnoline, 3-(p-chlorophenyl)-, 1-oxide **7628-75-3**, Cinnoline, 3-(p-methoxyphenyl)-, 1-oxide **7628-78-6**, Cinnoline, 3-phenyl-, 1-oxide **7628-79-7**, Cinnoline, 3-phenyl-, 2-oxide **7628-90-2**, Cinnoline, 4-[[3-(dimethylamino)propyl]methylamino]-3-phenyl-, dihydrochloride **7678-80-0**, Cinnoline, 3-(p-chlorophenyl)- **7678-83-3**, Cinnoline, 3-(p-methoxyphenyl)- **10001-21-5**, Cinnoline, 3-(p-chlorophenyl)-4-(4-methyl-1-piperazinyl)- **10001-22-6**, Cinnoline, 3-(p-methoxyphenyl)-4-(4-methyl-1-piperazinyl)- **10001-23-7**, 1-Piperazineethanol, 4-(3-phenyl-4-cinnolinyl)- **10001-25-9**, Piperazine, 1-acetyl-4-(3-phenyl-4-cinnolinyl)- **10001-27-1**, Cinnoline, 3-phenyl-4-(4-phenyl-1-piperazinyl)- **10001-28-2**, Cinnoline, 4-(4-benzyl-1-piperazinyl)-3-phenyl- **10501-72-1**, Cinnoline, 6-methyl-3-phenyl- **10501-76-5**, Cinnoline, 3-(p-chlorophenyl)-6-methyl- **10579-35-8**, Cinnoline, 4-(4-nitroso-1-piperazinyl)-3-phenyl- **10579-38-1**, Piperazine, 1-(p-chlorobenzoyl)-4-(3-phenyl-4-cinnolinyl)- **10579-39-2**, 4-Cinnolinol, 3-(p-chlorophenyl)- 10579-40-5, Quinoxaline, 1,2,3,4-tetrahydro-1,4-dipropionyl- 10579-53-0, Quinoxaline, 1,4-dicrotonoyl-1,2,3,4-tetrahydro- 10579-59-6, Quinoline, 1-crotonoyl-1,2,3,4-tetrahydro- 10579-60-9, Quinoline, 1,2,3,4-tetrahydro-1-methacryloyl- 10579-61-0, Quinoline, 1,1'-maleoylbis[1,2,3,4-tetrahydro- 10579-62-1, Isoquinoline, 2-(dichloroacetyl)-1,2,3,4-tetrahydro- 10579-63-2, Isoquinoline, 1,2,3,4-tetrahydro-2-methacryloyl- 10579-64-3, Isoquinoline, 2-crotonoyl-1,2,3,4-tetrahydro- 10579-65-4, Isoquinoline, 2-cinnamoyl-1,2,3,4-tetrahydro- 10579-66-5, Isoquinoline, 2,2'-maleoylbis[1,2,3,4-tetrahydro- 10579-67-6, Isoquinoline, 2-(3-chloropropionyl)-1,2,3,4-tetrahydro- 10579-68-7, Quinoxaline, 1,2,3,4-tetrahydro-6,7-dimethyl- 10579-69-8, Dibenzo[f,h]quinoxaline, 1,2,3,4-tetrahydro- 10579-70-1, Quinoxaline, 1-(3-chloropropionyl)-4-ethyl-1,2,3,4-tetrahydro-, hydrochloride 10579-71-2, 1,4-Quinoxalinedicarboxaldehyde, 2,3-dihydro- 10579-72-3, 1,4-Quinoxalinedicarbonyl chloride, 2,3-dihydro- 10579-73-4, Quinoxaline, 1,4-bis(2-chloroethyl)-1,2,3,4-tetrahydro-, hydrochloride **10604-22-5**, Cinnoline, 3-phenyl- **10604-24-7**, 4-Cinnolinol, 3-(p-hydroxyphenyl)- **10604-38-3**, Cinnoline, 3-phenyl-4-piperidino- **10604-40-7**, Cinnoline, 4-p-anisidino-3-phenyl- **10604-48-5**, Cinnoline, 4-[4-[2-(dimethylamino)ethyl]piperidino]-3-phenyl- **10604-52-1**, Cinnoline, 4-[[2-(dimethylamino)ethyl]methylamino]-3-phenyl- 13262-31-2, Quinoline, 1-cinnamoyl-1,2,3,4-tetrahydro-
(preparation of)

L63 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:465519 HCAPLUS Full-text
DOCUMENT NUMBER: 65:65519
ORIGINAL REFERENCE NO.: 65:12203b
TITLE: 3-Phenylcinnolines. II. Preparation of 4-amino derivatives
AUTHOR(S): Lowrie, Harman S.
CORPORATE SOURCE: Div. of Chem. Res., G. D. Searle & Co., Chicago

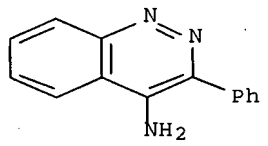
SOURCE: Journal of Medicinal Chemistry (1966), 9(5), 670-4
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB cf. preceding abstract The development of methods for converting 3-phenylcinnoline-4-carboxylic acids into the 4-hydroxy and 4-chloro analogs led to the preparation of 4-amino compds. which were examined for pharmacol. activity. 27 references.

IT **33738-83-9**, Cinnoline, 4-amino-3-phenyl- (derivs.)

RN 33738-83-9 HCAPLUS

CN 4-Cinnolinamine, 3-phenyl- (9CI) (CA INDEX NAME)



CC 38 (Heterocyclic Compounds (More Than One Hetero Atom))

IT **Neoplasms**
(inhibitors of, 4-amino-3-phenylcinnoline derivs. as)

IT **33738-83-9**, Cinnoline, 4-amino-3-phenyl- (derivs.)

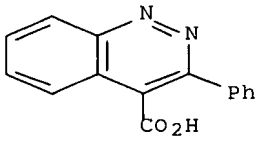
IT **724-15-2**, 4-Cinnolinol, 3-phenyl- **5568-68-3**, Ethanol, 2-[2-[(3-phenyl-4-cinnolinyl)amino]ethoxy]- **5569-08-4**, Cinnoline, 4-(benzylamino)-3-phenyl- **5569-09-5**, Cinnoline, 4-(phenethylamino)-3-phenyl- **5569-11-9**, Ethanol, 2-[(3-phenyl-4-cinnolinyl)amino]- **6450-85-7**, Cinnoline, 4-(4-methyl-1-piperazinyl)-3-phenyl- **6482-15-1**, Cinnoline, 4-[[2-(diethylamino)ethyl]amino]-3-phenyl- **6482-16-2**, Cinnoline, 3-phenyl-4-(1-piperazinyl)- **6505-22-2**, Cinnoline, 4-[[2-(dimethylamino)ethyl]amino]-3-phenyl- **6534-46-9**, Cinnoline, 4-hydrazino-3-phenyl-, hydrochloride **7623-99-6**, Cinnoline, 3-(p-chlorophenyl)-, 1-oxide **7628-75-3**, Cinnoline, 3-(p-methoxyphenyl)-, 1-oxide **7628-77-5**, Cinnoline, 4-chloro-3-(p-methoxyphenyl)- **7628-78-6**, Cinnoline, 3-phenyl-, 1-oxide **7628-79-7**, Cinnoline, 3-phenyl-, 2-oxide **7628-84-4**, Cinnoline, 4-[[4-(diethylamino)-1-methylbutyl]amino]-3-phenyl- **7628-85-5**, Cinnoline, 3-(p-chlorophenyl)-4-[[3-(dimethylamino)propyl]amino]- **7628-87-7**, Cinnoline, 4-[[3-(dimethylamino)propyl]amino]-3-phenyl-, dihydrochloride **7628-88-8**, Cinnoline, 4-[(2-aminoethyl)amino]-3-phenyl-, dihydrochloride **7628-90-2**, Cinnoline, 4-[[3-(dimethylamino)propyl]methylamino]-3-phenyl-, dihydrochloride **7628-91-3**, Cinnoline, 3-phenyl-4-[[2-(1-pyrrolidinyl)ethyl]amino]- **7628-92-4**, Cinnoline, 3-phenyl-4-[(2-piperidinoethyl)amino]- **7628-93-5**, Cinnoline, 4-[(3-morpholinopropyl)amino]-3-phenyl- **7678-80-0**, Cinnoline, 3-(p-chlorophenyl)- **7678-81-1**, Cinnoline, 4-chloro-3-(pchlorophenyl)- **7678-83-3**, Cinnoline, 3-(p-methoxyphenyl)- **10001-21-5**, Cinnoline, 3-(p-chlorophenyl)-4-(4-methyl-1-piperazinyl)- **10001-22-6**, Cinnoline, 3-(p-methoxyphenyl)-4-(4-methyl-1-piperazinyl)- **10001-23-7**, 1-Piperazineethanol, 4-(3-phenyl-4-cinnolinyl)- **10001-25-9**, Piperazine, 1-acetyl-4-(3-phenyl-4-cinnolinyl)-

10001-27-1, Cinnoline, 3-phenyl-4-(4-phenyl-1-piperazinyl)-
10001-28-2, Cinnoline, 4-(4-benzyl-1-piperazinyl)-3-phenyl-
10501-72-1, Cinnoline, 6-methyl-3-phenyl- **10501-76-5**
, Cinnoline, 3-(p-chlorophenyl)-6-methyl- **10579-35-8**,
Cinnoline, 4-(4-nitroso-1-piperazinyl)-3-phenyl- **10579-38-1**,
Piperazine, 1-(p-chlorobenzoyl)-4-(3-phenyl-4-cinnolinyl)-
10579-39-2, 4-Cinnolinol, 3-(p-chlorophenyl)-
10604-22-5, Cinnoline, 3-phenyl- **10604-24-7**,
4-Cinnolinol, 3-(p-hydroxyphenyl)- **10604-33-8**,
4-Cinnolinecarboxylic acid, 3-(p-hydroxyphenyl)- **10604-38-3**,
Cinnoline, 3-phenyl-4-piperidino- **10604-40-7**, Cinnoline,
4-p-anisidino-3-phenyl- **10604-48-5**, Cinnoline,
4-[4-[2-(dimethylamino)ethyl]piperidino]-3-phenyl- **10604-52-1**
, Cinnoline, 4-[[2-(dimethylamino)ethyl]methylamino]-3-phenyl-
13109-11-0, Cinnoline, 4-chloro-3-phenyl-
(preparation of)

L63 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:465518 HCAPLUS Full-text
DOCUMENT NUMBER: 65:65518
ORIGINAL REFERENCE NO.: 65:12203a-b
TITLE: 3-Phenylcinnolines. I. Some reactions and derivatives of 3-phenylcinnoline-4-carboxylic acids
AUTHOR(S): Lowrie, Harman S.
CORPORATE SOURCE: Div. of Chem. Res., G. D. Searle & Co., Chicago
SOURCE: Journal of Medicinal Chemistry (1966), 9(5), 664-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 65:65518

AB A series of amide, hydrazide, and ester derivs. of the title acids and 2 phenylbutazone analogs of 3-phenylcinnoline were prepared These were examined for pharmacol. activity. 21 references.

IT **10604-21-4**, 4-Cinnolinecarboxylic acid, 3-phenyl-
(derivs.)
RN 10604-21-4 HCAPLUS
CN 4-Cinnolinecarboxylic acid, 3-phenyl- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



CC 38 (Heterocyclic Compounds (More Than One Hetero Atom))
IT **Neoplasms**
(inhibitors of, 1,4-diacyl-1,2,3,4-tetrahydroquinoxaline derivs. as)
IT **Neoplasms**
(inhibitors of, 3-phenyl-4-cinnolinecarboxylic acid derivs. as)
IT **Neoplasms**
(inhibitors of, 4-amino-3-phenylcinnoline derivs. as)
IT **10604-21-4**, 4-Cinnolinecarboxylic acid, 3-phenyl-
10604-22-5, Cinnoline, 3-phenyl- **33738-83-9**,

Cinnoline, 4-amino-3-phenyl-
(derivs.)

IT **724-15-2**, 4-Cinnolinol, 3-phenyl- 4964-49-2, Acetophenone, 2'-amino-, oxime **5569-08-4**, Cinnoline, 4-(benzylamino)-3-phenyl- **5569-09-5**, Cinnoline, 4-(phenethylamino)-3-phenyl- **5701-19-9**, 4-Cinnolinecarboxylic acid, 3-phenyl-, 3-(dimethylamino)propyl ester **5701-39-3**, 4-Cinnolinecarboxylic acid, 3-phenyl-, 3-(dimethylamino)propyl ester, maleate (1:1) **6450-84-6**, 4-Clinolinecarboxamide, 3-phenyl- **6450-85-7**, Cinnoline, 4-(4-methyl-1-piperazinyl)-3-phenyl- **6482-02-6**, Anthranilic acid, N-(3-phenyl-4-cinnolinyl)-, methyl ester **6482-13-9**, 4-Clinolinecarboxamide, N-benzyl-3-phenyl- **6482-14-0**, 4-Clinolinecarboxamide, N-[3-(dimethylamino)propyl]-3-phenyl- **6482-16-2**, Cinnoline, 3-phenyl-4-(1-piperazinyl)- **6534-46-9**, Cinnoline, 4-hydrazino-3-phenyl-, hydrochloride **6546-53-8**, 4-Clinolinecarboxamide, N-[2-(dipropylamino)ethyl]-3-phenyl- **6546-54-9**, Piperazine, 1-[(3-phenyl-4-cinnolinyl)carbonyl]- **6592-95-6**, 4-Cinnolinecarboxylic acid, 3-phenyl-, isopropylidenehydrazide 7623-97-4, Indole-2,3-dione, 1-[(p-chlorobenzylidene)amino]- **7623-98-5**, 4-Cinnolinecarboxylic acid, 3-(p-chlorophenyl)- **7623-99-6**, Cinnoline, 3-(p-chlorophenyl)-, 1-oxide **7624-00-2**, 4-Cinnolinecarboxylic acid, 3-(p-methoxyphenyl)- **7628-75-3**, Cinnoline, 3-(p-methoxyphenyl)-, 1-oxide **7628-78-6**, Cinnoline, 3-phenyl-, 1-oxide **7628-79-7**, Cinnoline, 3-phenyl-, 2-oxide 7628-80-0, Benzaldehyde, p-chloro-, p-tolylhydrazone **7628-90-2**, Cinnoline, 4-[[3-(dimethylamino)propyl]methylamino]-3-phenyl-, dihydrochloride **7678-80-0**, Cinnoline, 3-(p-chlorophenyl)- 7678-82-2, Indole-2,3-dione, 1-[(p-methoxybenzylidene)amino]- **7678-83-3**, Cinnoline, 3-(p-methoxyphenyl)- **10001-21-5**, Cinnoline, 3-(p-chlorophenyl)-4-(4-methyl-1-piperazinyl)- **10001-22-6**, Cinnoline, 3-(p-methoxyphenyl)-4-(4-methyl-1-piperazinyl)- **10001-23-7**, 1-Piperazineethanol, 4-(3-phenyl-4-cinnolinyl)- **10001-25-9**, Piperazine, 1-acetyl-4-(3-phenyl-4-cinnolinyl)- **10001-26-0**, 1-Piperazinecarboxylic acid, 4-(3-phenyl-4-cinnolinyl)-, ethyl ester **10001-27-1**, Cinnoline, 3-phenyl-4-(4-phenyl-1-piperazinyl)- **10001-28-2**, Cinnoline, 4-(4-benzyl-1-piperazinyl)-3-phenyl- 10501-54-9, Acetophenone, 2'-[(2-nitroethylidene)amino]- 10501-60-7, Indole-2,3-dione, 1-[(p-methylbenzylidene)amino]- **10501-61-8**, 4-Cinnolinecarboxylic acid, 3-p-tolyl- 10501-68-5, Indole-2,3-dione, 1-[(p-fluorobenzylidene)amino]- **10501-69-6**, 4-Cinnolinecarboxylic acid, 3-(p-fluorophenyl)- **10501-72-1**, Cinnoline, 6-methyl-3-phenyl- **10501-76-5**, Cinnoline, 3-(p-chlorophenyl)-6-methyl- **10501-77-6**, 4-Cinnolinecarboxylic acid, 6-methoxy-3-phenyl- **10501-79-8**, 4-Clinolinecarboxamide, 3-(p-fluorophenyl)- **10501-80-1**, 4-Clinolinecarboxamide, 3-p-tolyl- **10501-81-2**, 4-Clinolinecarboxamide, 3-(p-methoxyphenyl)- **10501-84-5**, Pyrrolidine, 1-[(3-phenyl-4-cinnolinyl)carbonyl]- **10501-85-6**, Piperidine, 1-[(3-phenyl-4-cinnolinyl)carbonyl]- **10501-87-8**, 4-Clinolinecarboxamide, N-(diphenylmethyl)-3-phenyl- **10515-81-8**, 4-Clinolinecarboxamide, 3-(p-chlorophenyl)- **10579-35-8**, Cinnoline, 4-(4-nitroso-1-piperazinyl)-3-phenyl- **10579-38-1**, Piperazine, 1-(p-chlorobenzoyl)-4-(3-phenyl-4-cinnolinyl)- **10579-39-2**, 4-Cinnolinol, 3-(p-chlorophenyl)- **10604-09-8**, Piperazine, 1-amino-4-[(3-phenyl-4-cinnolinyl)carbonyl]- **10604-10-1**, 4-Cinnolinecarboxylic

acid, 3-phenyl-, hydrazide **10604-12-3**, 4-Cinnolinecarboxylic acid, 3-phenyl-, 2,2-dimethylhydrazide **10604-13-4**, 4-Cinnolinecarboxylic acid, 3-phenyl-, trimethylhydrazide **10604-14-5**, 4-Cinnolinecarboxylic acid, 3-phenyl-, 2-(1-methyl-4-piperidyl)hydrazide **10604-18-9**, 4-Cinnolinecarboxylic acid, 3-phenyl-, 2-(1-piperazinyl)ethyl ester, maleate (1:2) **10604-19-0**, 4-Cinnolinecarboxylic acid, 3-phenyl-, 2-(1-piperazinyl)ethyl ester 10604-20-3, Indole-2,3-dione, 1-(benzylideneamino)- **10604-21-4**, 4-Cinnolinecarboxylic acid, 3-phenyl- **10604-22-5**, Cinnoline, 3-phenyl- **10604-24-7**, 4-Cinnolinol, 3-(p-hydroxyphenyl)- **10604-31-6**, 4-Cinnolinecarboxylic acid, 3-phenyl-, ethyl ester **10604-32-7**, 4-Cinnolinecarboxylic acid, 3-phenyl-, ethyl ester, 1-oxide **10604-33-8**, 4-Cinnolinecarboxylic acid, 3-(p-hydroxyphenyl)- **10604-38-3**, Cinnoline, 3-phenyl-4-piperidino- **10604-40-7**, Cinnoline, 4-p-anisidino-3-phenyl- **10604-48-5**, Cinnoline, 4-[4-[2-(dimethylamino)ethyl]piperidino]-3-phenyl- **10604-52-1**, Cinnoline, 4-[[2-(dimethylamino)ethyl]methylamino]-3-phenyl- **13004-90-5**, Piperazine, 1-acetamido-4-[(3-phenyl-4-cinnolinyl)carbonyl]- 13004-91-6, 1H-Pyrazolo[1,2-a]cinnoline-1,3-(2H)-dione, 2-butyl-5-phenyl- **13126-52-8**, 1-Piperazineethanol, 4-[(3-phenyl-4-cinnolinyl)carbonyl]- **13239-28-6**, 4-Clinolinecarboxamide, N-[2-(dimethylamino)ethyl]-3-phenyl- **13239-32-2**, 4-Clinolinecarboxamide, N-(2-morpholinoethyl)-3-phenyl- **13239-35-5**, 4-Cinnolinecarbonyl chloride, 3-phenyl- 13239-36-6, 11H-Indeno[1,2-c]cinnolin-11-one **13239-37-7**, Ketone, 3-(p-methoxyphenyl)-4-cinnolinyl phenyl 13239-38-8, 11H-Indeno[1,2-c]cinnolin-11-one, 2-methoxy- **13239-40-2**, 4-Cinnolinecarboxylic acid, 1,4-dihydro-1,3-diphenyl-, ethyl ester **13239-41-3**, 4-Cinnolinecarboxylic acid, 1,4-dihydro-3-phenyl-, butyl ester **13474-60-7**, 4-Cinnolinecarboxylic acid, 1,4-dihydro-3-phenyl-, ethyl ester
(preparation of)

=> d l32 1-2 ibib abs

L32 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1329720 HCAPLUS Full-text
DOCUMENT NUMBER: 144:69841
TITLE: Preparation of 3-phenyltetrahydrocinnolin-5-ol derivatives as antitumor agents
INVENTOR(S): **Sato, Yoshitaka**; **Suzuki, Yoshikazu**; Yamamoto, Keiichiro; **Kuroiwa, Shunsuke**; **Maruyama, Sakiko**
PATENT ASSIGNEE(S): Nippon Kayaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005121105	A1	20051222	WO 2005-JP10494	20050608

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,

GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,
MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,
SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA,
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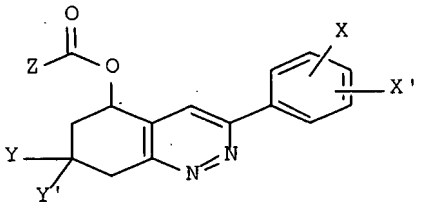
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,
DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC,
NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2004-171426 A 20040609

OTHER SOURCE(S): MARPAT 144:69841

GI



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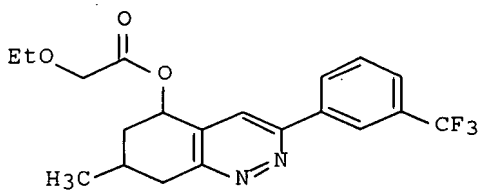

II

AB Title compds. I [Z = M-, L(L')N-, A(B)CH-; M = alkoxy, alkylamino, optionally substituted alkyl with saturated heterocycle; L, L' = hydroxy, alkoxy, carboxy, etc.; A = H, hydroxy, alkyl; B = substituted alkyl, alkoxy, carboxy, etc.; X = alkyl, alkoxycarbonyl, acylamino, etc.; X' = alkyl, alkoxycarbonyl, acylamino, etc.; Y, Y' = H, alkyl] were prepared. For example, EDC mediated acylation of 7-methyl-3-(3- trifluoromethylphenyl)-5,6,7,8-tetrahydrocinnolin-5-ol, e.g., prepared from 3'-trifluoromethylacetophenone in 5 steps, with ethoxyacetic acid afforded compound II in 98.8% yield. In antitumor assays in vitro, the IC50 value of compound II was 0.135 μg/mL. Compds. I are claimed useful for the treatment of tumor.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:515490 HCAPLUS Full-text
DOCUMENT NUMBER: 141:71553
TITLE: Preparation of 3-phenylcinnoline homologues as antitumor agents
INVENTOR(S): **Kuroiwa, Shunsuke**; **Odanaka, Junko**; **Maruyama, Sakiko**; **Sato, Yoshitaka**; Tomura, Arihiro; **Sato, Hiroshi**; **Suzuki, Yoshikazu**

PATENT ASSIGNEE(S): Nippon Kayaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052866	A1	20040624	WO 2003-JP15767	20031210
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508010	AA	20040624	CA 2003-2508010	20031210
AU 2003289002	A1	20040630	AU 2003-289002	20031210
EP 1571148	A1	20050907	EP 2003-778763	20031210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017119	A	20051025	BR 2003-17119	20031210
CN 1735600	A	20060215	CN 2003-80108285	20031210
US 2006058305	A1	20060316	US 2005-538126	20050606

PRIORITY APPLN. INFO.:

JP 2002-357556	A	20021210
JP 2003-166082	A	20030611
JP 2003-183766	A	20030627
WO 2003-JP15767	W	20031210

OTHER SOURCE(S): MARPAT 141:71553
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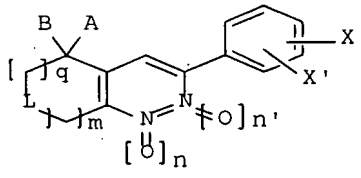

I

AB Title compds. I [A = O-Y; Y = H, (un)substituted alkyl with Ph, etc.; B = H, alkyl, further details on A, B are given; L = N-W, W-C-W'; W, W' = (un)substituted alkyl, Ph, carboxyl, etc.; X = alkyl, alkoxycarbonyl, acylamino, etc.; X' = alkyl, alkoxycarbonyl, acylamino, etc.; m, q = 0-3; n, n' = 0, 1] and their physiol. acceptable salt were prepared In antitumor

activity assays (in vitro) against breast cancer cell CF-7, compds. I showed IC50 values ranging from 0.0388 to 3.5700 μg/mL, e.g., the IC50 value of compound I [A and B = carbonyl; L = PhCH; X = 3-CF3-Ph; X' = H; m = q = n = n' = 0] was 0.0388 μg/mL. Compds. I are claimed useful as antitumor, cytostatic agents.

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=> d his ful

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L5             2 SEA ABB=ON  PLU=ON  L2 AND L4
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L8           941 SEA SSS FUL L6
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L13           11 SEA ABB=ON  PLU=ON  L12 AND THU/RL
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                 E E6+ALL
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L18            1 SEA ABB=ON  PLU=ON  L16 AND L17
L19            2 SEA ABB=ON  PLU=ON  L11 AND L17
                 D 2 IBIB
L20              QUE ABB=ON  PLU=ON  CANCER? OR CARCINOMA? OR MELANOMA? OR
                 NEOPLAS? OR TUMOR? OR TUMOUR? OR MALIGNAN? OR SARCOMA?
L21            6 SEA ABB=ON  PLU=ON  L11 AND L20
L22           16 SEA ABB=ON  PLU=ON  L15 OR (L18 OR L19) OR L21
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L24           16 SEA ABB=ON  PLU=ON  L22 OR L23
L25          287 SEA ABB=ON  PLU=ON  KUROIWA, S?/AU
L26            6 SEA ABB=ON  PLU=ON  ODANAKA, J?/AU
L27           23 SEA ABB=ON  PLU=ON  MARUYAMA, SAKIKO?/AU
L28        13838 SEA ABB=ON  PLU=ON  SATO, Y?/AU
L29            1 SEA ABB=ON  PLU=ON  TOMURA, A/AU
L30        15467 SEA ABB=ON  PLU=ON  SATO, H?/AU
L31        18718 SEA ABB=ON  PLU=ON  SUZUKI, Y?/AU
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L37              QUE ABB=ON  PLU=ON  TOMURA, A/AU
L38              QUE ABB=ON  PLU=ON  SATO, H?/AU
L39              QUE ABB=ON  PLU=ON  SUZUKI, Y?/AU
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L46          596 SEA ABB=ON  PLU=ON  SATO, YOSHITAKA?/AU
L47           19 SEA ABB=ON  PLU=ON  TOMURA, ARIHIRO?/AU
L48         9305 SEA ABB=ON  PLU=ON  SATO, HIROSHI?/AU
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     Property values tagged with IC are from the ZIC/VINITI data file
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     STRUCTURE FILE UPDATES:    7 DEC 2006  HIGHEST RN 915067-95-7
     DICTIONARY FILE UPDATES:   7 DEC 2006  HIGHEST RN 915067-95-7

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     TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

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     predicted properties as well as tags indicating availability of
     experimental property data in the original document.  For information
     on property searching in REGISTRY, refer to:

     http://www.cas.org/ONLINE/UG/regprops.html

     FILE HCAPLUS

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     FILE COVERS 1907 - 8 Dec 2006  VOL 145 ISS 25
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FILE LAST UPDATED: 7 Dec 2006 (20061207/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE MEDLINE
FILE LAST UPDATED: 7 Dec 2006 (20061207/UP). FILE COVERS 1950 TO DAT

In preparation for the annual MEDLINE reload, the National Library o Medicine (NLM) has suspended delivery of regular updates as of Novem 15, 2006. In-process and in-data-review records will resume deliver on November 21, 2006, and will continue to be added to MEDLINE until December 17, 2006.

On December 17, 2006, all regular MEDLINE updates from November 15 t December 16 will be added to MEDLINE, along with 2007 Medical Subjec Headings (MeSH(R)) and 2007 tree numbers.

The annual reload will be available in early 2007.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE EMBASE
FILE COVERS 1974 TO 7 Dec 2006 (20061207/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS
FILE COVERS 1969 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 6 December 2006 (20061206/ED)

FILE DRUGU
FILE LAST UPDATED: 7 DEC 2006 <20061207/UP>
>>> DERWENT DRUG FILE (SUBSCRIBER) <<<

>>> FILE COVERS 1983 TO DATE <<<
>>> THESAURUS AVAILABLE IN /CT <<<

FILE BIOTECHNO
FILE LAST UPDATED: 7 JAN 2004 <20040107/UP>
FILE COVERS 1980 TO 2003.

>>> BIOTECHNO IS NO LONGER BEING UPDATED AS OF 2004 <<<

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION AVAILABLE IN /CT AND BASIC INDEX <<<

FILE VETU
FILE LAST UPDATED: 02 JAN 2002 <20020102/UP>
FILE COVERS 1983-2001

FILE DRUGB
>>> FILE COVERS 1964 TO 1982 - CLOSED FILE <<<

FILE LIFESCI
FILE COVERS 1978 TO 10 Nov 2006 (20061110/ED)

FILE SCISEARCH

FILE COVERS 1974 TO 7 Dec 2006 (20061207/ED)

SCISEARCH has been reloaded, see HELP RLOAD for details.

FILE JAPIO
FILE LAST UPDATED: 20 NOV 2006 <20061120/UP>
FILE COVERS APRIL 1973 TO JULY 27, 2006

>>> GRAPHIC IMAGES AVAILABLE <<<

>>> NEW IPC8 DATA AND FUNCTIONALITY NOW AVAILABLE IN FILE JAPIO.
SEE HELP CHANGE
AND
http://www.stn-international.de/stndatabases/details/ipc_reform.html <

FILE PASCAL
FILE LAST UPDATED: 4 DEC 2006 <20061204/UP>
FILE COVERS 1977 TO DATE.

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION IS AVAILABLE
IN THE BASIC INDEX (/BI) FIELD <<<

FILE JICST-EPLUS
FILE COVERS 1985 TO 4 DEC 2006 (20061204/ED)

THE JICST-EPLUS FILE HAS BEEN RELOADED TO REFLECT THE 1999 CONTROLLED TERM (/CT) THESAURUS RELOAD.

FILE BIOENG
FILE LAST UPDATED: 20 NOV 2006 <20061120/UP>
FILE COVERS 1982 TO DATE

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION AVAILABLE IN
THE BASIC INDEX <<<

FILE VETB
FILE LAST UPDATED: 25 SEP 94 <940925/UP>
FILE COVERS 1968-1982

FILE WPIX
FILE LAST UPDATED: 4 DEC 2006 <20061204/UP>
MOST RECENT THOMSON SCIENTIFIC UPDATE: 200678 <200678/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> YOU ARE IN THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX <<<

>>> FOR DETAILS ON THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX

PLEASE VISIT:
http://www.stn-international.de/stndatabases/details/dwpi_r.html <<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
PLEASE VISIT:
http://www.stn-international.de/training_center/patents/stn_guide.pdf

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
http://scientific.thomson.com/support/patents/coverage/latestupdates/

PLEASE BE AWARE OF THE NEW IPC REFORM IN 2006, SEE
http://www.stn-international.de/stndatabases/details/ipc_reform.html a
http://scientific.thomson.com/media/scpdf/ipcrdwpi.pdf

>>> FOR DETAILS ON THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX
PLEASE SEE
http://www.stn-international.de/stndatabases/details/dwpi_r.html <<<

>>> YOU ARE IN THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX <<<

FILE MARPAT
FILE CONTENT: 1961-PRESENT VOL 145 ISS 22 (20061201/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20060234956 19 OCT 2006
DE 102005016345 12 OCT 2006
EP 1710237 11 OCT 2006
JP 2006282618 19 OCT 2006
WO 2006108879 19 OCT 2006
GB 2424583 04 OCT 2006
FR 2884252 13 OCT 2006
RU 2284857 10 OCT 2006
CA 2500558 10 SEP 2006

Expanded G-group definition display now available.

FILE STNGUIDE
FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Dec 1, 2006 (20061201/UP).